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FIG. 1A

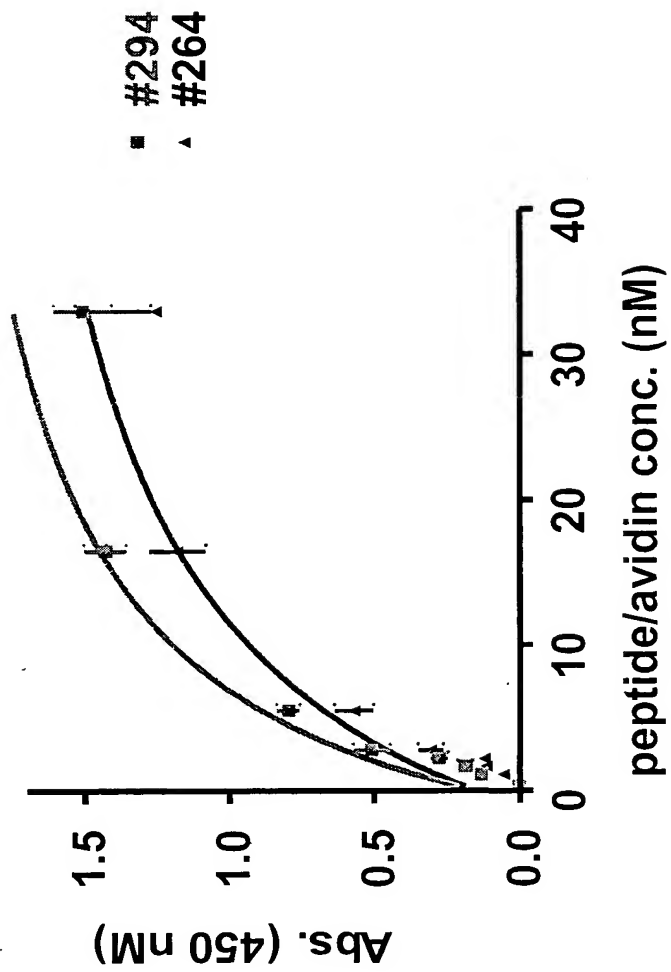


FIG. 1B

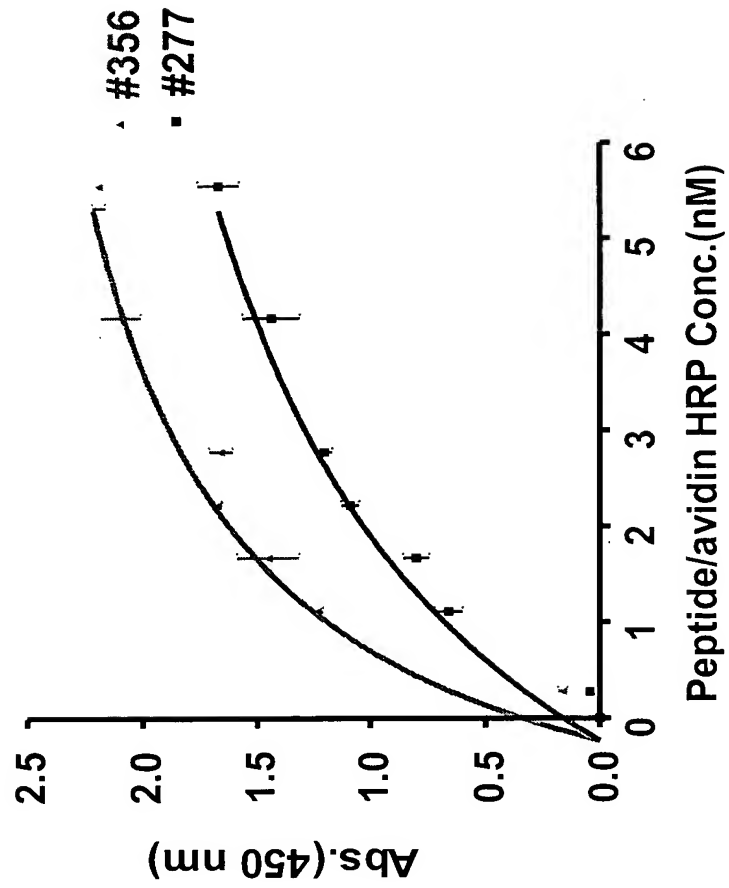
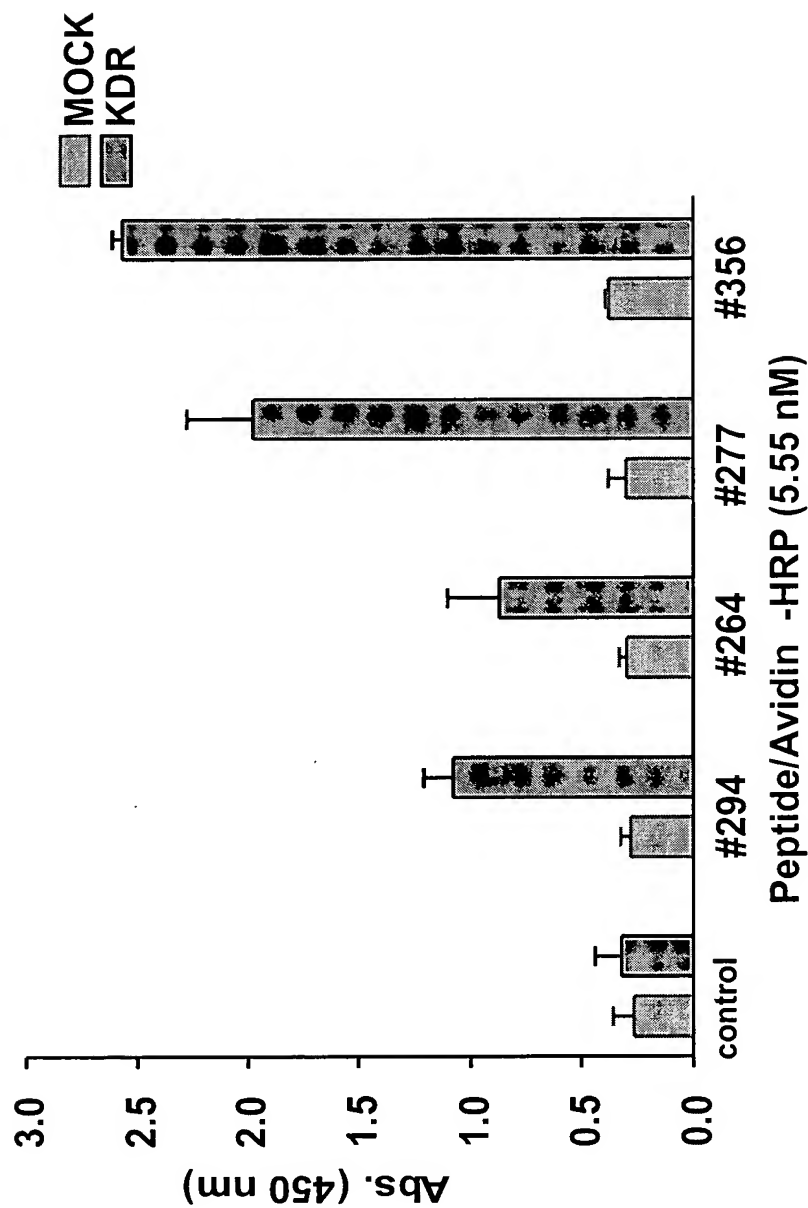


FIG. 2



### FIG. 3

- (a) Ac-AGPKWCEEDWYYCMITGT-GGGK(Biotin-di(aminodioxaocta)-)-NH<sub>2</sub> (SEQ ID NO:264)
- (b) Ac-AGPKWCEEDWYYCMITGT-GGGK(Biotin-)-NH<sub>2</sub> (SEQ ID NO:264)
- (c) Ac-GDSRVCWEDSWGGEVCFRYDP-GGGK(Biotin-di(aminodioxaocta)-)-NH<sub>2</sub> (SEQ ID NO:294)
- (d) Ac-GDSRVCWEDSWGGEVCFRYDP-GGGK-(Biotin-)-NH<sub>2</sub> (SEQ ID NO:294)

FIG. 4

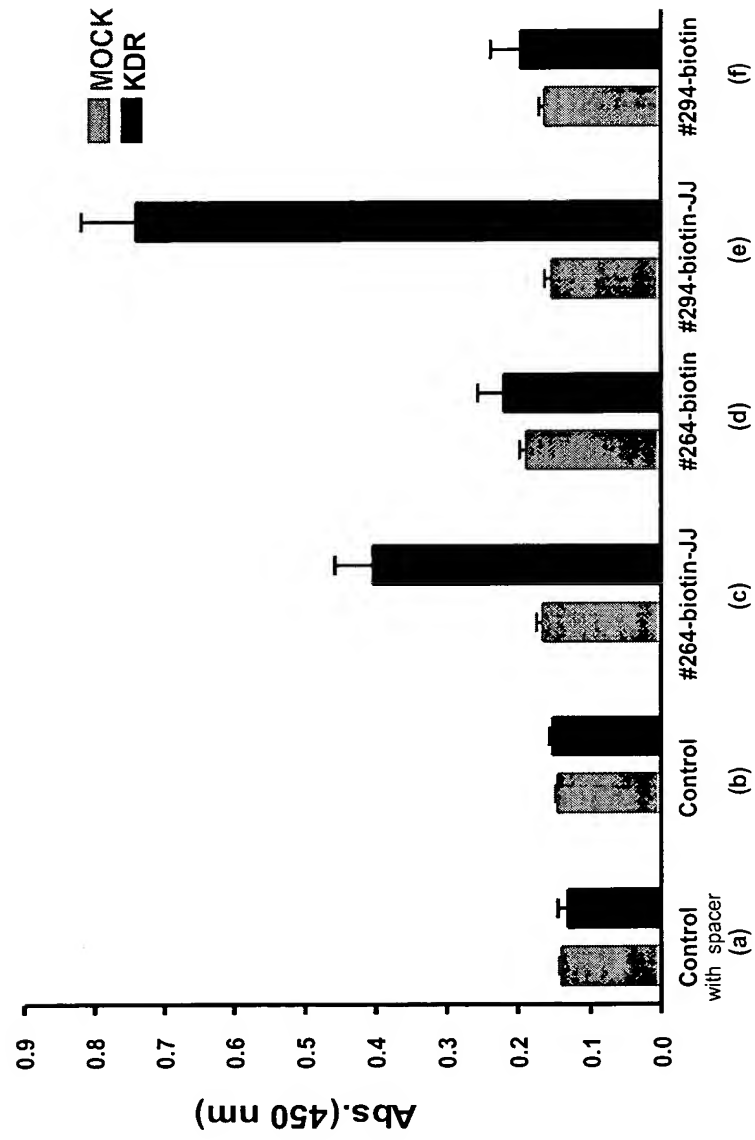


FIG. 5

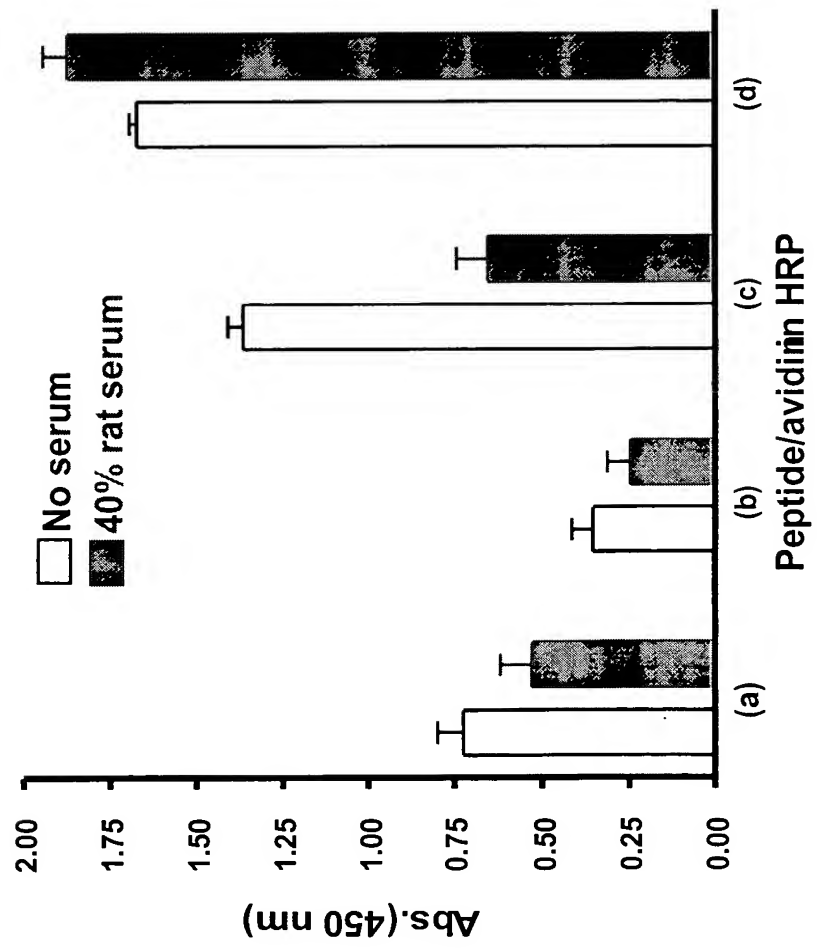


FIG. 6

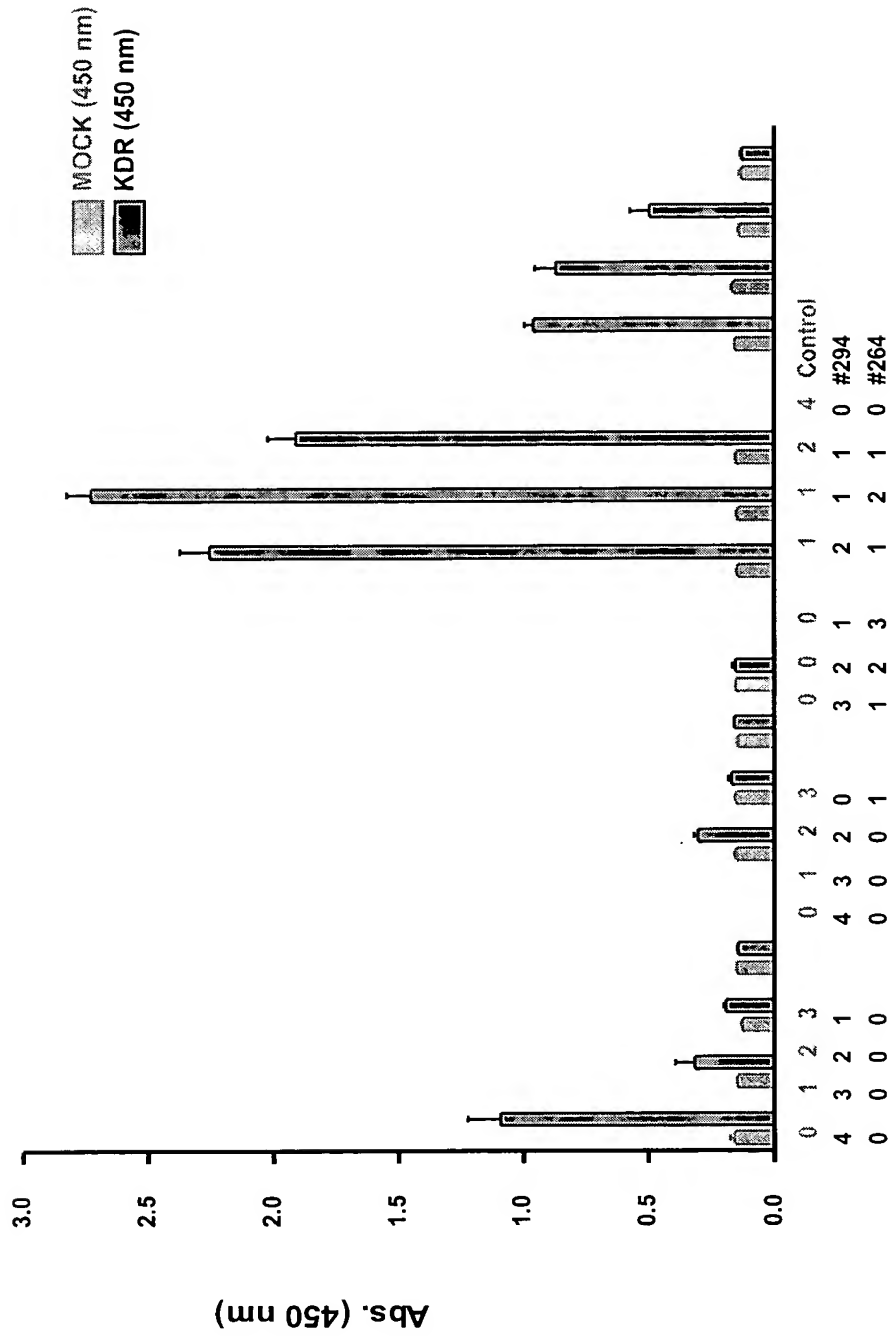




FIG. 7

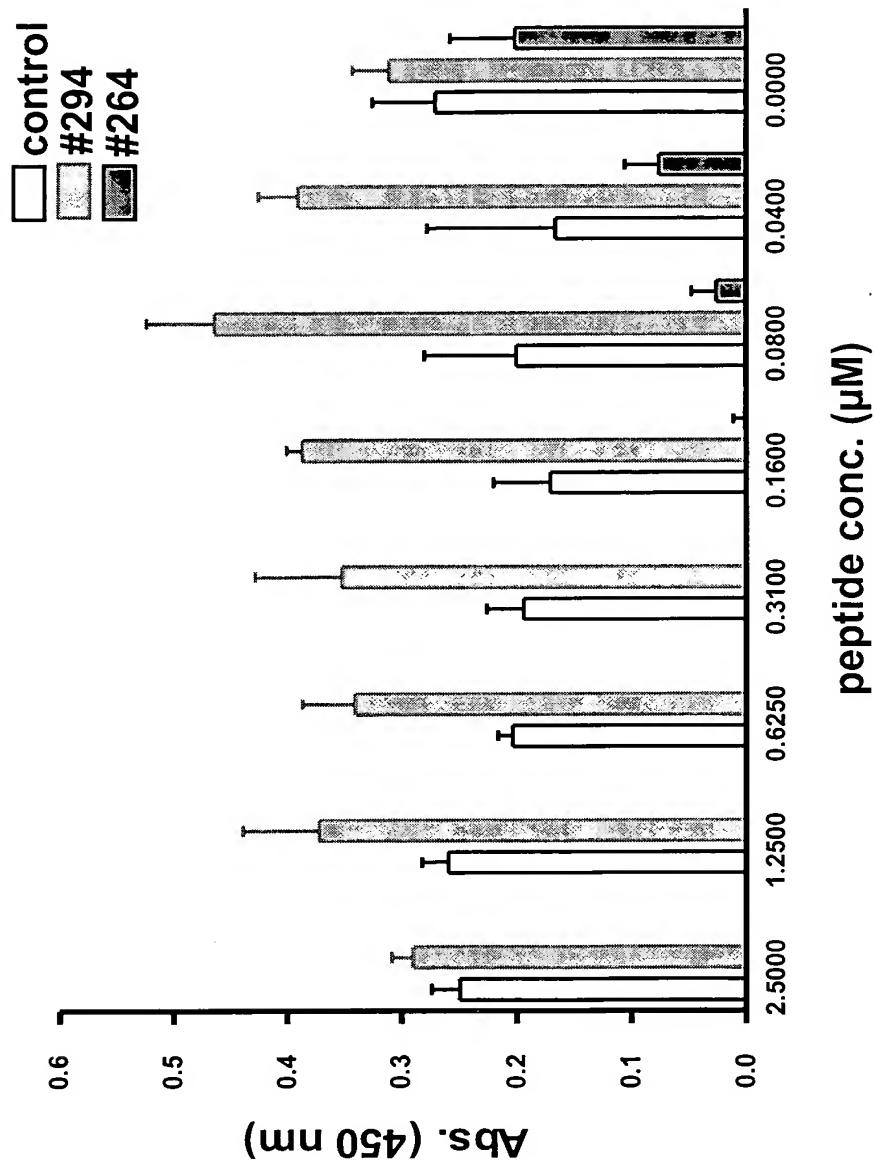


FIG. 8

Sequence	SEQ ID NO:	K <sub>D</sub> , B (μM)
<u>GDSRVCWEDSWGGEVCFRYDPGGGK</u>	294	0.069
<u>VCWEDSWGGEVCFGGGK</u>	368	0.91
<u>GDSRVCWEDSWGGEVCFGGGK</u>	369	1.30
<u>VCWEDSWGGEVCFRYDPGGGK</u>	337	0.040
<u>SRVCWEDSWGGEVCFRYGGGK</u>	371	0.035
<u>GDSRVCWEDSWGGEVCFRYGGGK</u>	372	0.060

FIG. 9

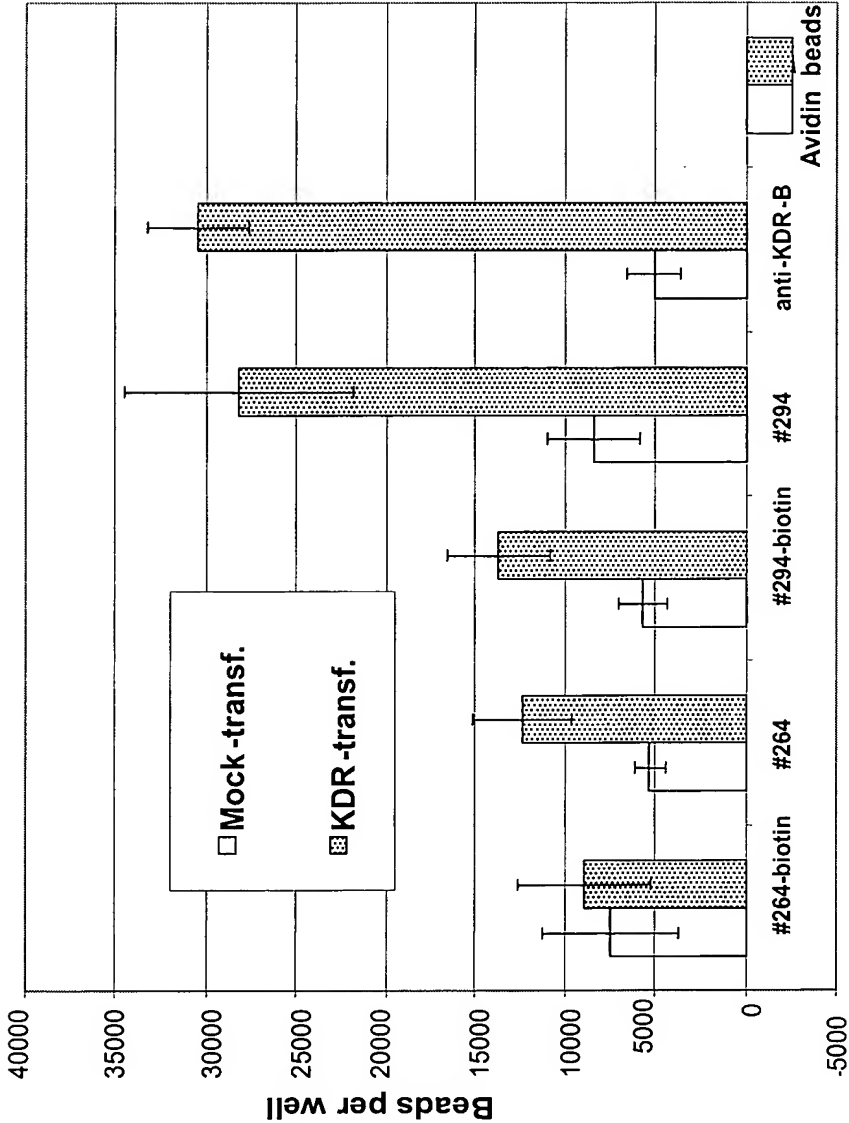


FIG. 10

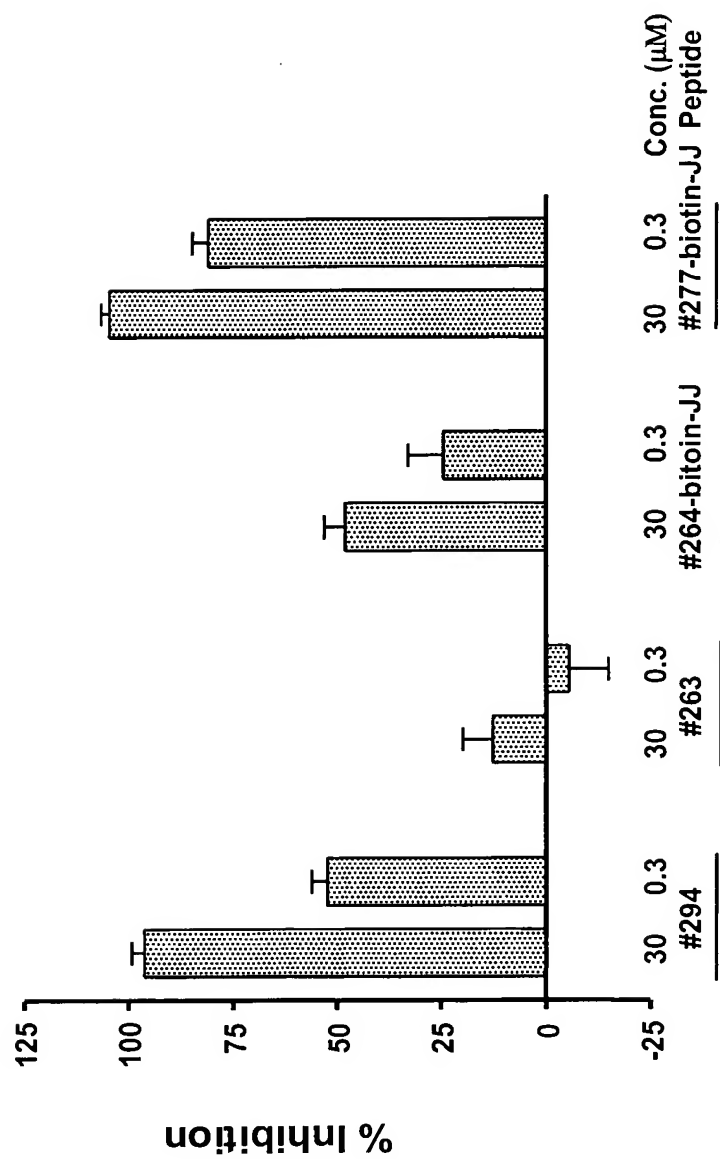


FIG. 11

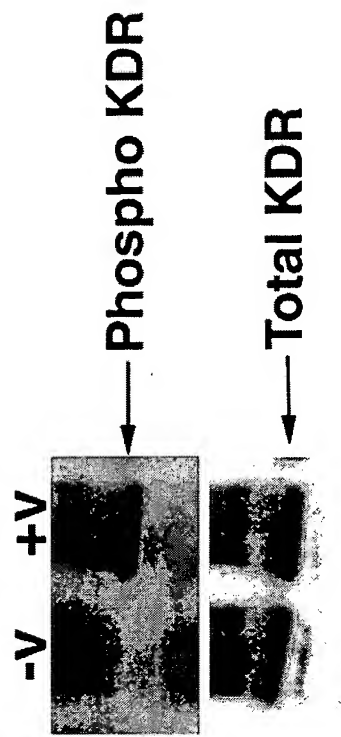


FIG. 12

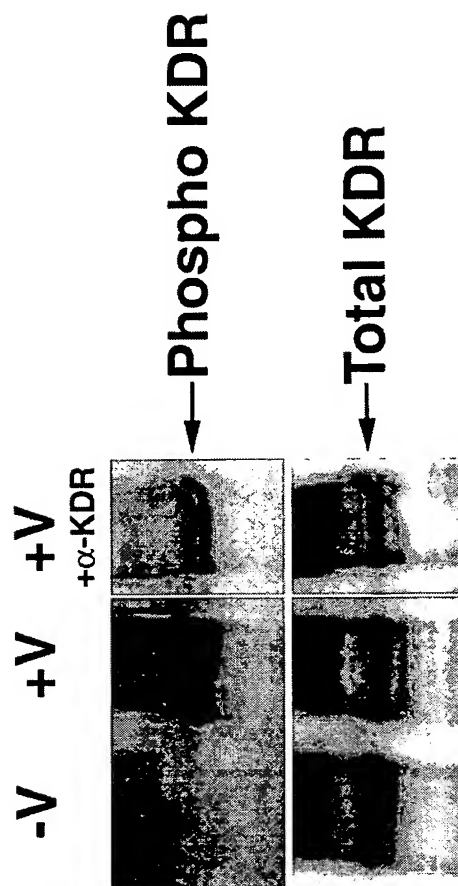


FIG. 13

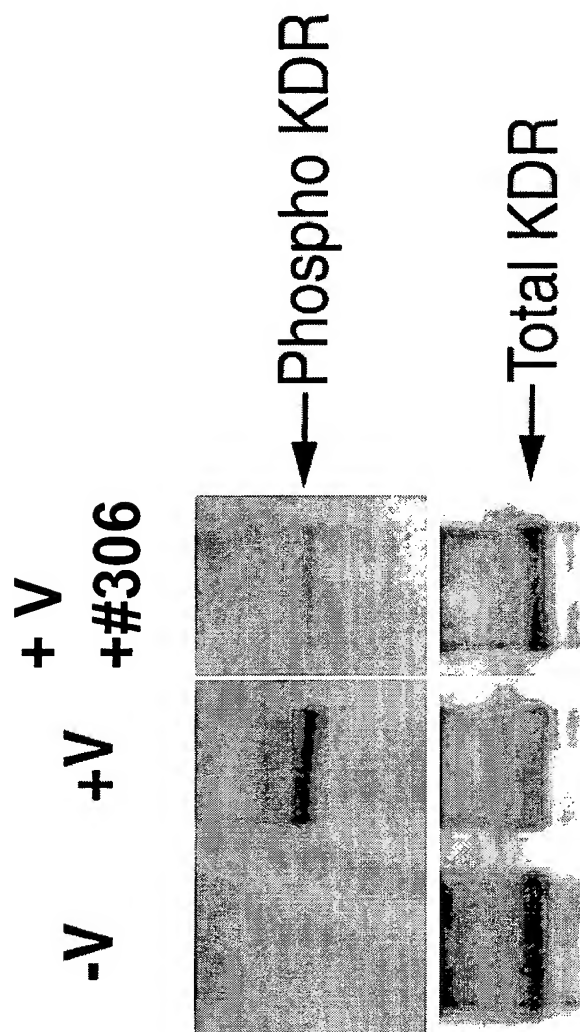


FIG. 14

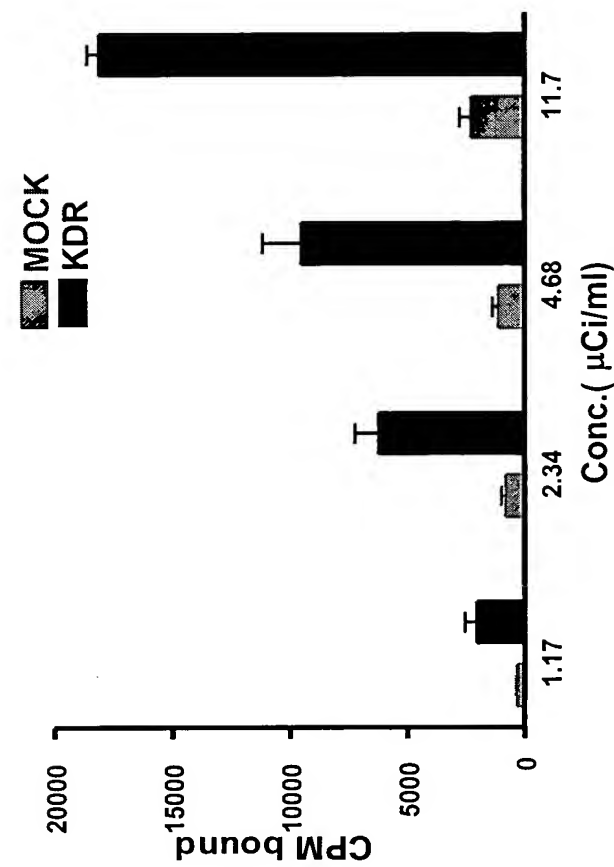




FIG. 15

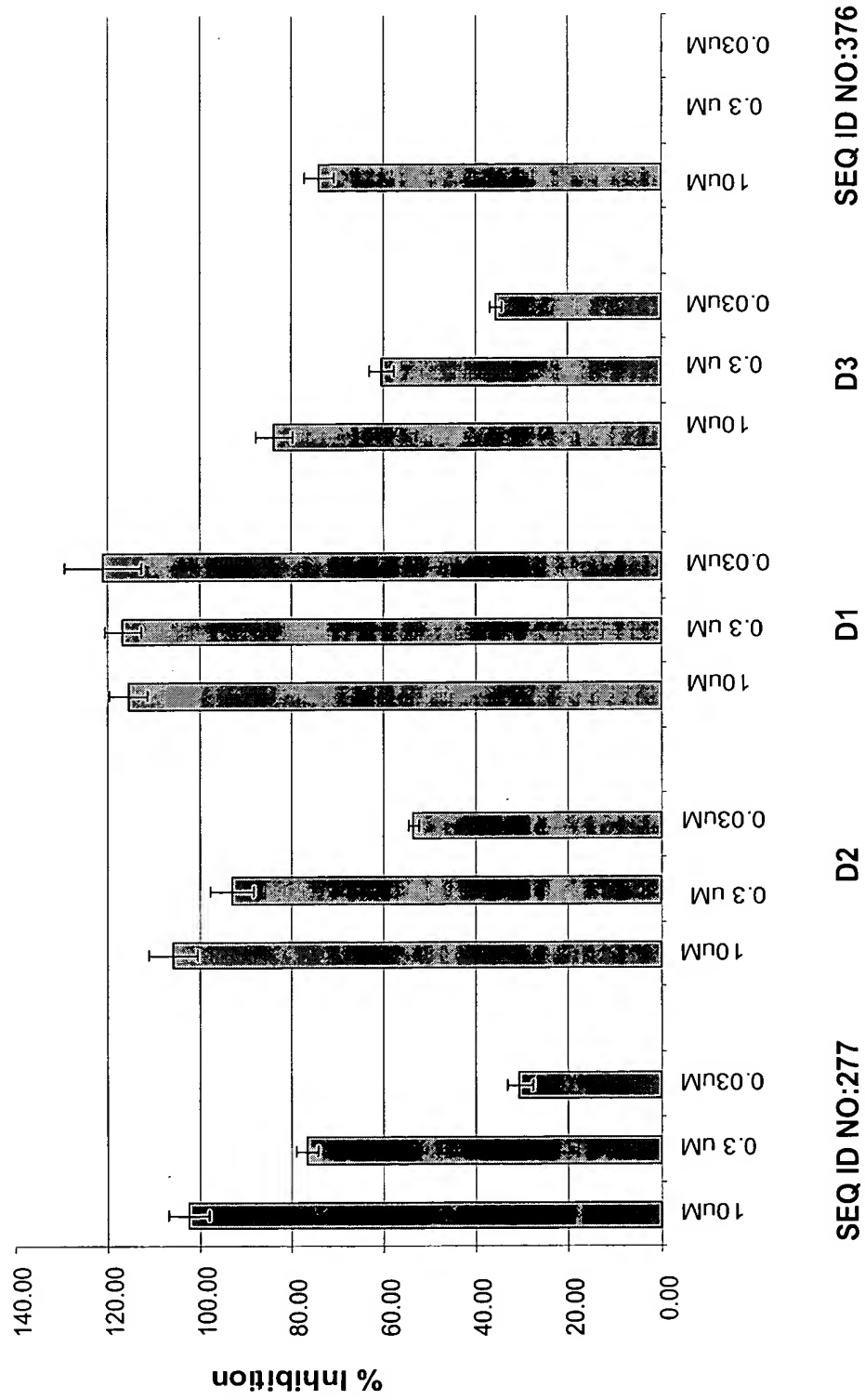


FIG. 16

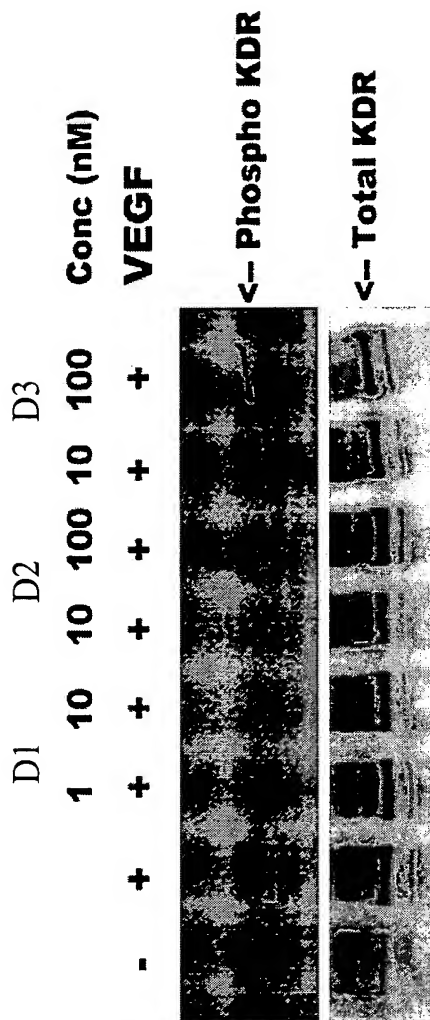


FIG. 17

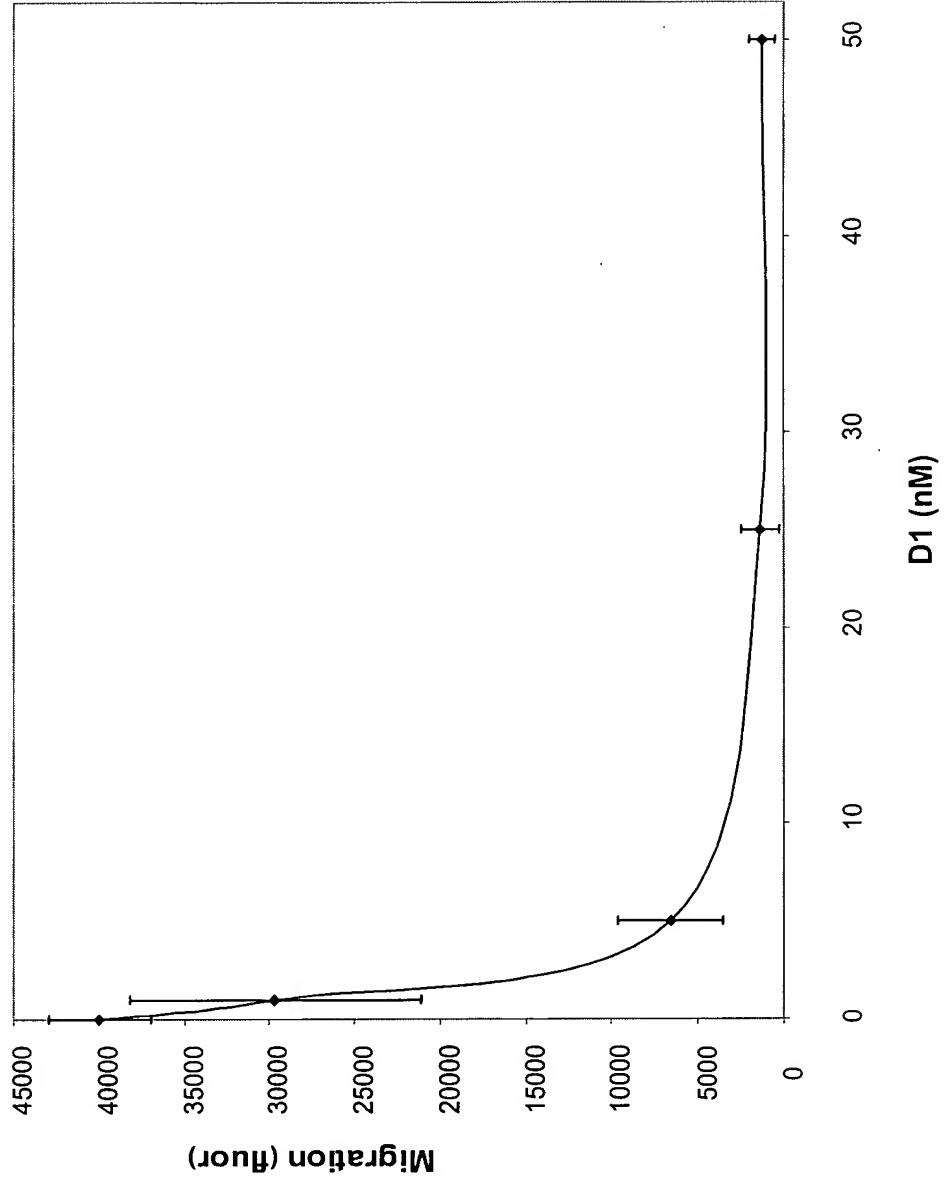


FIG. 18

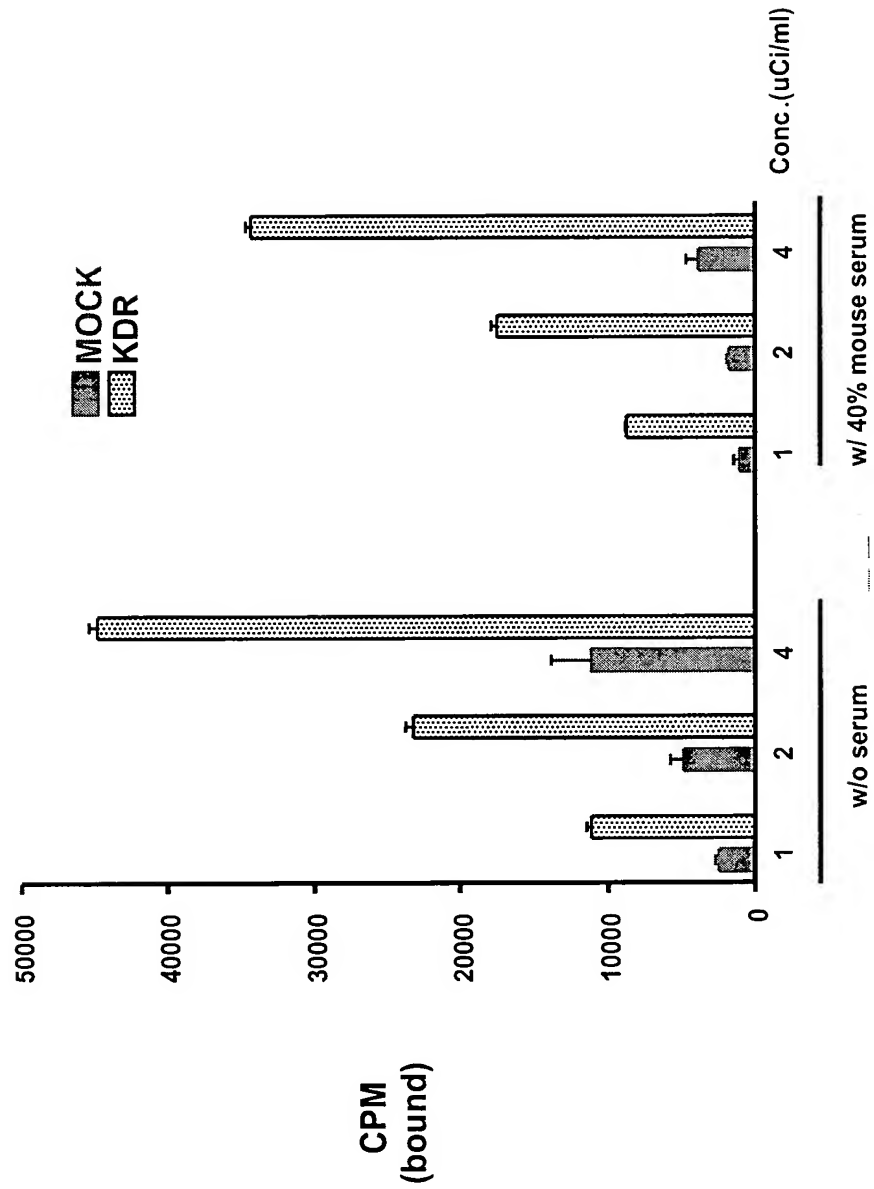


FIG. 19

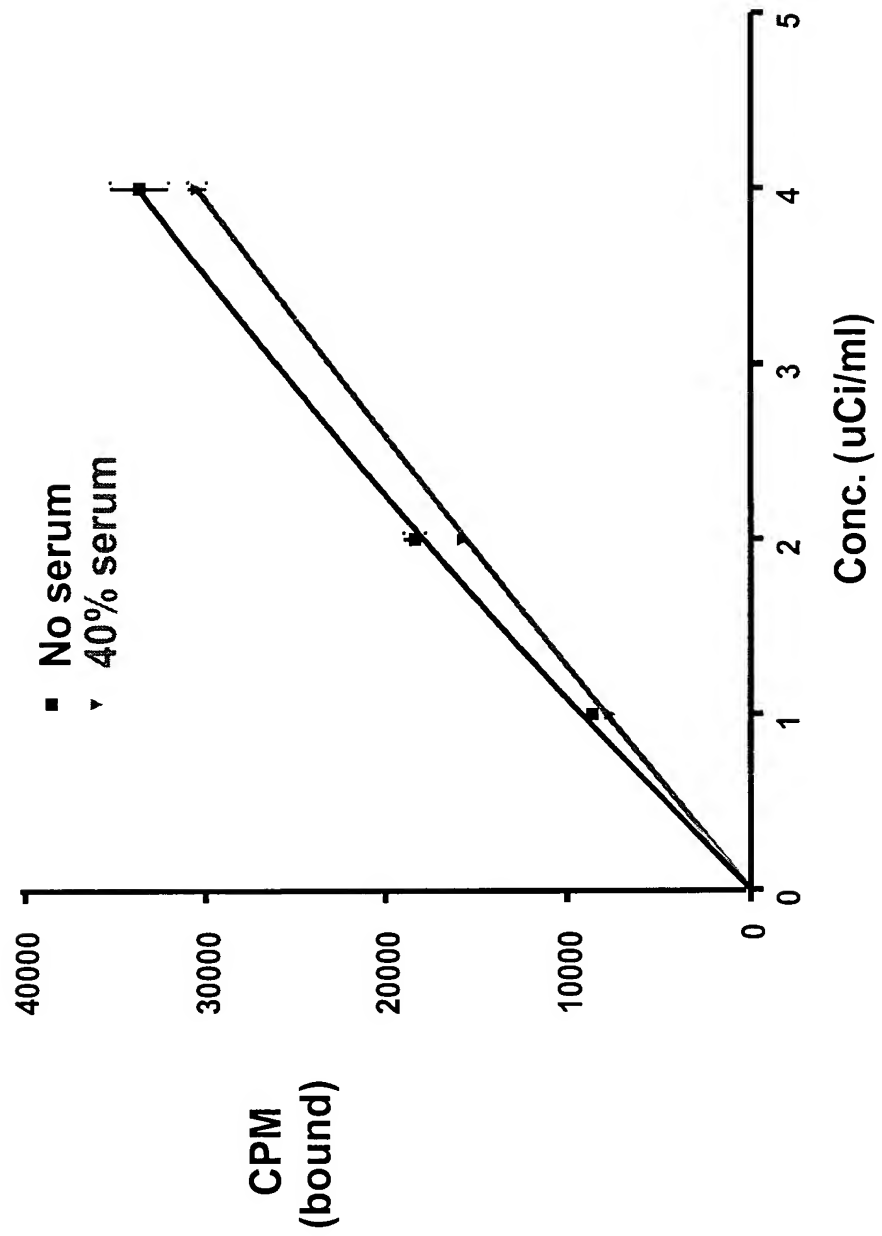


FIG. 20

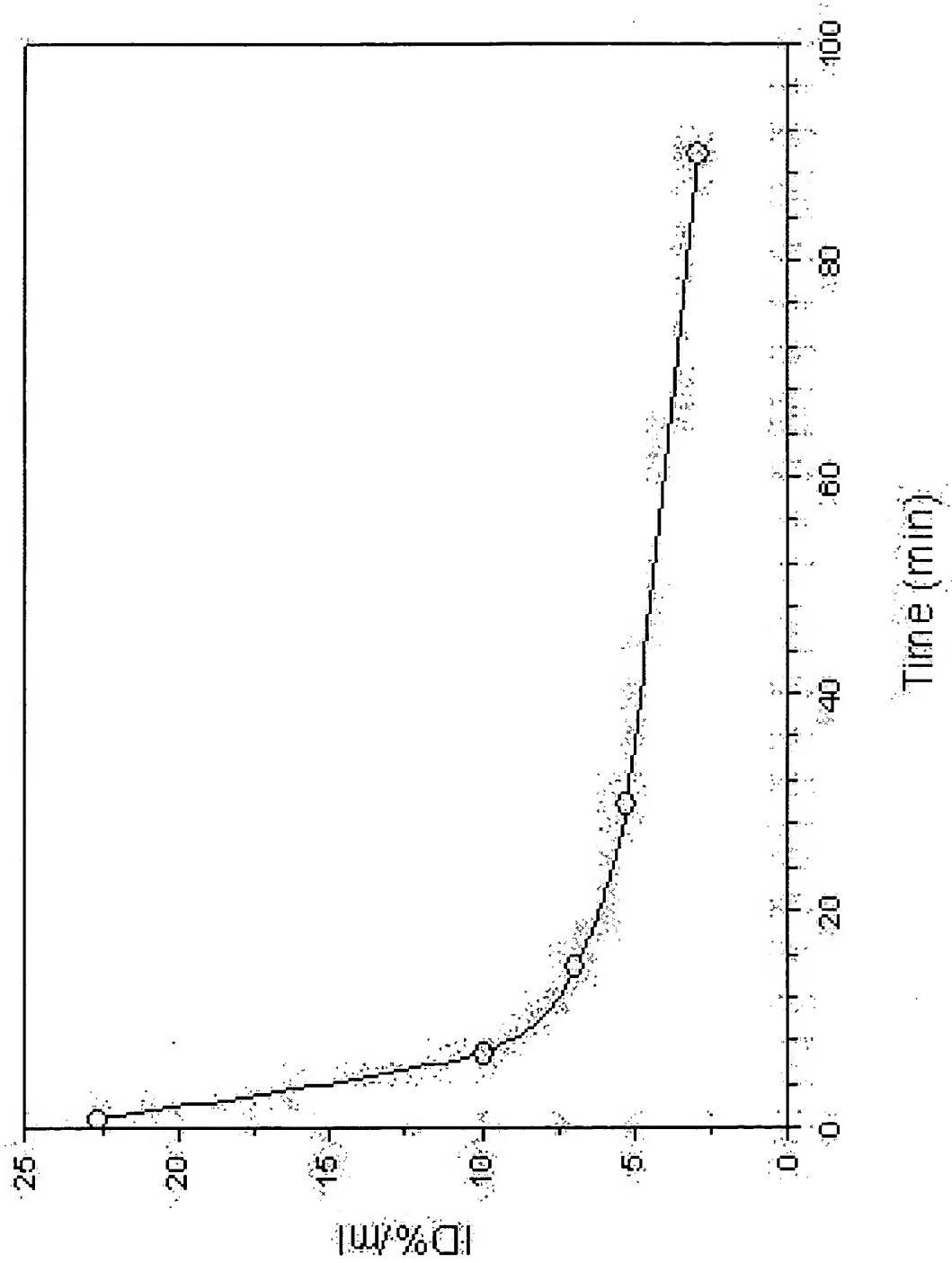


FIG. 21

### SEC Chromatograms of $^{125}\text{I}$ -D6 in Mouse Plasma

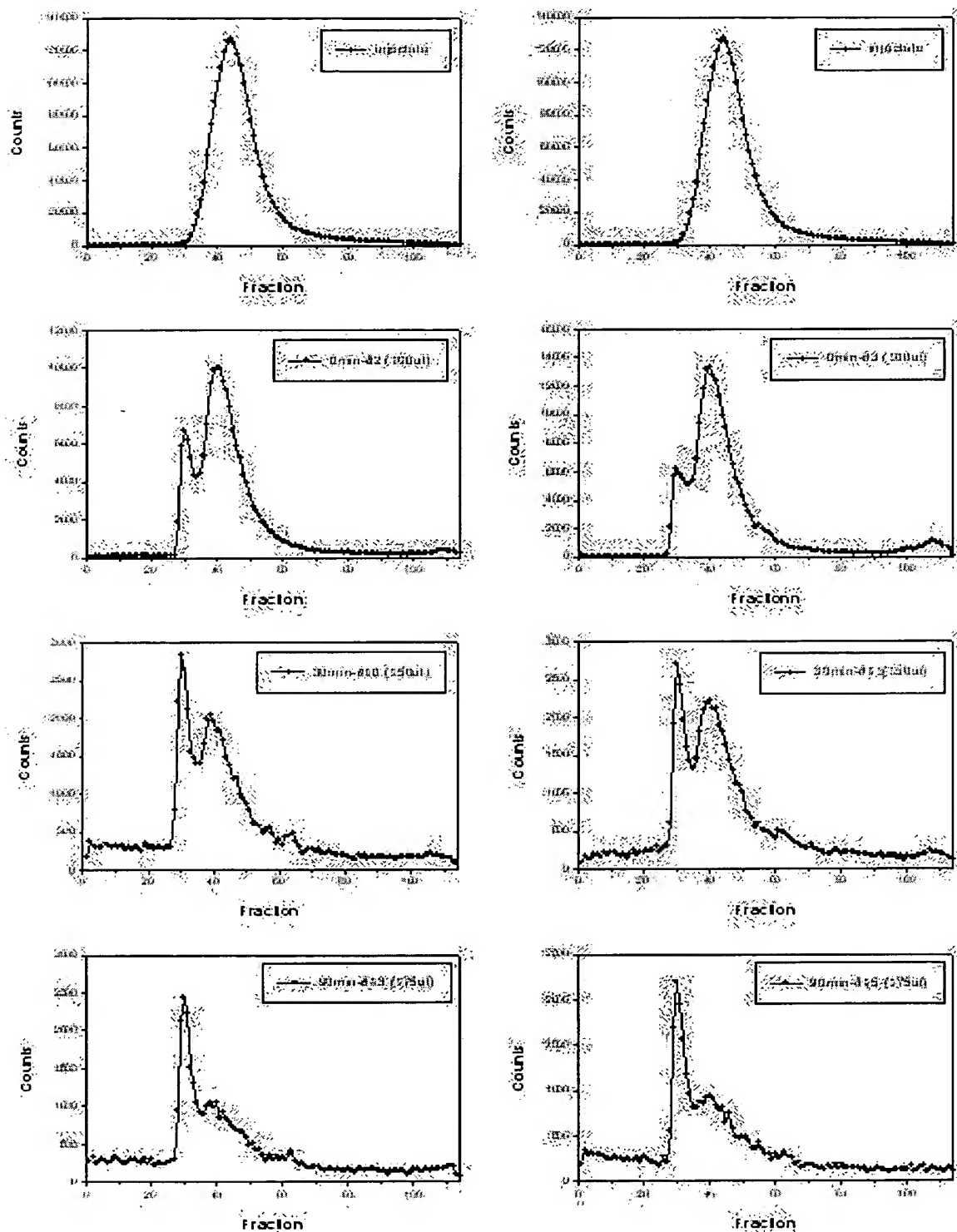


FIG. 22

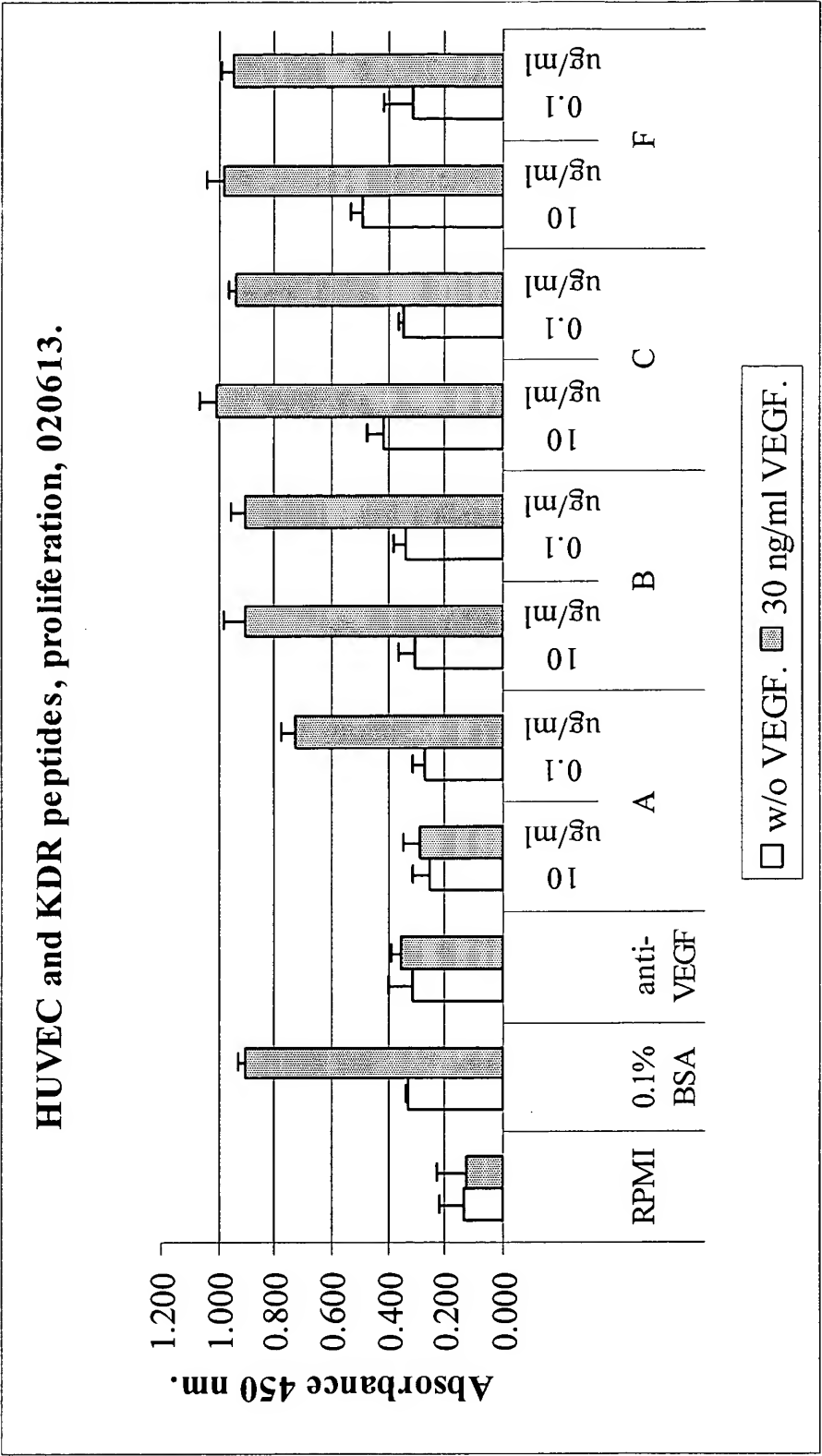




FIG. 23A

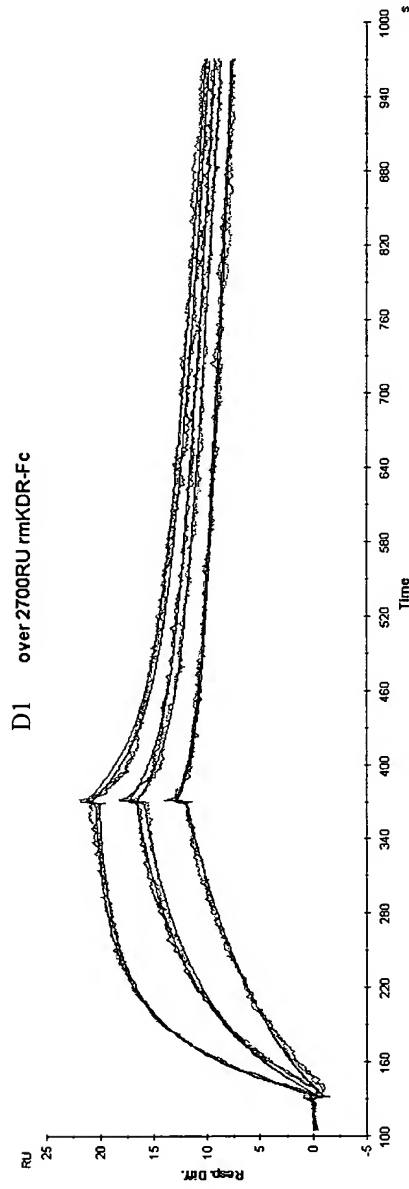


FIG. 23B

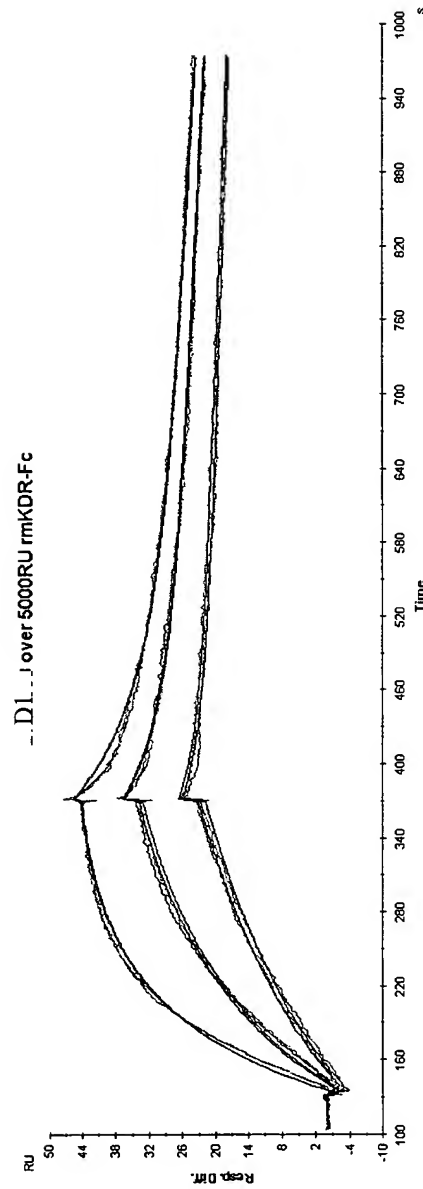


FIG. 24A

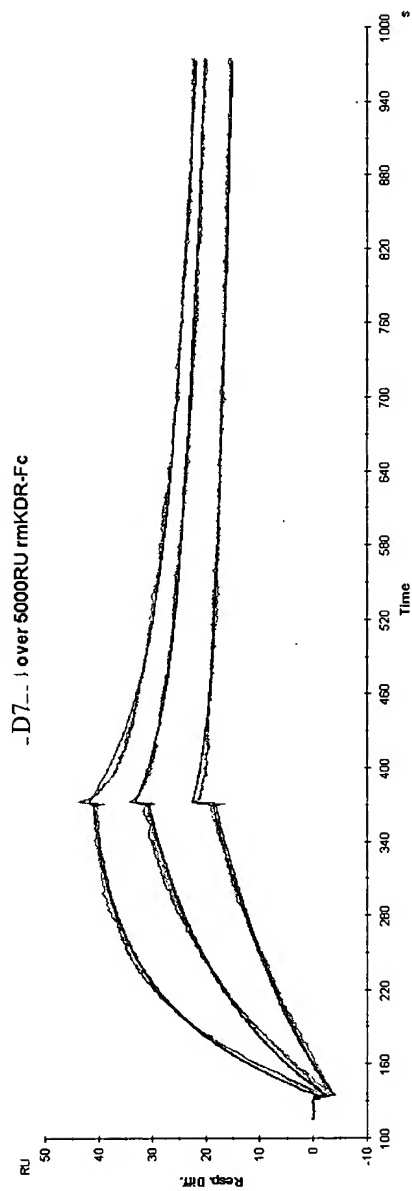


FIG. 24B

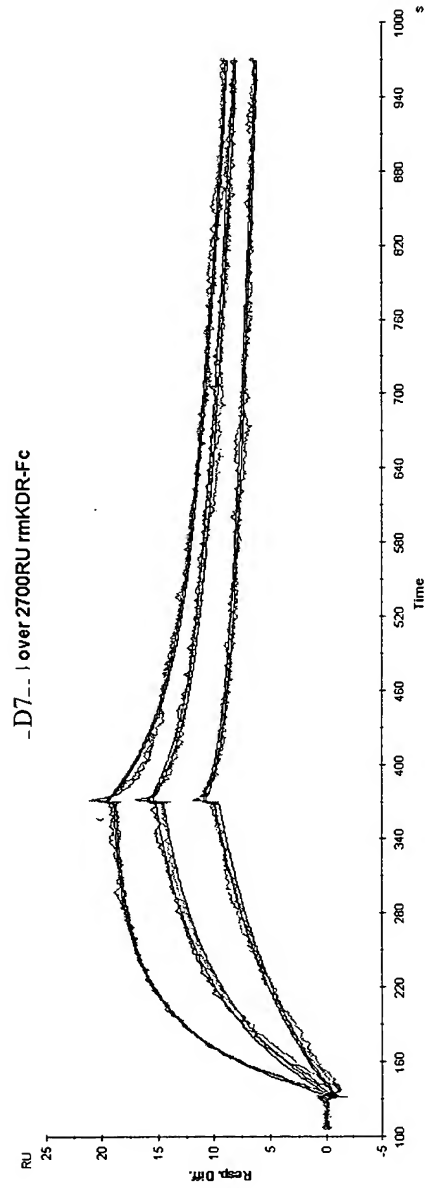


FIG. 25A

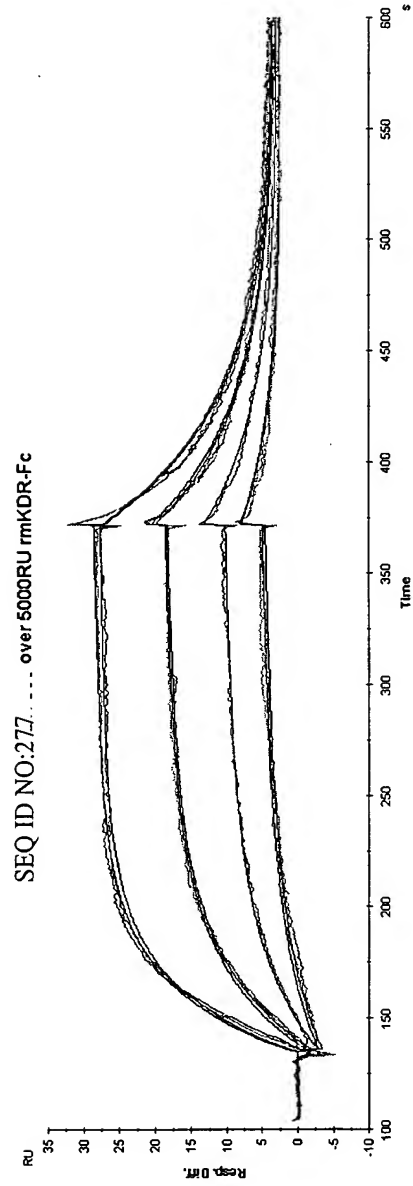


FIG. 25B

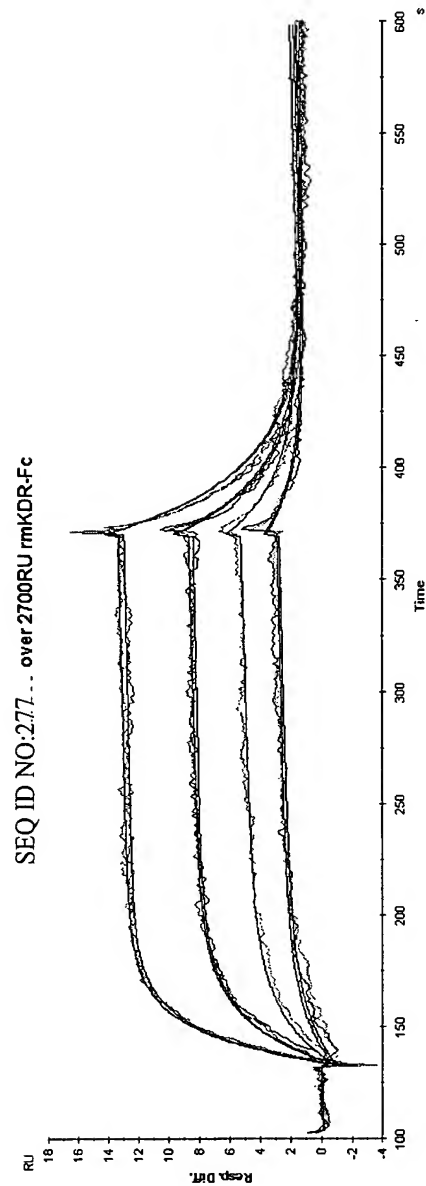
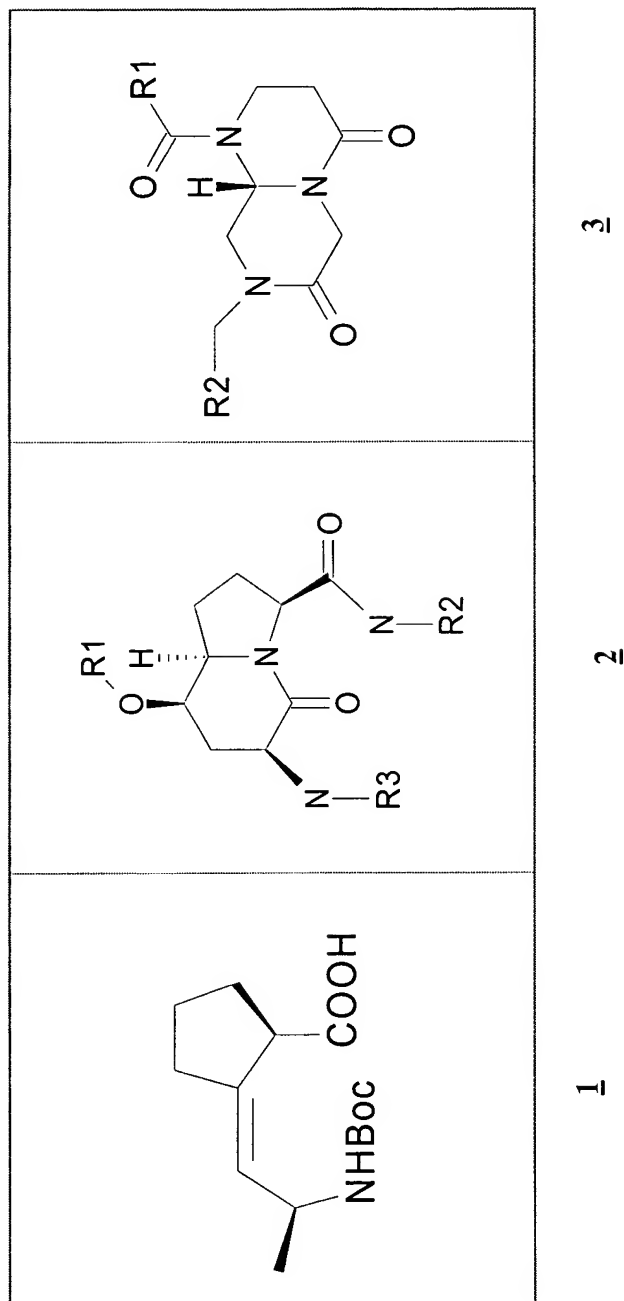
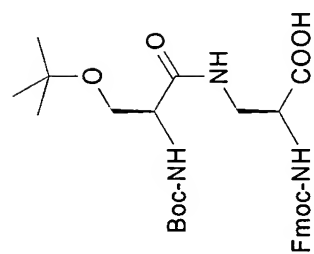


FIG. 26

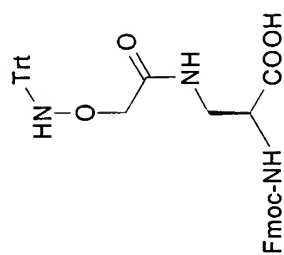


# FIG. 27



Fmoc-Dap(Boc-Ser(t-Bu))-OH

4



Fmoc-Dap(Trt-Aoa)-OH

5





FIG. 29

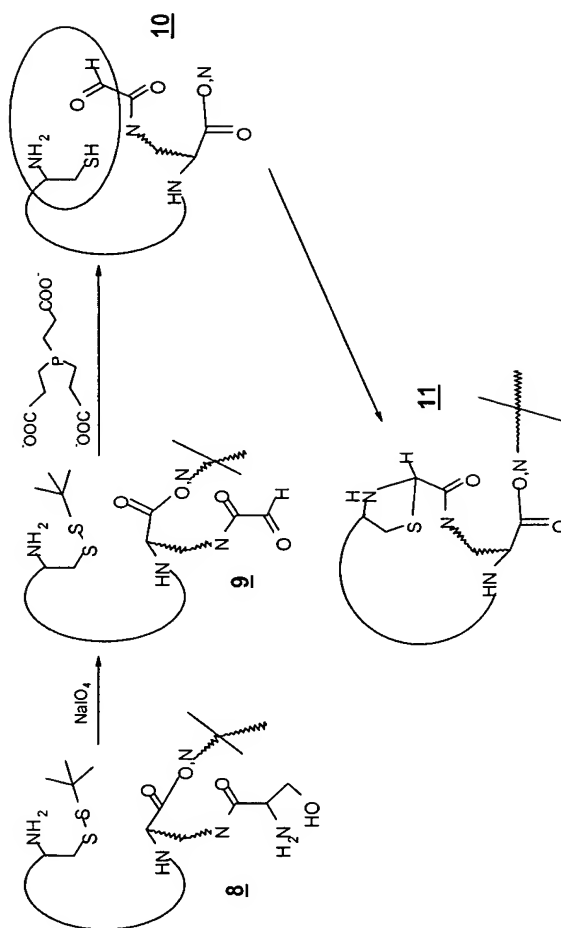


FIG. 30

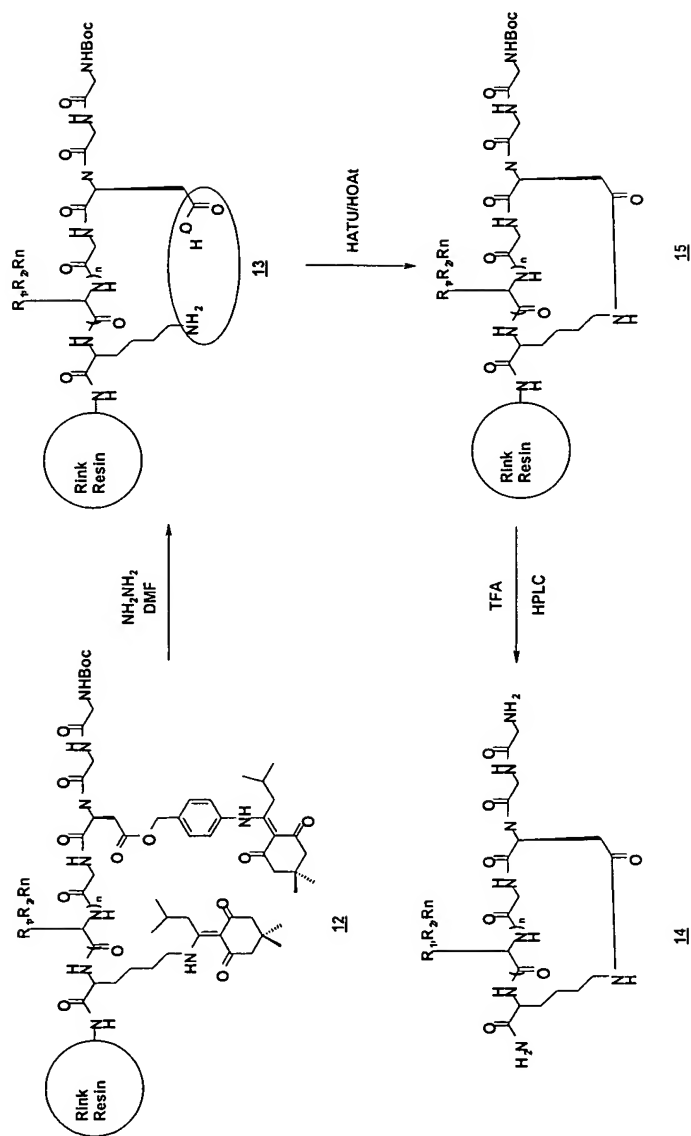
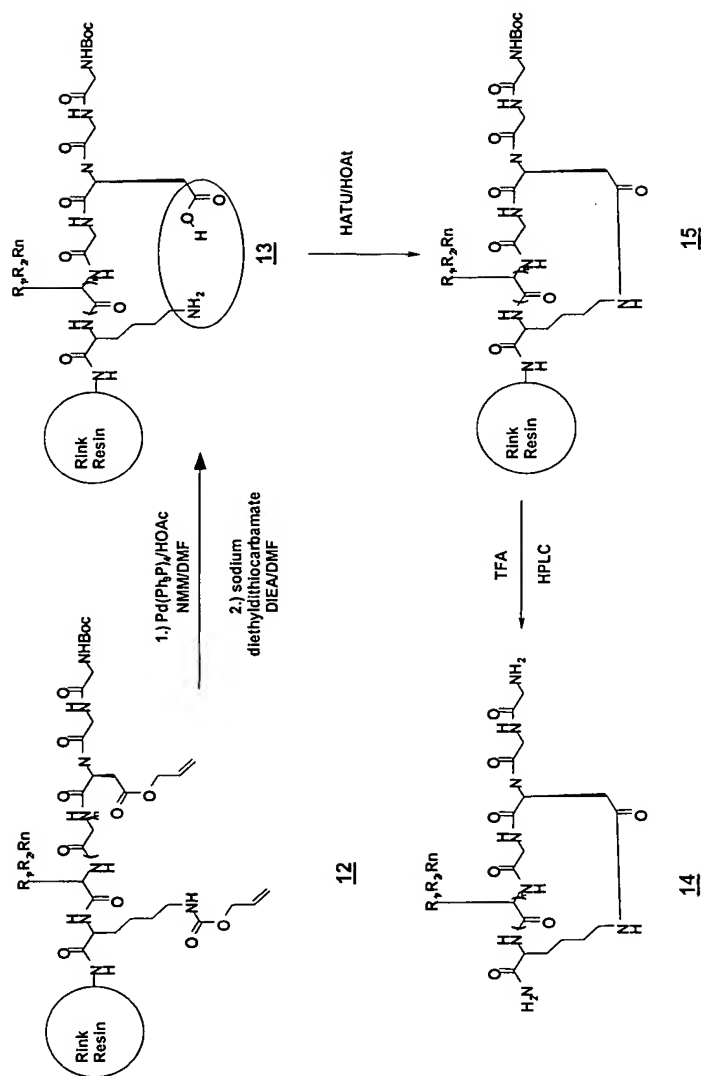


FIG. 31



# FIG. 32

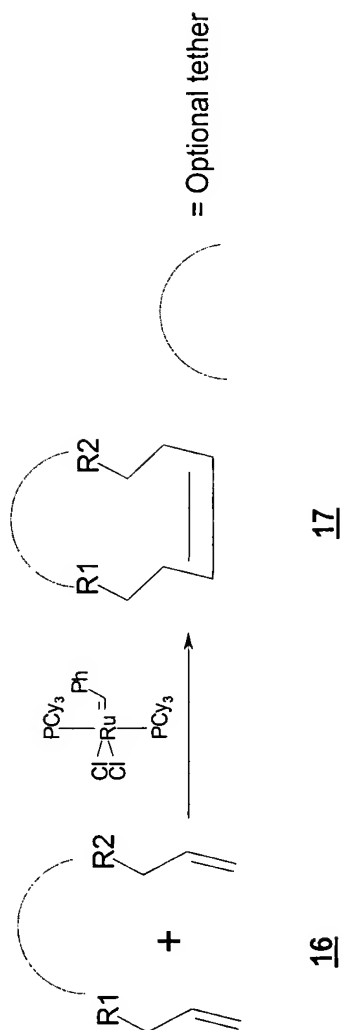
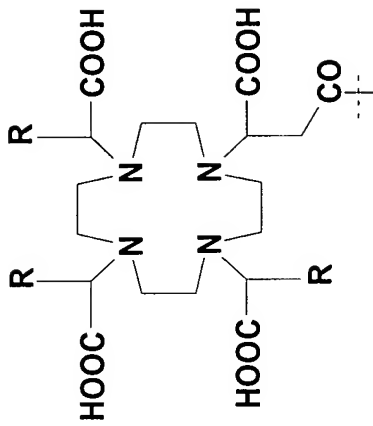


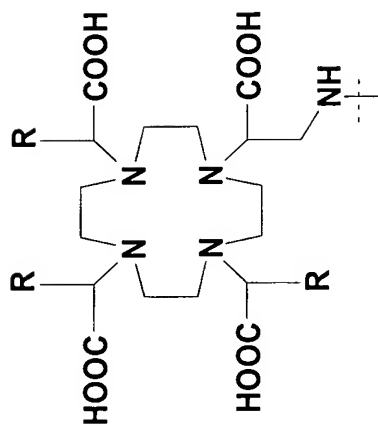


FIG. 34A



(20)

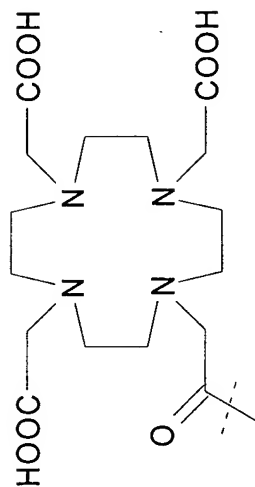
FIG. 34B



(21)

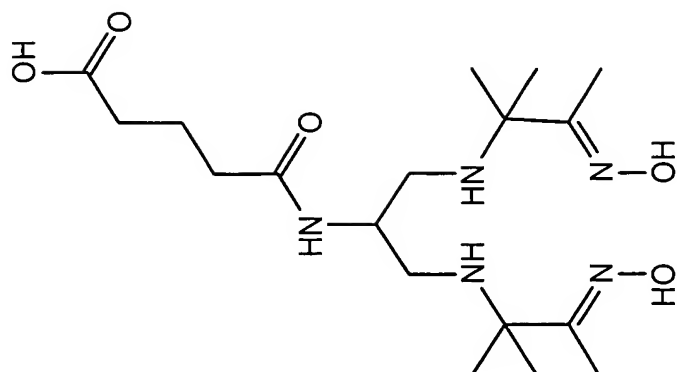


FIG. 34C

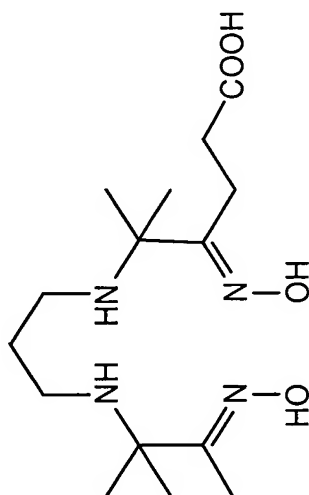


(22)

FIG. 34D

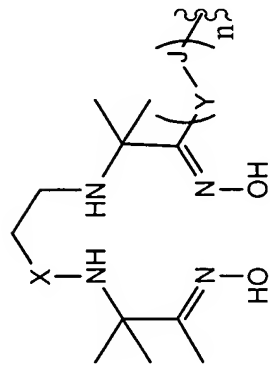


(23b)

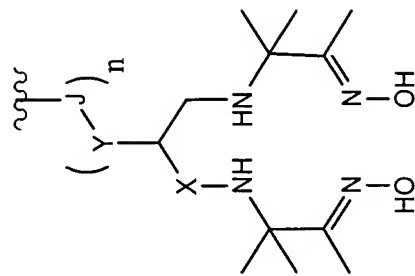


(23a)

FIG. 34E

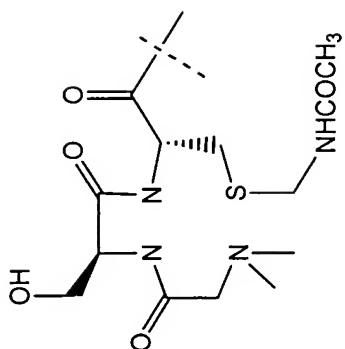


(24a)



(24b)

FIG. 34F



(25)

FIG. 35

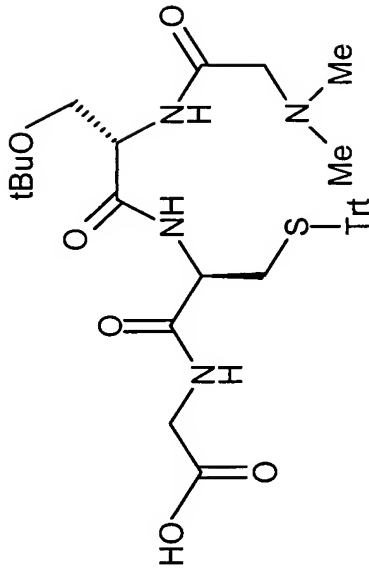




FIG. 37

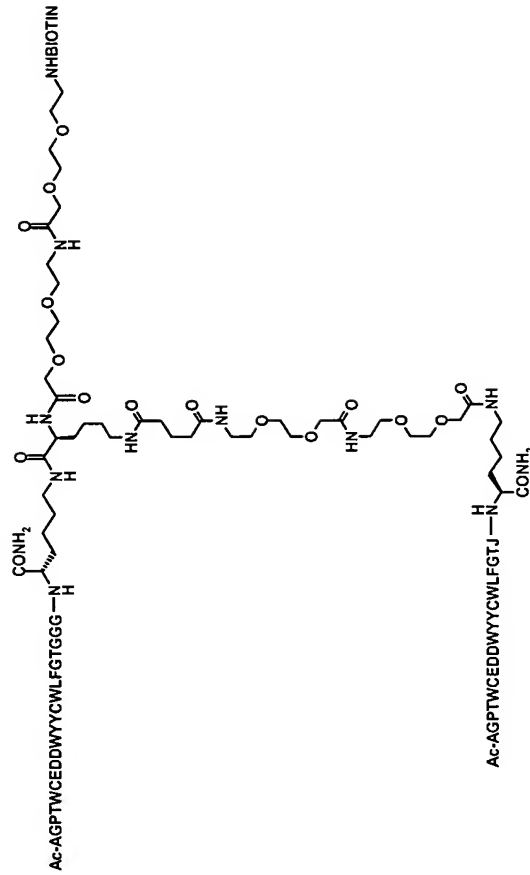






FIG. 39

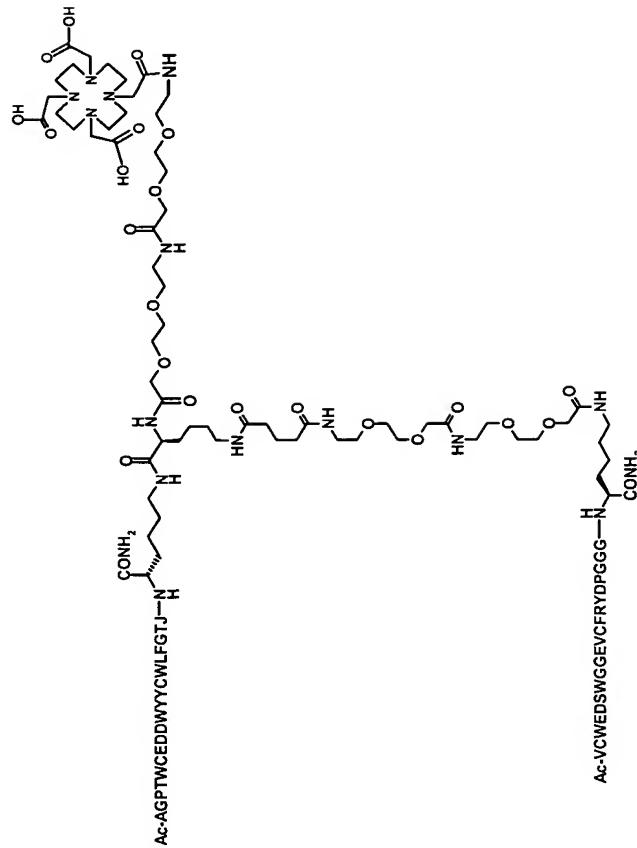




FIG. 41

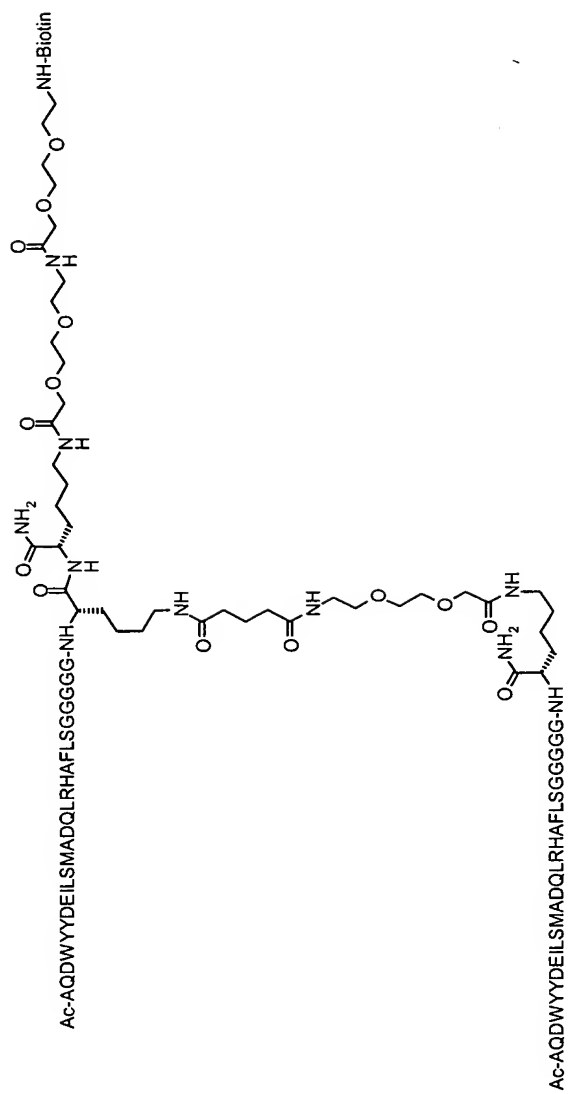








FIG. 45

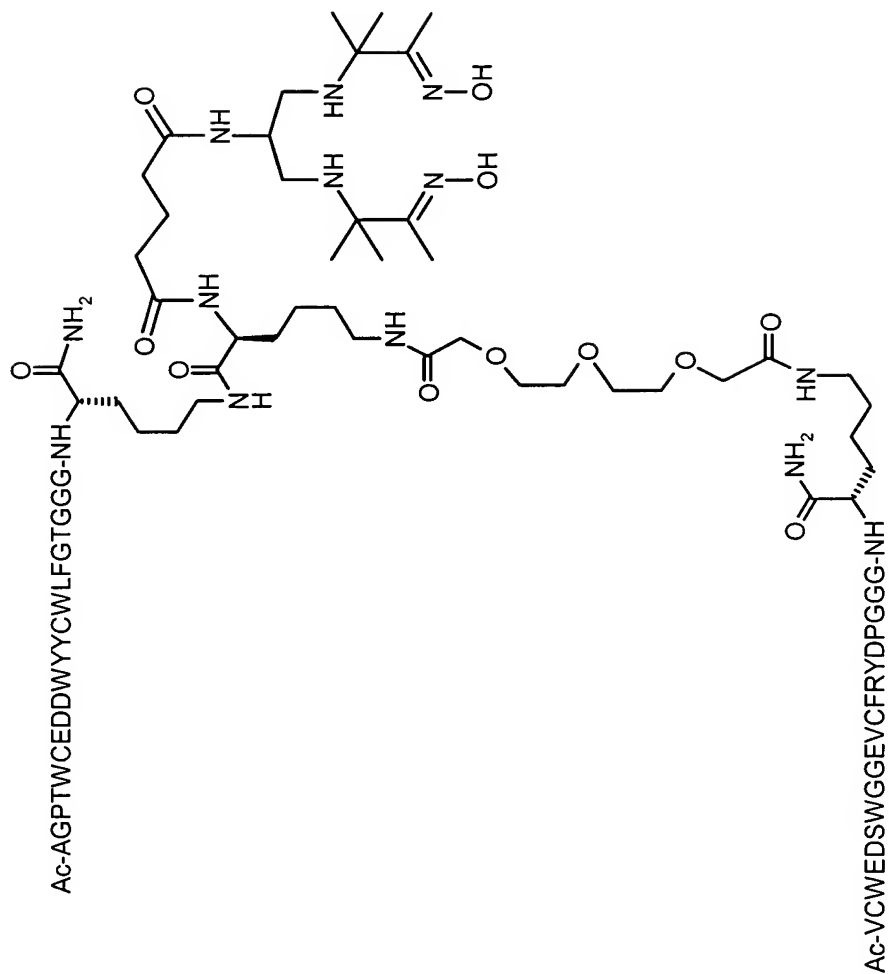
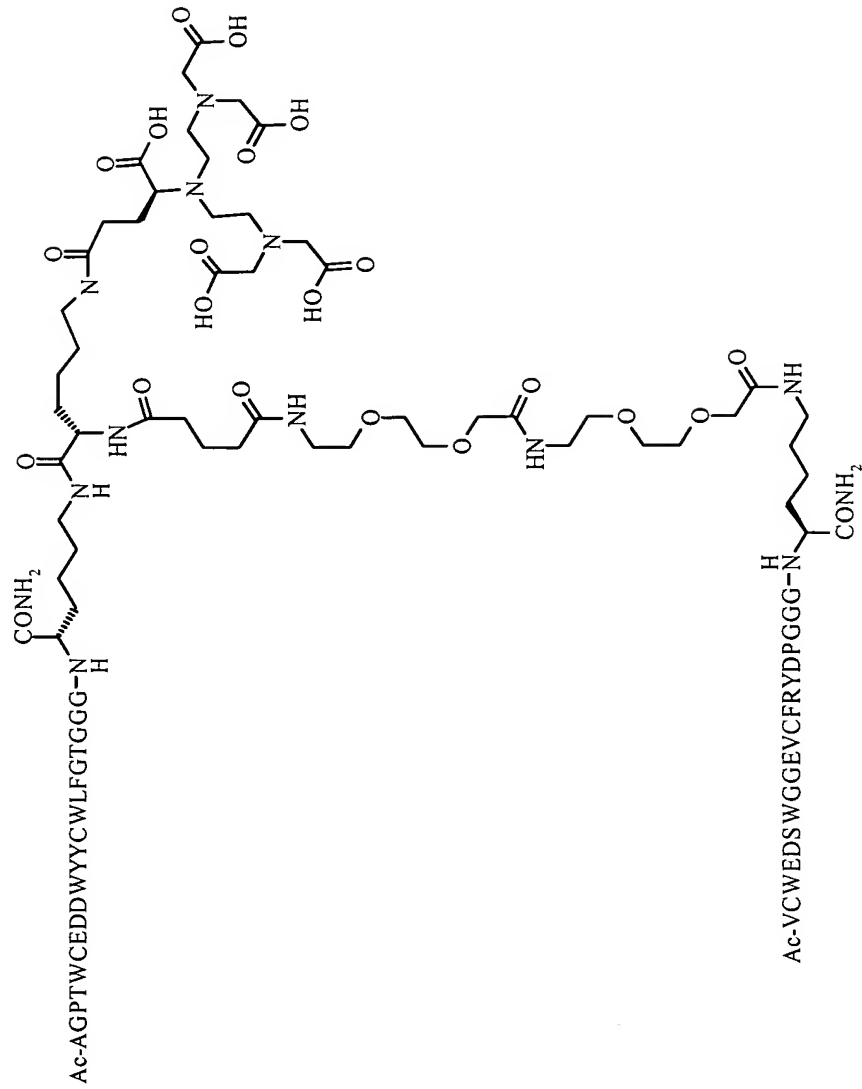
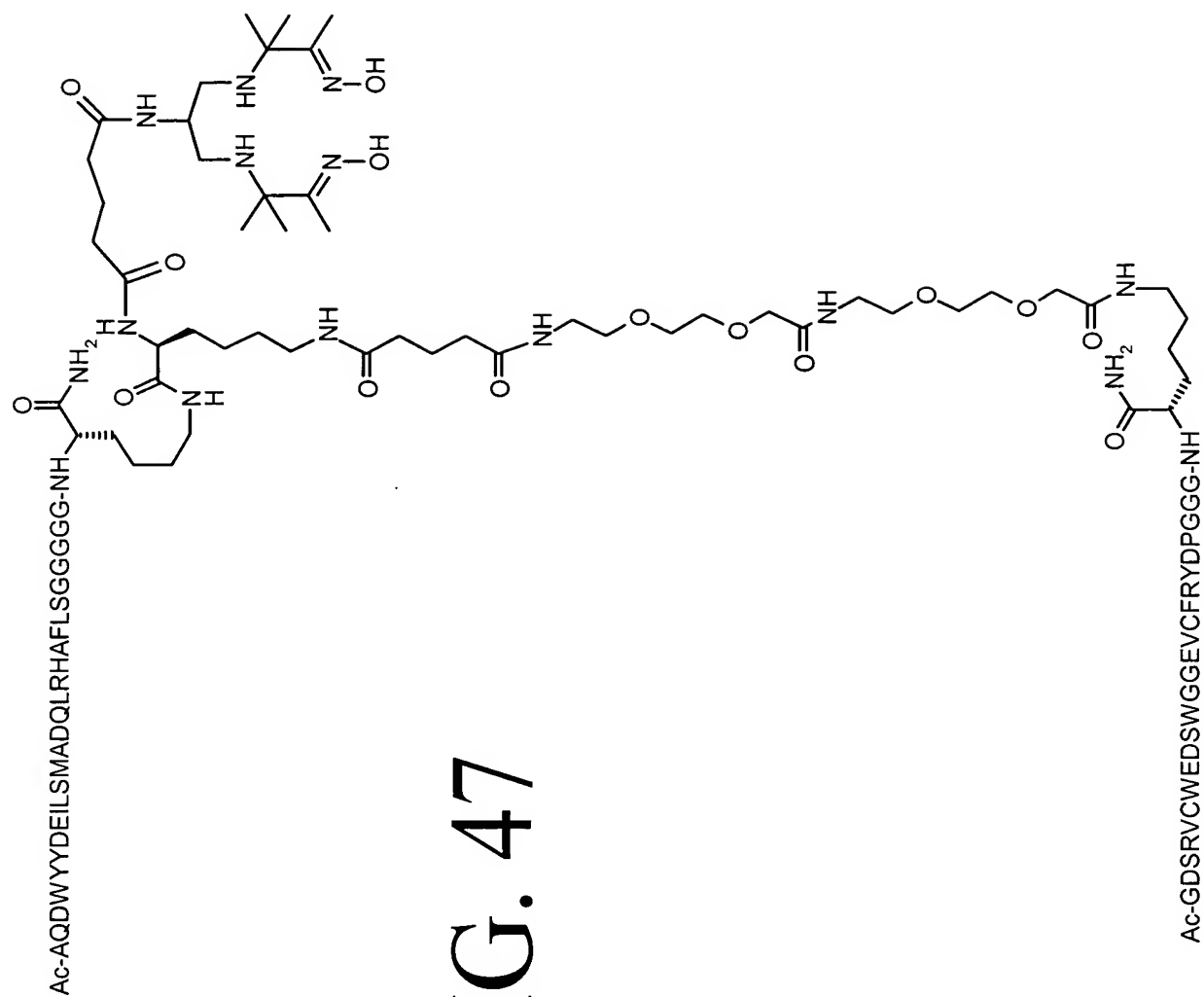


FIG. 46











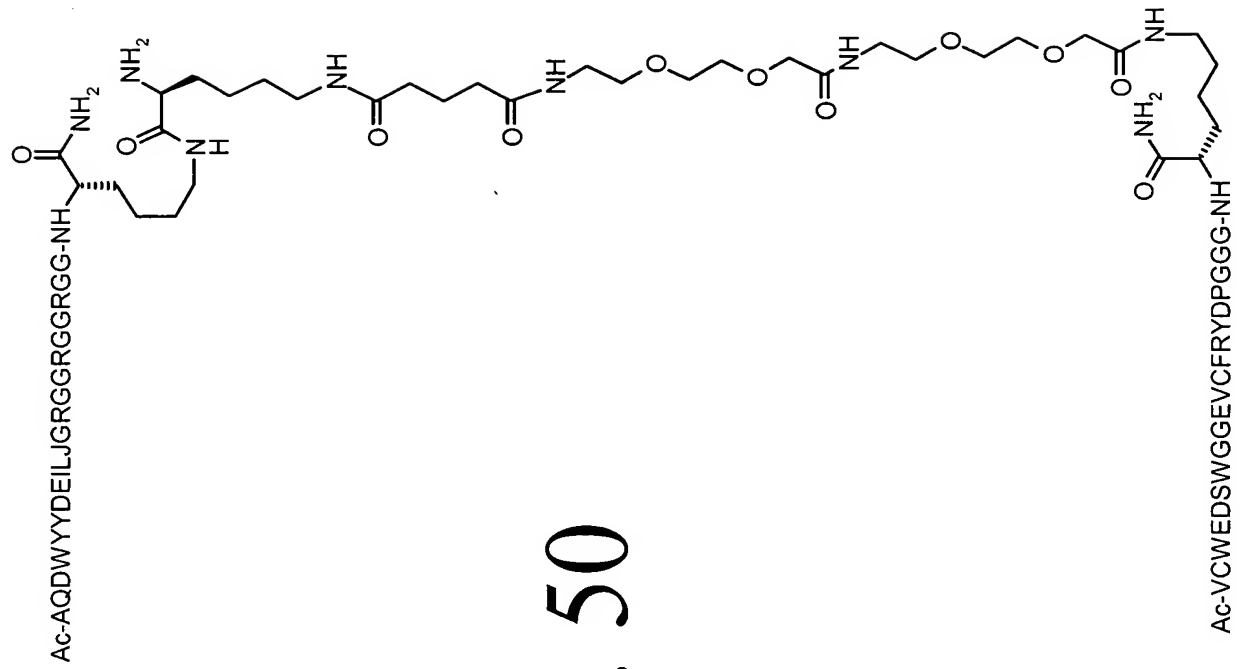
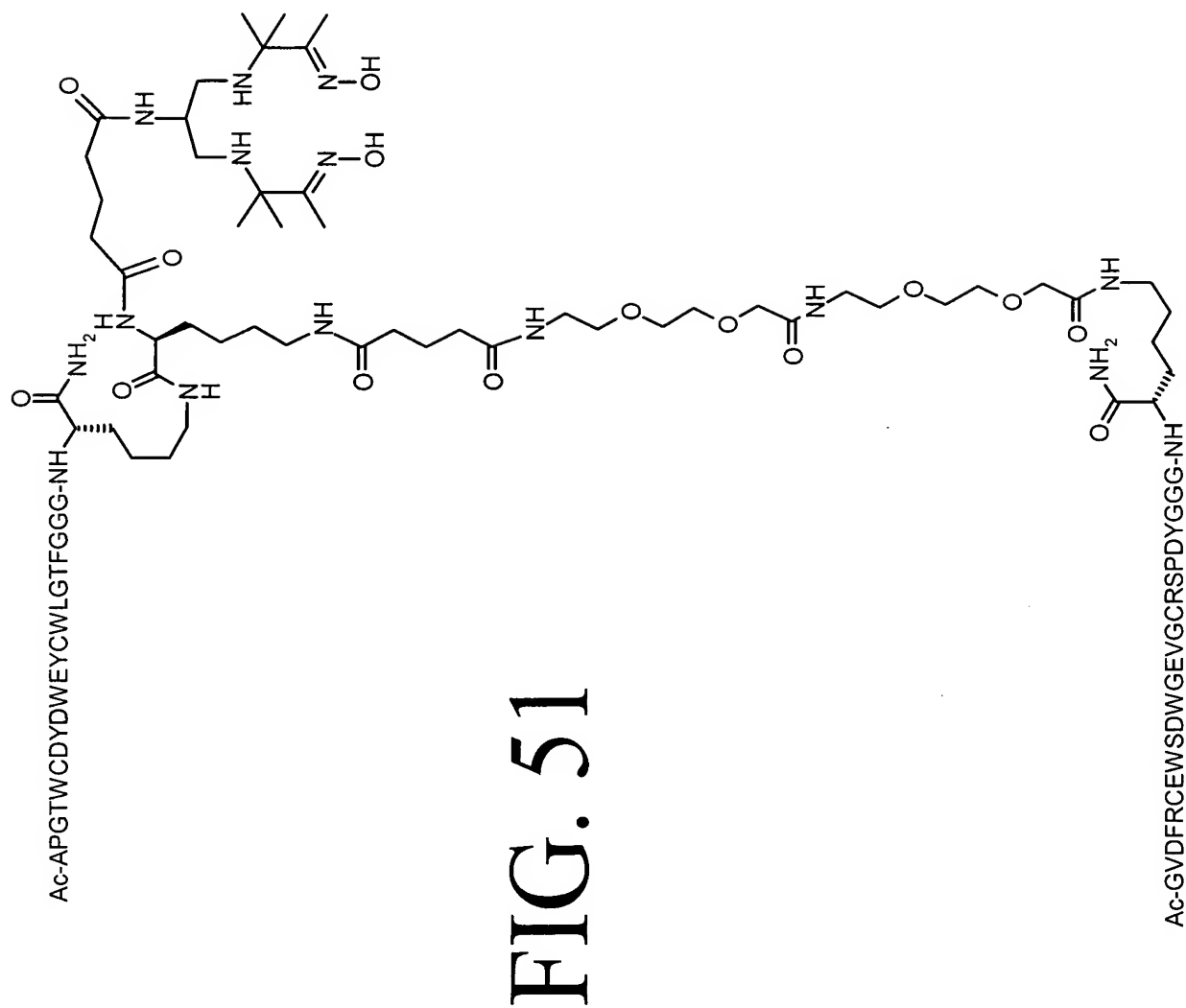


FIG. 50



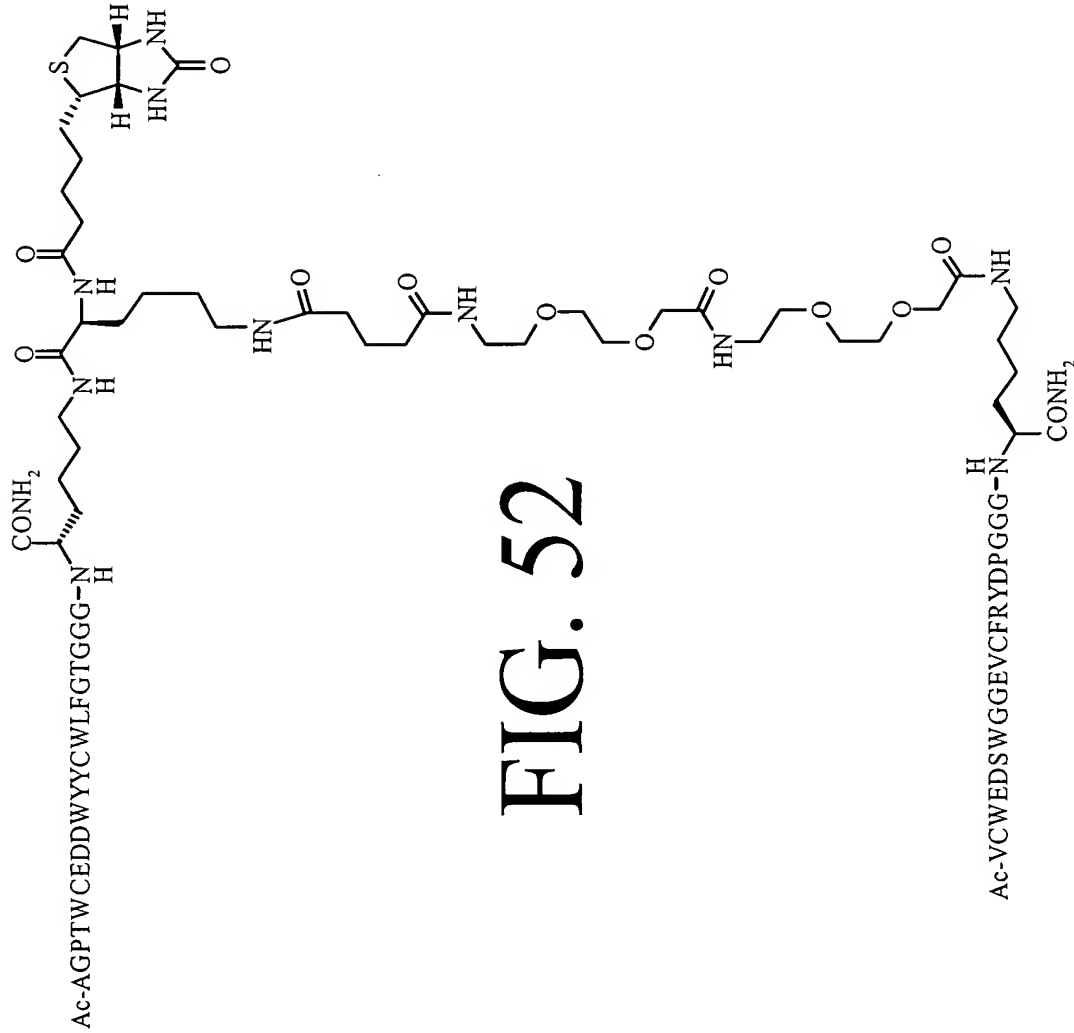
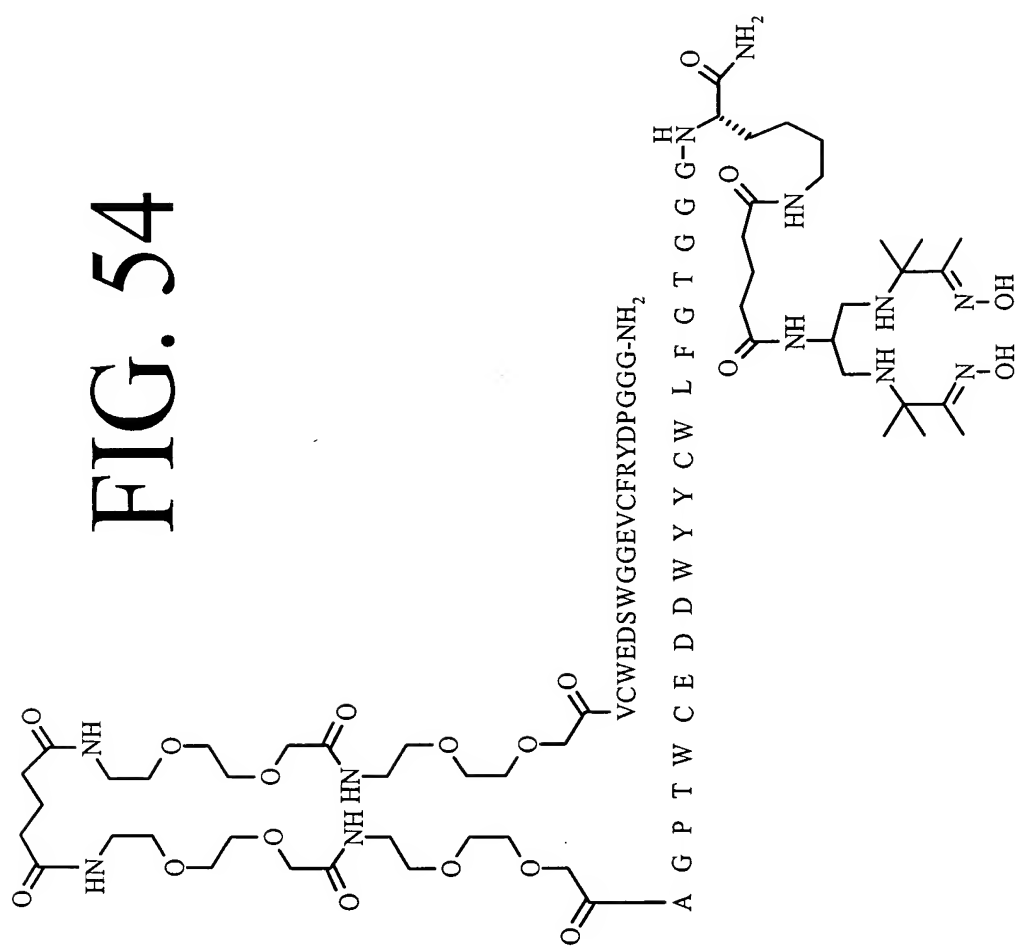


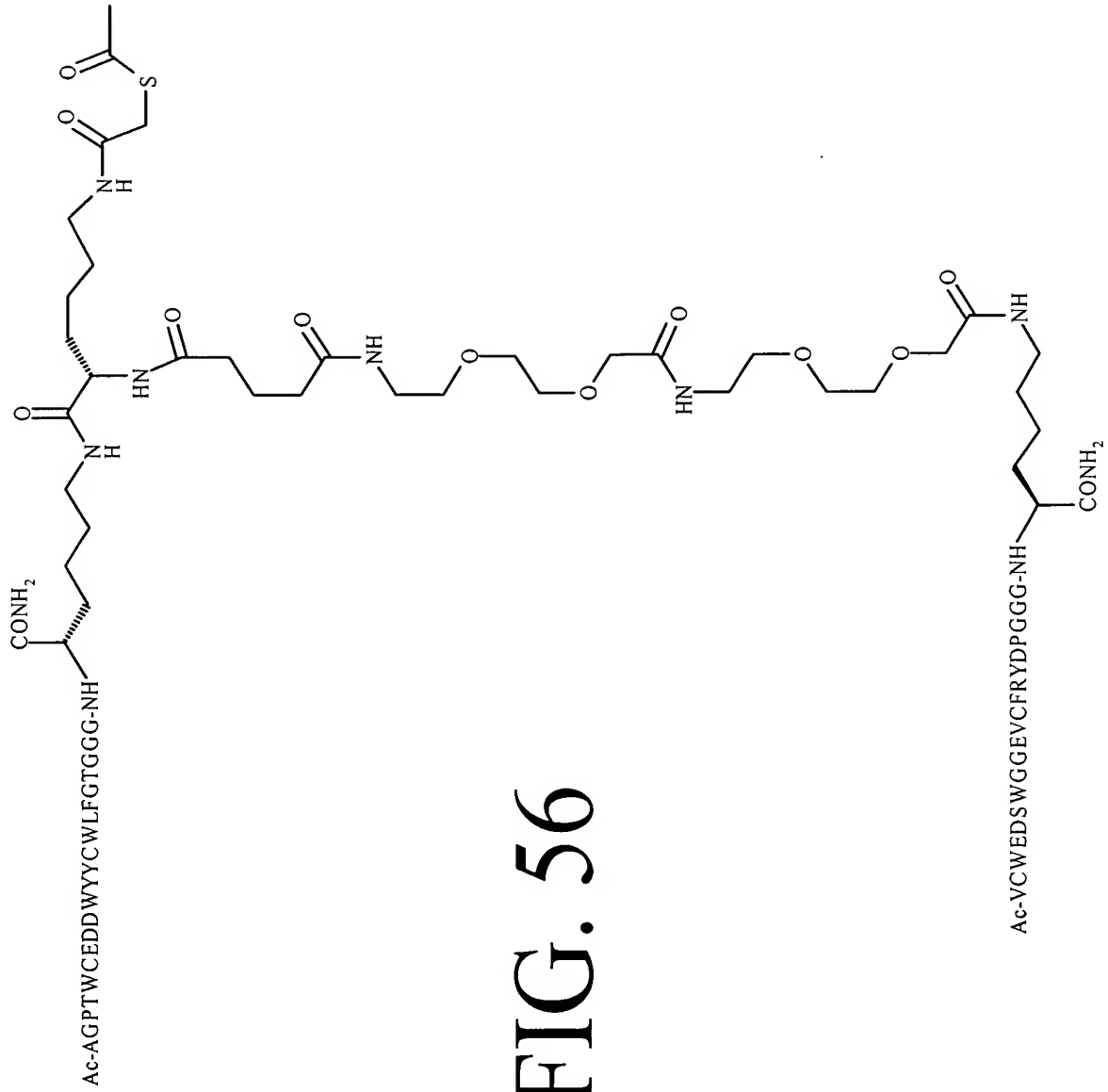


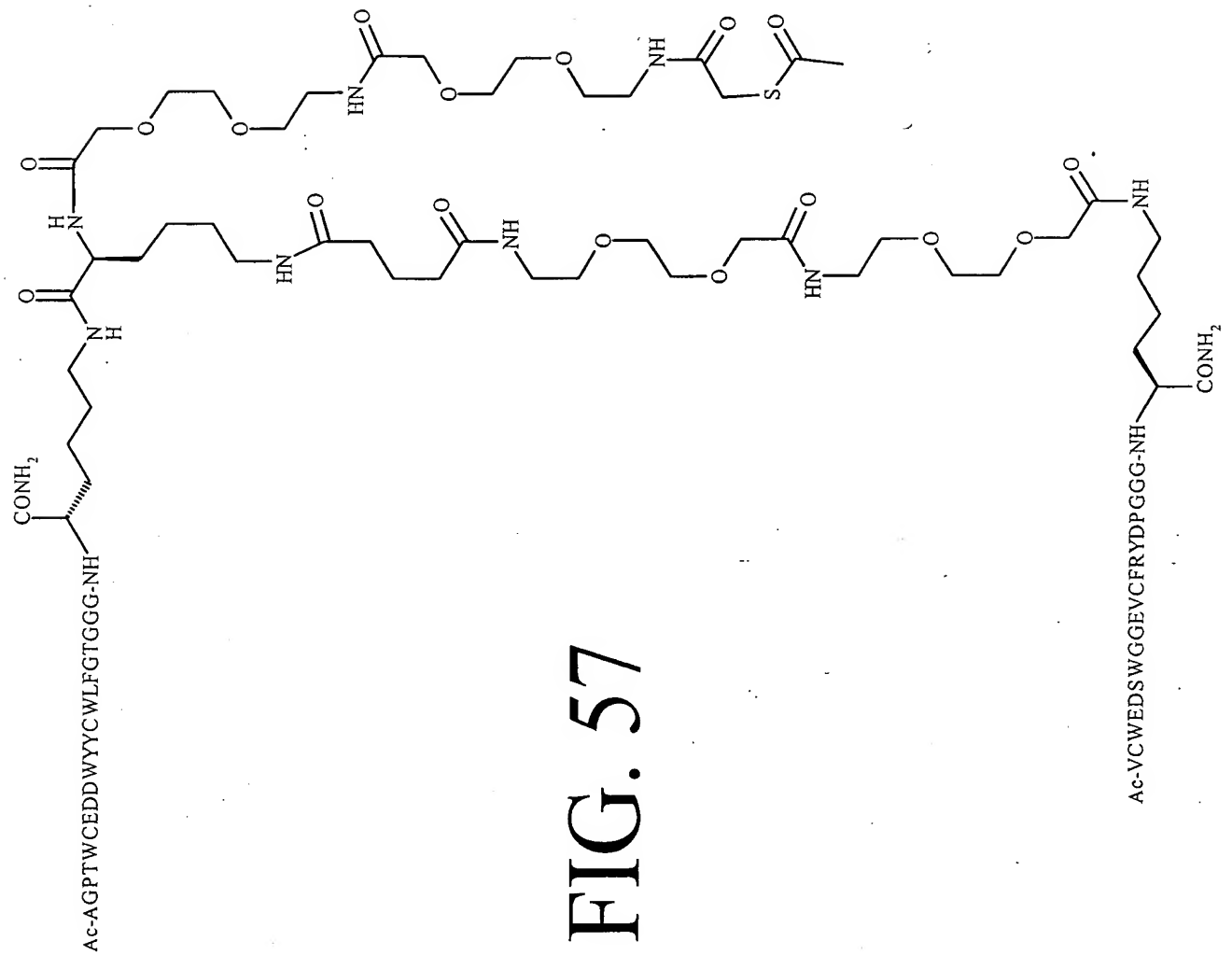
FIG. 54











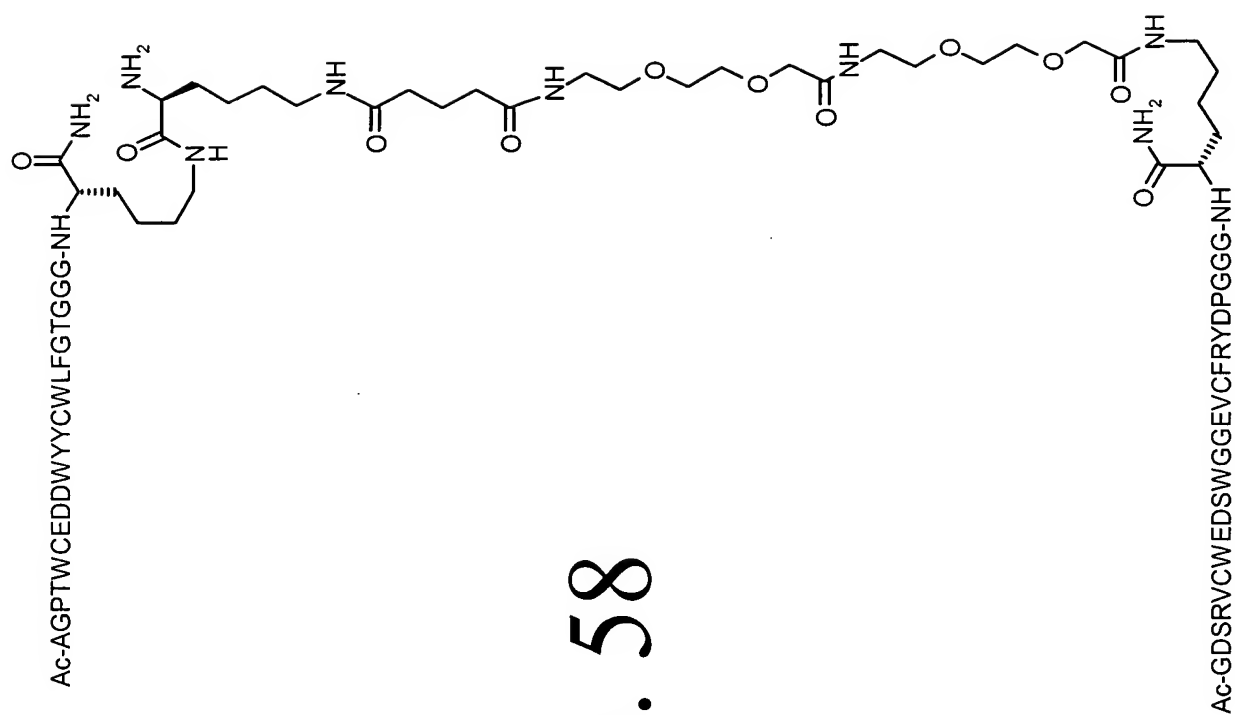


FIG. 58

FIG. 59

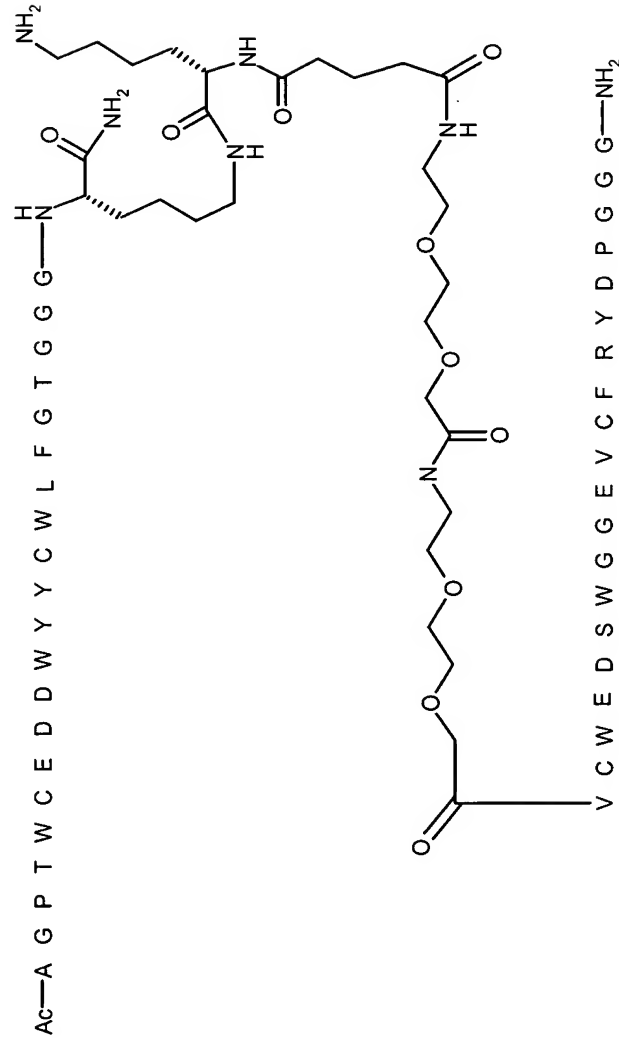


FIG. 60

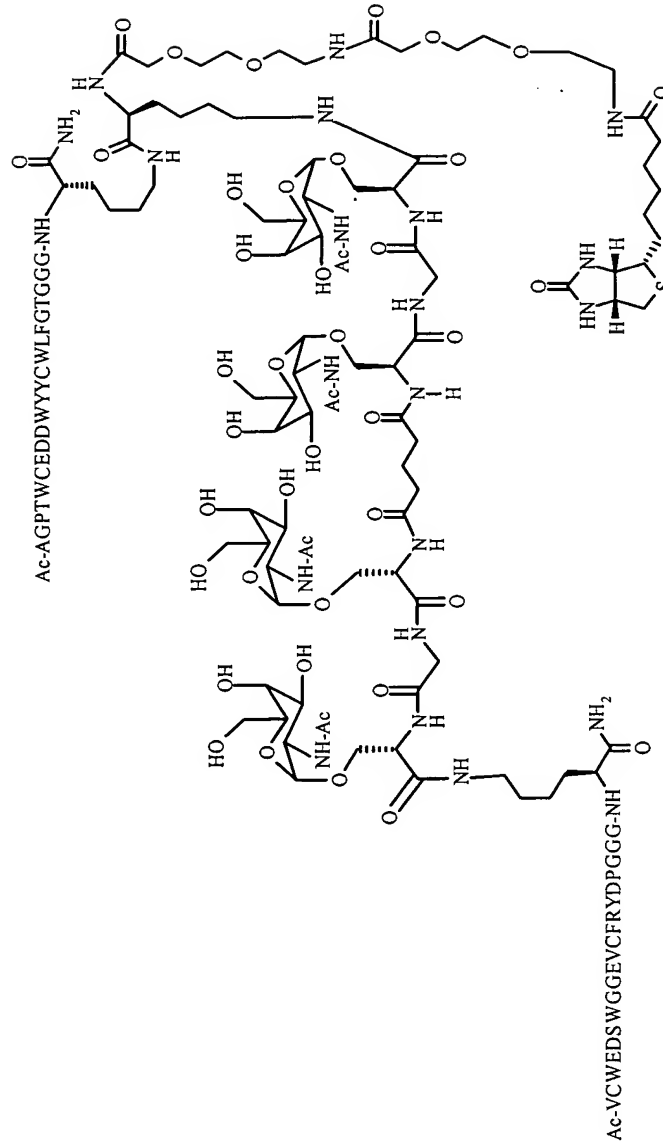


FIG. 61

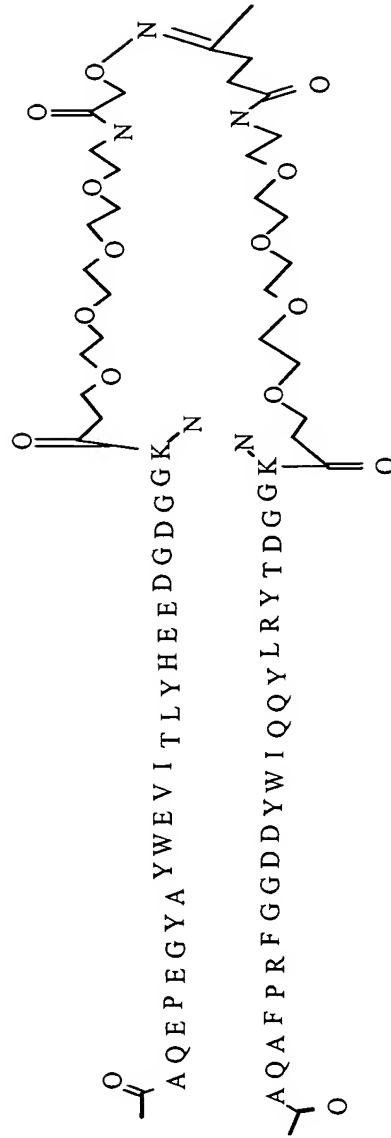
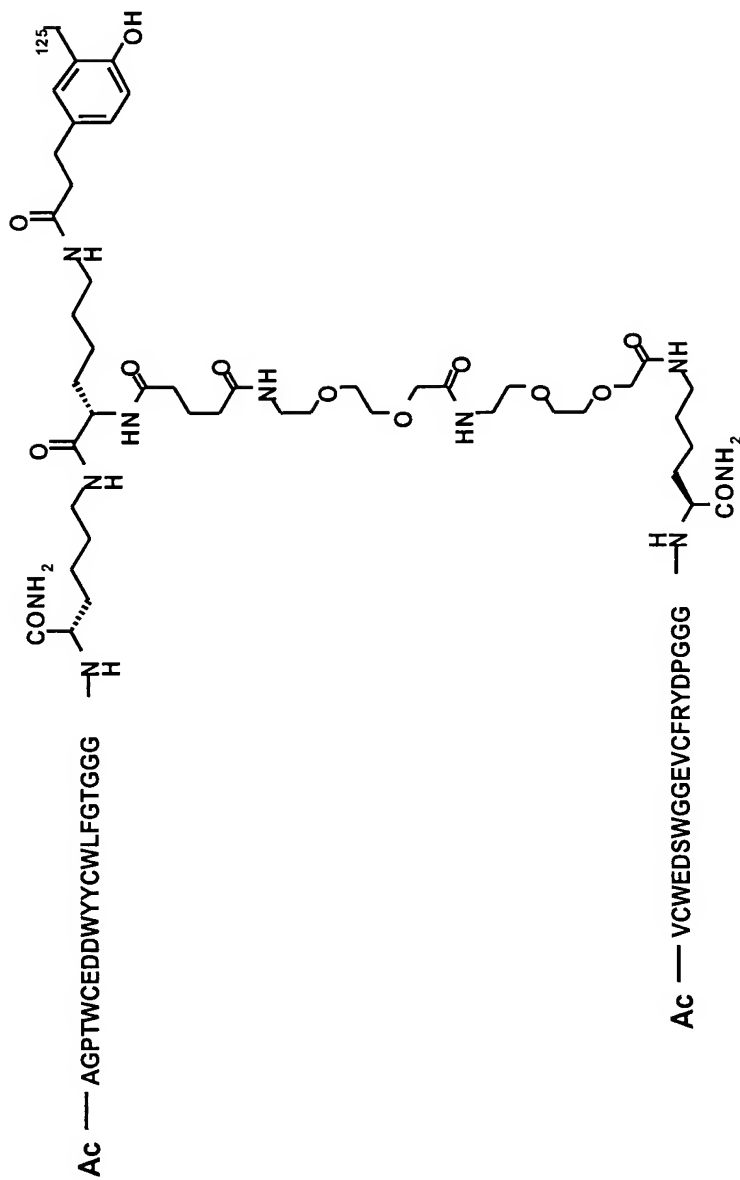


FIG. 62





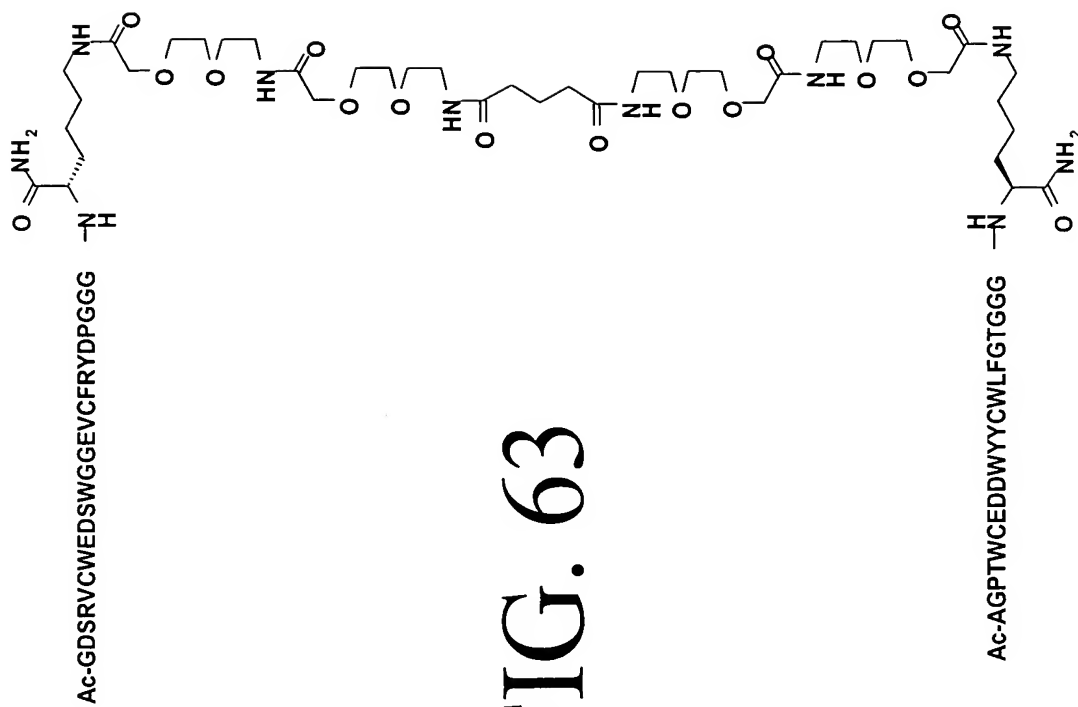


FIG. 63

FIG. 64

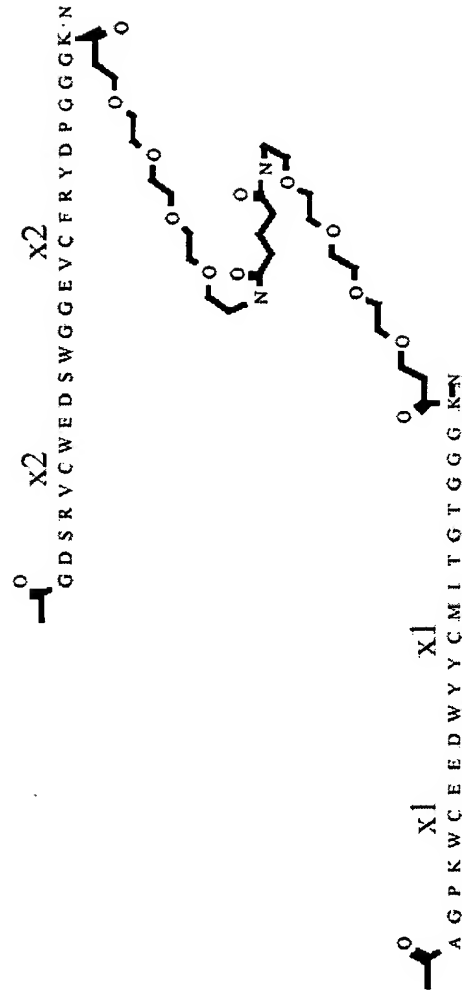


FIG. 65

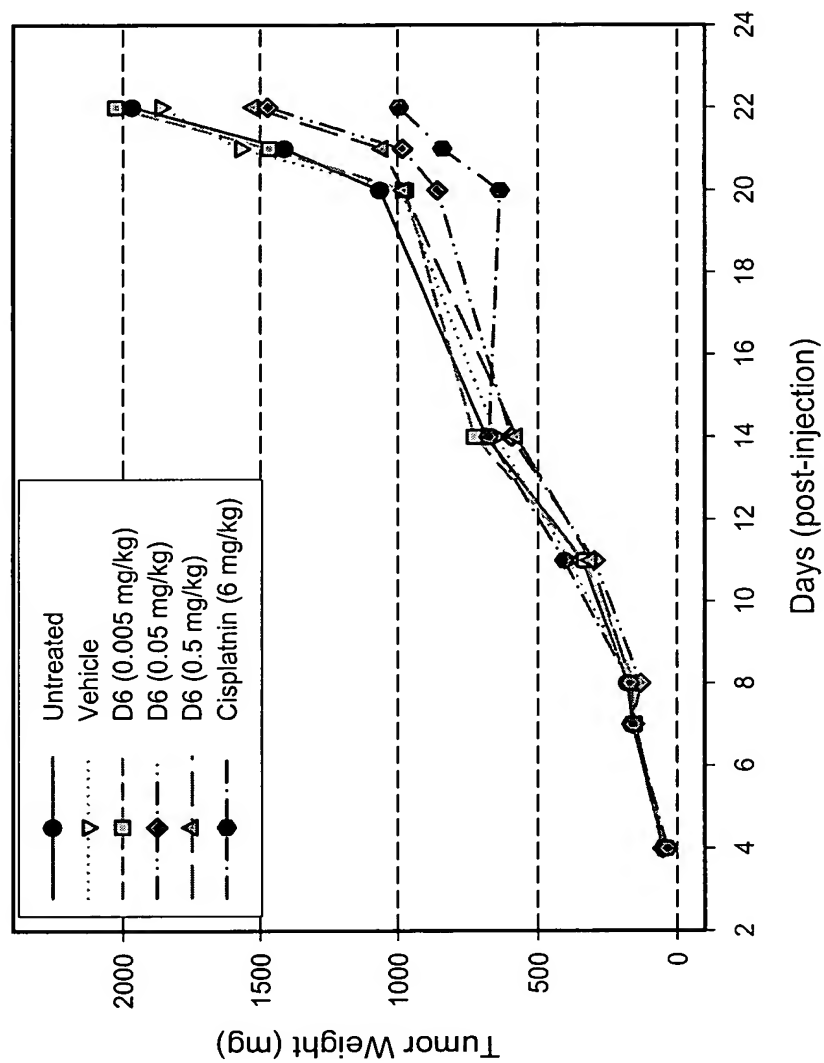


FIG. 66

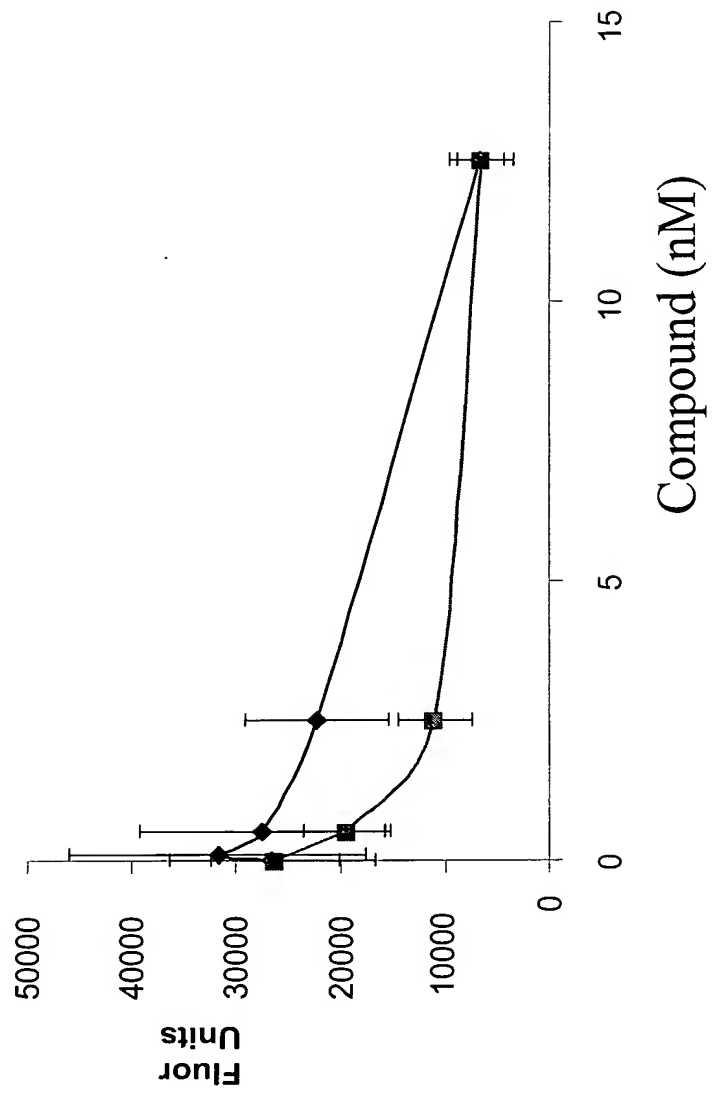


FIG. 67A

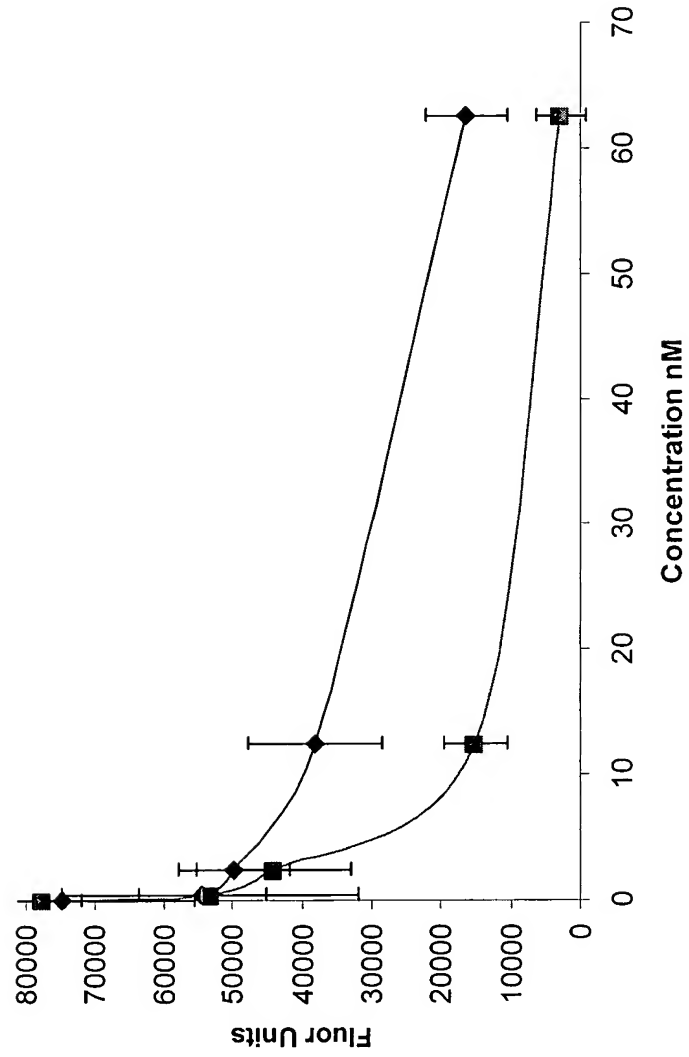
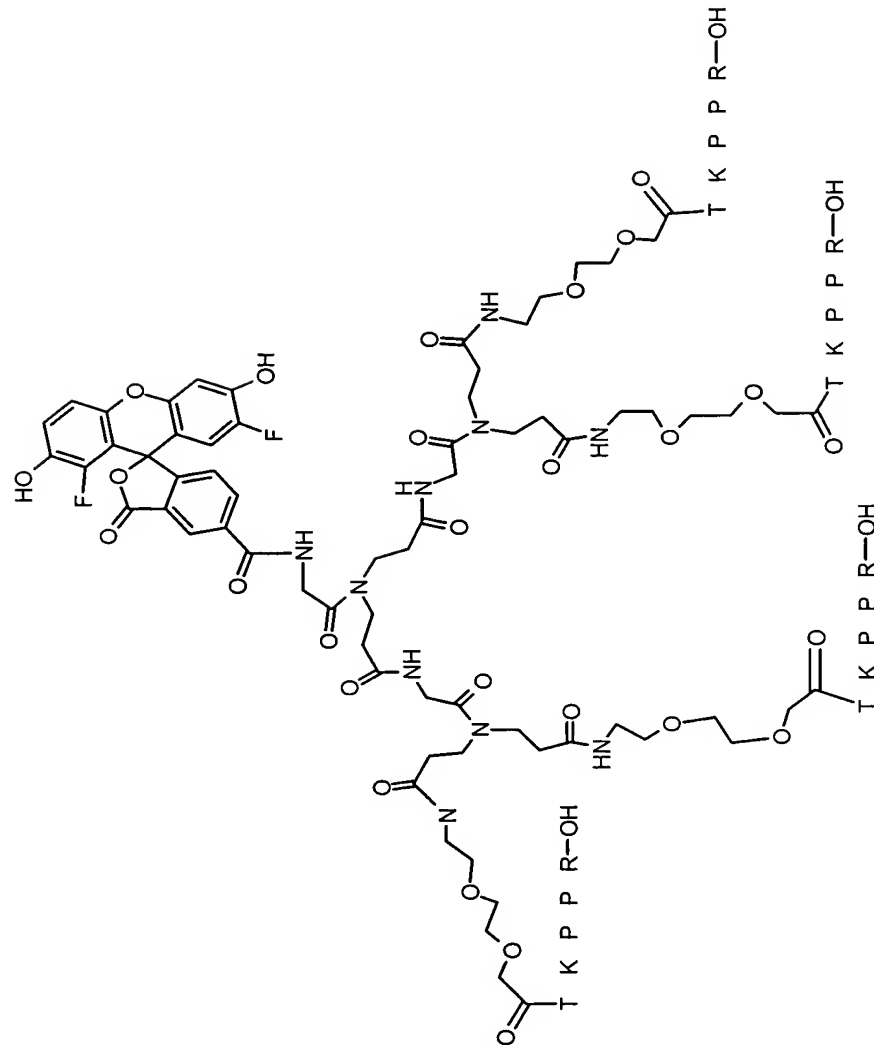


FIG. 67B



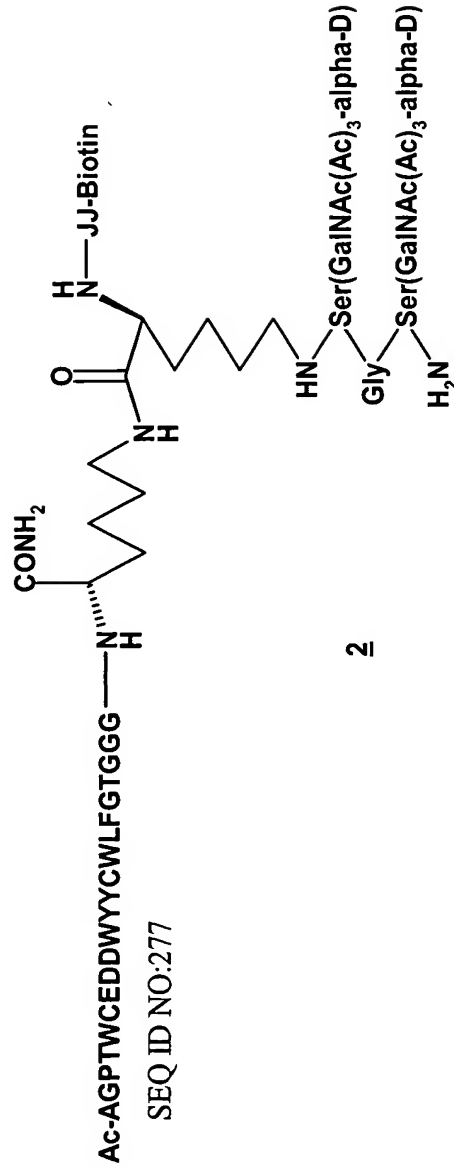
Ac-AGPTWCEDDWYWCWLFGTGGGK(IV-Dde)-NH—Resin (Pal-PEG-PS)

1

SEQ ID NO:277

1. 10% hydrazine in DMF (2 x 10 min)
2. Fmoc-Lys(IV-Dde)-OH/HOBt/DIC/DMF
3. 20% piperidine in DMF (2 x 10 min)
4. Fmoc-NH-JJ-Biotin/HOBt/DIC/DMF
5. NH<sub>2</sub>NH<sub>2</sub>/DMF (10%, 2 x 10 min)
6. Fmoc-Ser(GalNAc(Ac)<sub>3</sub>-α-D)-OH/HATU/DIEA/DMF
7. 20% piperidine in DMF (2 x 10 min)
8. Fmoc-Gly-OH/HOBt/DIC/DMF
9. 20% piperidine/DMF (2 x 10 min)
10. Fmoc-Ser(GalNAc(Ac)<sub>3</sub>-α-D)-OH/HATU/DIEA/DMF
11. 20% piperidine in DMF (2 x 10 min)
12. Reagent B
13. DMSO/aq. N-Methylglucamine/pH 8/air/2 days

FIG. 68



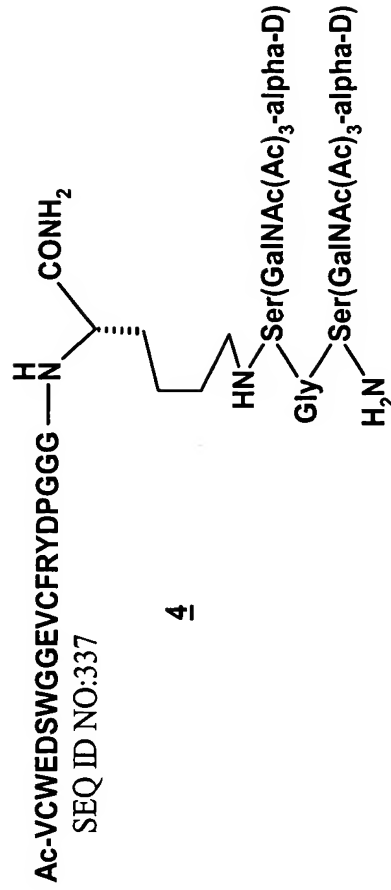
Ac-VCWEDSWGGEVCFRYPGGGK(IV-Dde)-NH—Resin (Pal-PEG-PS)

SEQ ID NO:337

3

1. 10% hydrazine in DMF (2 x 10 min)
2. Fmoc-Ser(GalNAc(Ac)<sub>3</sub>-α-D)-OH/HATU/DIEA/DMF
3. 20% piperidine in DMF (2 x 10 min)
4. Fmoc-Gly-OH/HOBt/DIC/DMF
5. 20% piperidine in DMF (2 x 10 min)
6. Fmoc-Ser(GalNAc(Ac)<sub>3</sub>-α-D)-OH/HATU/DIEA/DMF
7. 20% piperidine in DMF (2 x 10 min)
8. reagent B
9. DMSO/N-methylglucamine/pH 8/air/2 days

# FIG. 69





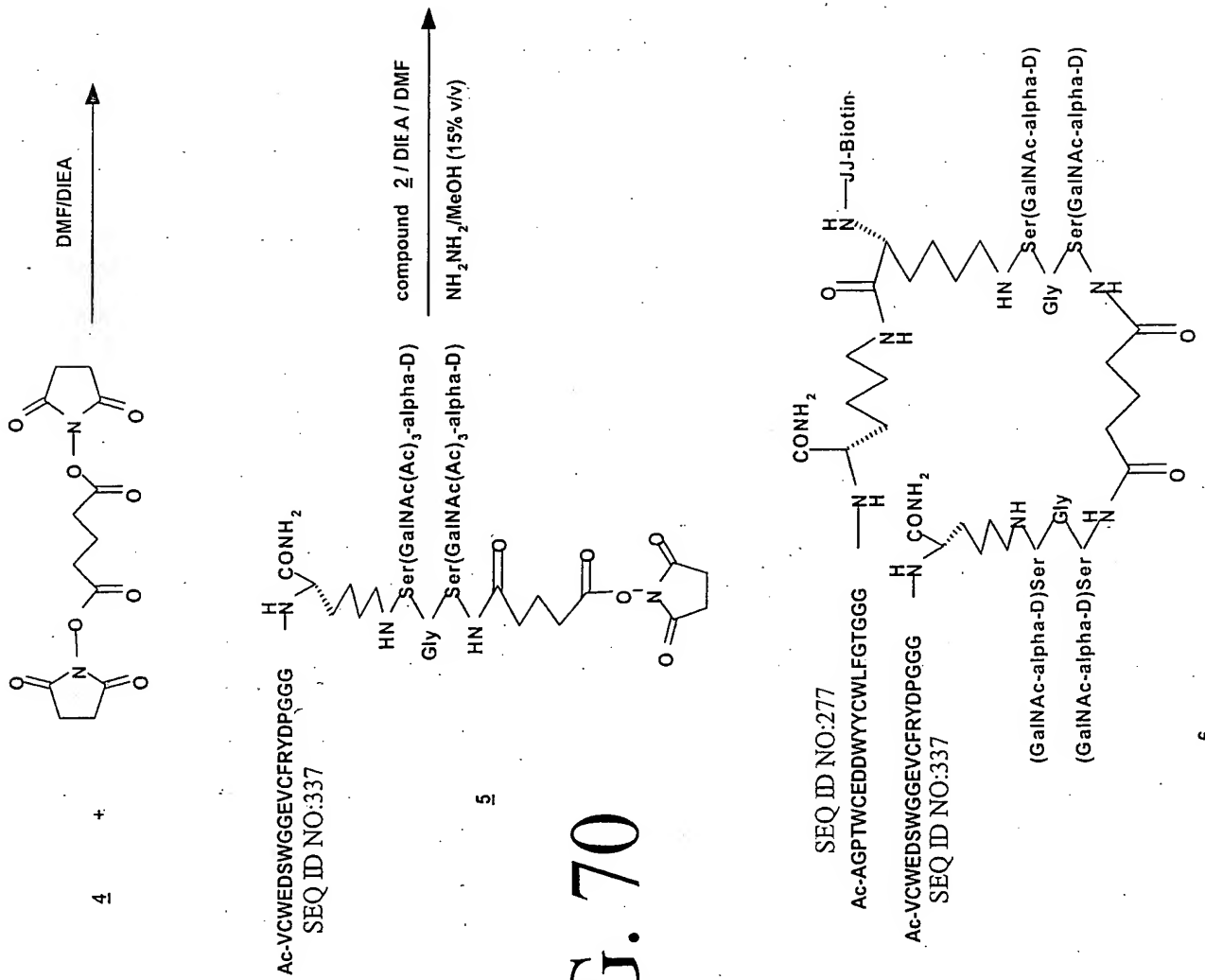


FIG. 70

FIG. 71

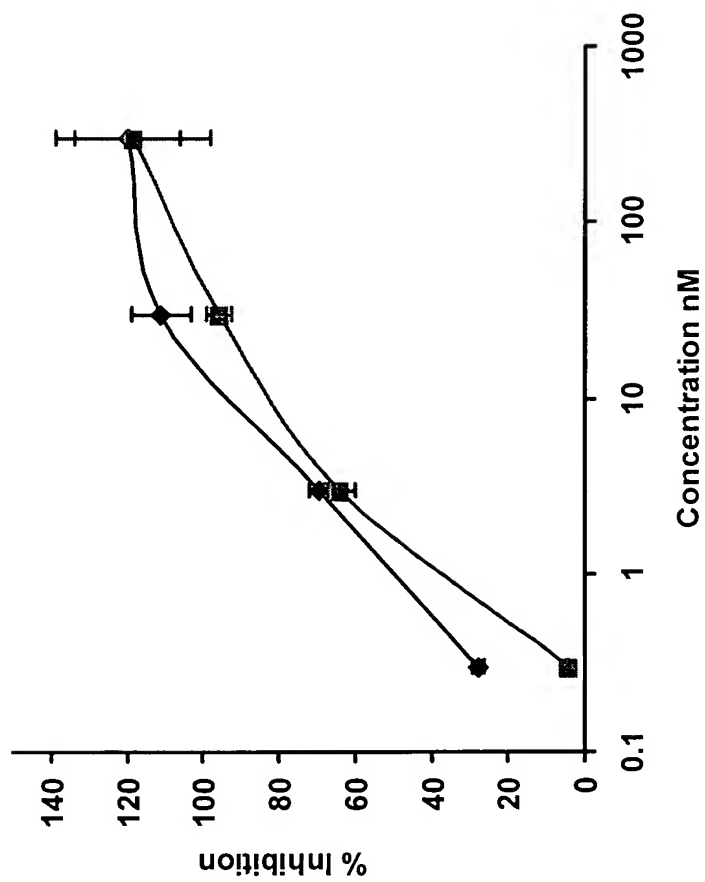


FIG. 72

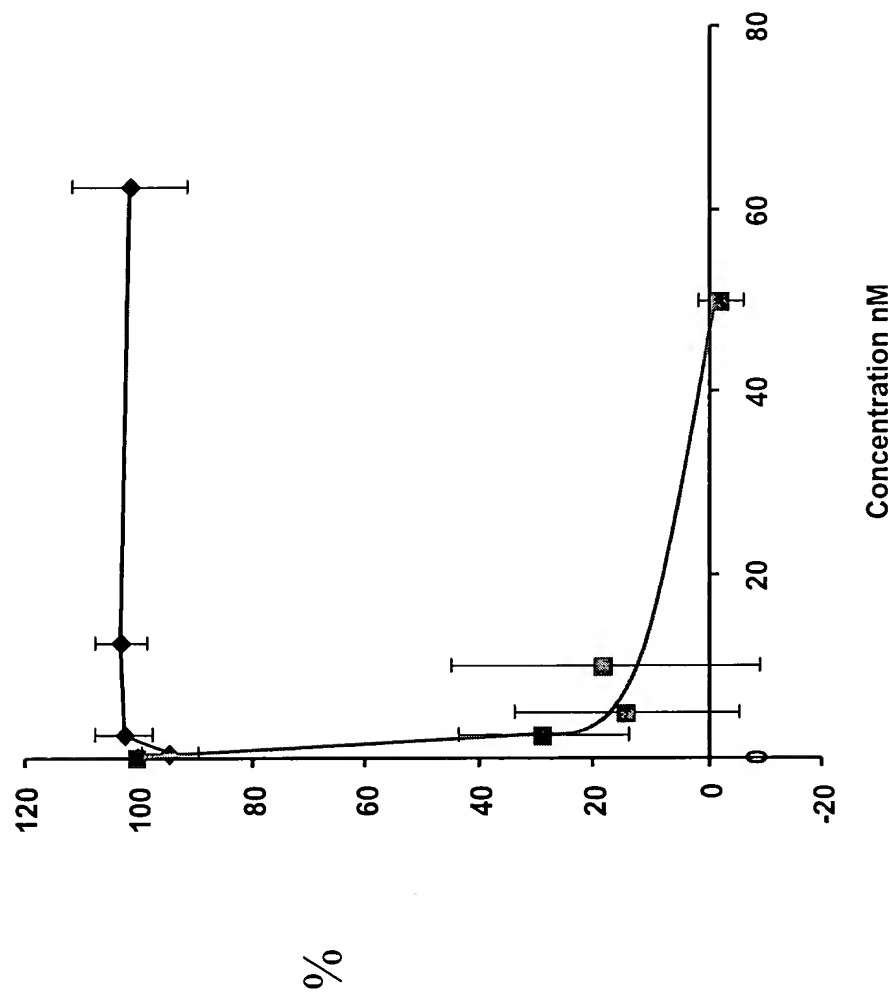


FIG. 73

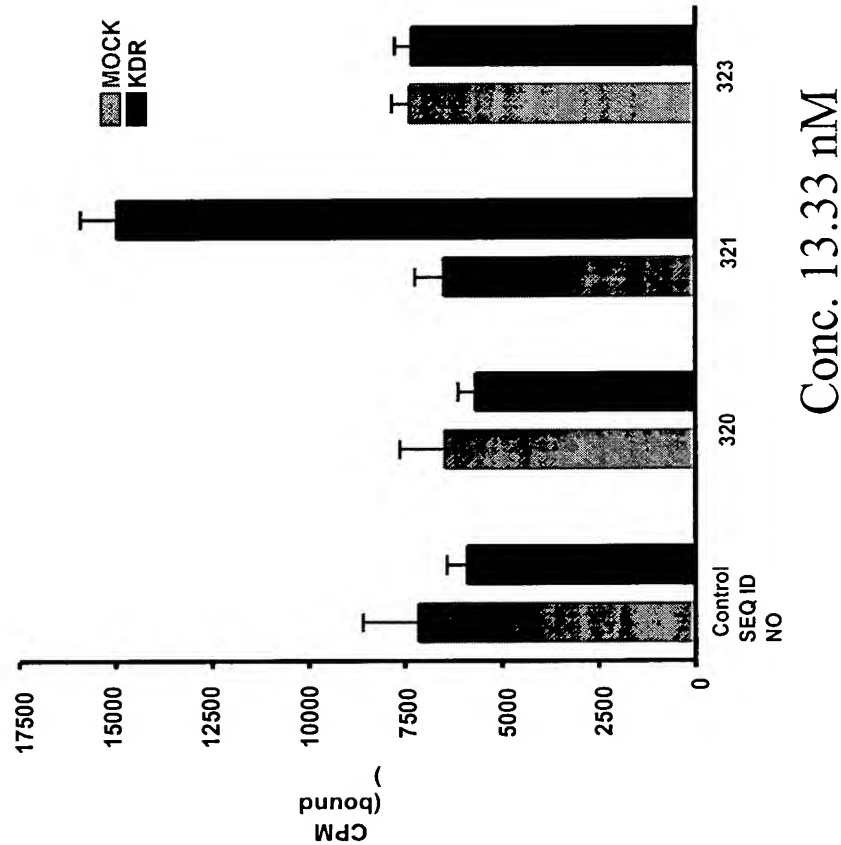


FIG. 74

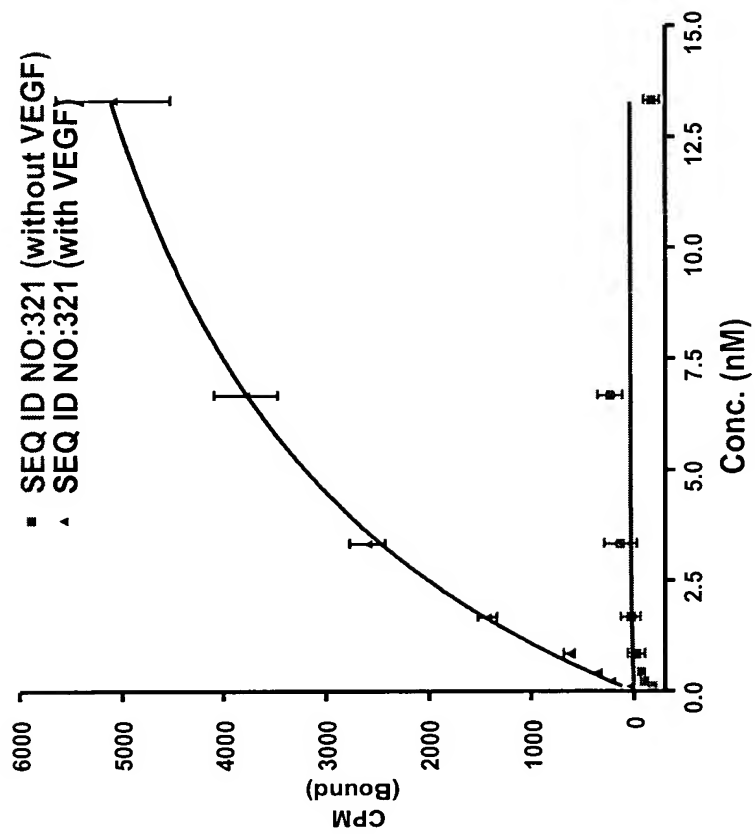
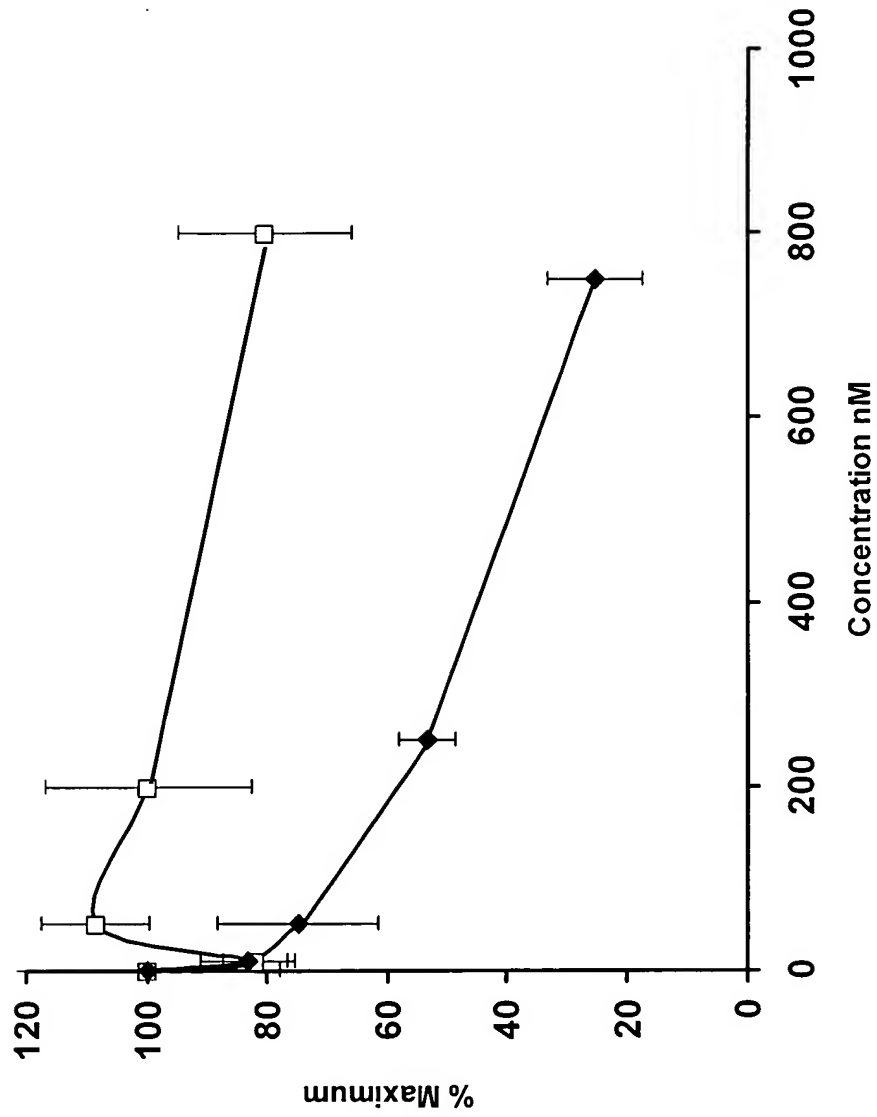
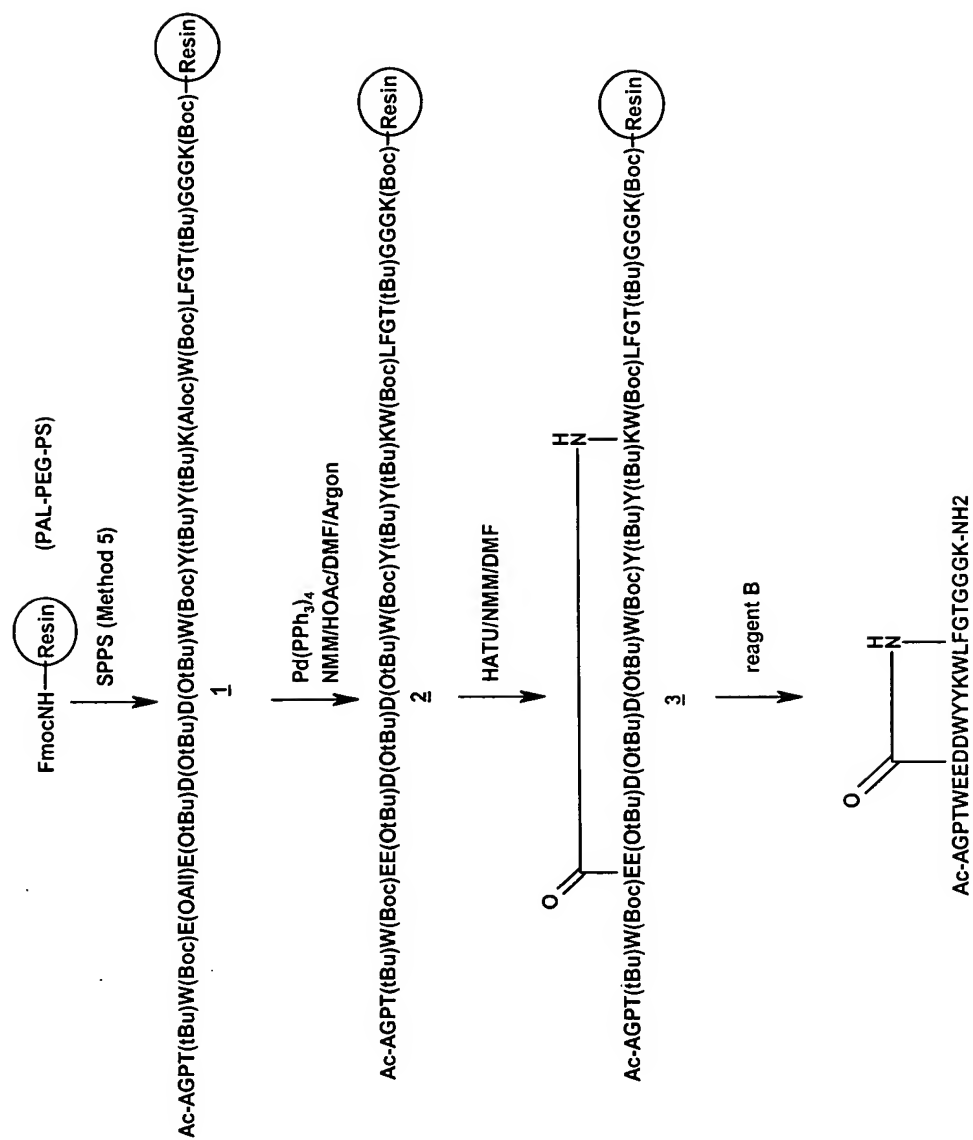


FIG. 75



# FIG. 76



4 SEQ ID NO:453

FIG. 77

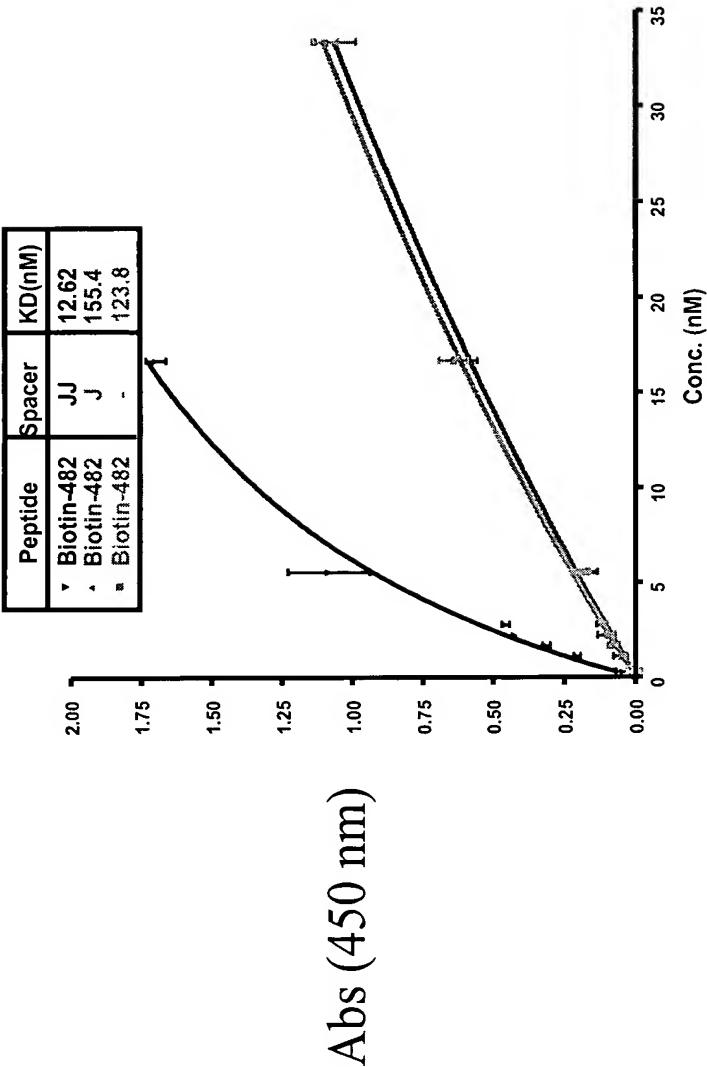
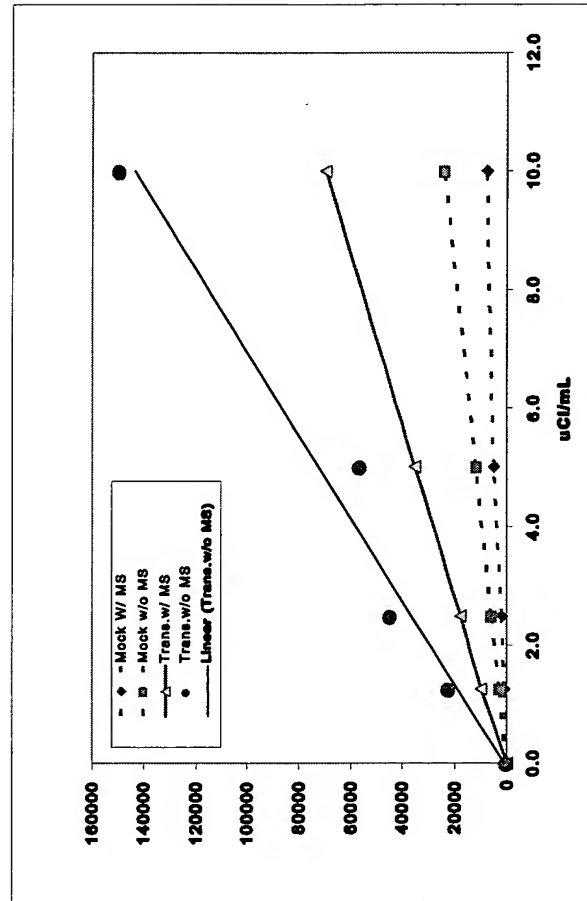




FIG. 78



<p><b>Explanation of Abbreviations:</b> Other abbreviations: BOA = (S)-2-{Bis-[2-(bis-carboxymethyl-amino)-ethyl]-amino}-pentanedioic-4-oyl; Glut- = glutaryl or 1,5-pentanedioyl, SATA = S-acetyl-alpha-thioacetyl, GalNAc(Ac)3-alpha-D = O-Beta[2-acetamido-2-deoxy-3,4,6-tri-O-acetyl-alpha-D-galactopyranosyl]-L-serinyl, iV-Dde = 1-(4,4-dimethyl-2,6-dioxocyclohex-1-ylidene)-3-methylbutyl, SCF = 5-carboxyfluoresceinyl; J = 3,6-dioxo-8-aminooctanoyl, Dpr = 2,3-diaminopropanoyl, Adca3 = (3β,5α,7α,12α)-3-amino-7,12-dihydroxycholan-24-oyl, PnAO6 = 4-{2-(2-Hydroxyimino-1,1-dimethyl-ethylamino)-1-[(2-hydroxyimino-1,1-dimethyl-ethylamino)-methyl]-ethylcarbamoyl}-butanoyl.</p>			
Compound Sequence/Structure (Parent Sequence in red text)	Obtained ? Y/N	SEQ ID NO	MS Data†
Ac-AGPTWCEDDWYYCWLFGTGGGK(Tc-Chelator)-NH <sub>2</sub>		277	
Ac-AGPTWCEDDWYYCWLFGTGGGK(PnAO6-NH-(O=C(CH <sub>2</sub> ) <sub>3</sub> C(=O)-JJ)-NH <sub>2</sub>	Y	277	1611.7 [M-2H]/2, 1074.4 [M-3H]/3 <sup>a</sup>
Ac-AGPTWCEDDWYYCWLFGTGGGK-NH <sub>2</sub>		277	
H <sub>2</sub> N-JJK(ivDde)-AGPTWCEDDWYYCWLFGTGGG-NH <sub>2</sub>	Y	277	1501.5 [M-2H]/2 <sup>a</sup>
Ac-AGPTWCEDDWYYCWLFGTGGGK(BOA-K)-NH <sub>2</sub>	Y	277	1561.9 [M-3H]/3 <sup>a</sup>
NH <sub>2</sub> -JJVCWEDSWGGEVCFRYPGGG-NH <sub>2</sub>	Y	999 (337 - C term K)	2505.4 [M-H], 1251.9 [M-2H]/2 <sup>a</sup>
H <sub>2</sub> N-JJAGPTWCEDDWYYCWLFGTGGGK(iv-Dde)-NH <sub>2</sub>	Y	277	1501.5 [M-2H]/2, 1000.8 [M-3H]/3 <sup>a</sup>
Ac-AGPTWCEDDWYYCWLFGTGGGK-NH <sub>2</sub>	Y	277	1274.4 [M-2H]/2 <sup>a</sup>
Ac-AGPTWCEDDWYYCWLFGTGGGK-NH <sub>2</sub>	Y	277	1274.4 [M-2H]/2 <sup>a</sup>
Ac-AGPTWCEDDWYYCWLFGTGGGK(SCF)-NH <sub>2</sub>	Y	277	1453.5 [M-2H]/2, 968.7 [M-3H]/3 <sup>a</sup>
Ac-AGPTWCEDDWYYCWLFATGGGK(Biotin-JJ)-NH <sub>2</sub>	Y	379	1539.8 [M-2H]/2 <sup>a</sup>
Ac-AQXXXXXXXXXXXXXXXXXGGGGGK(Biotin-JJ)-NH <sub>2</sub>		380	

Fig 79A

Compound Sequence/Structure (Parent Sequence in red text)	Obtained ? Y/N	SEQ ID NO	MS Data <sup>†</sup>
Ac-AQPDNWKEFYESGWKYPSTLYKPLGGGGGK(Biotin-JJ)-NH <sub>2</sub>	Y	381	1878.9 [M+2H]/2 <sup>b</sup>
Ac-AQQIEYVNDKWYWTGGYWNVPFGGGGGK(Biotin-JJ)-NH <sub>2</sub>	Y	382	1866.6 [M-2H]/2 <sup>a</sup>
Ac-AQDALEAPKRDWYYDWFLNHSPGGGGGK(Biotin-JJ)-NH <sub>2</sub>	Y	383	1845.5 [M-2H]/2 <sup>a</sup>
Ac-AQWYHDGLHNERKPPSHWIDNVGGGGGK(Biotin-JJ)-NH <sub>2</sub>	Y	384	1833.7 [M-2H]/2 <sup>a</sup>
Ac-AQDWYWQRERDKLREHYDDAFWGGGGGK(Biotin-JJ)-NH <sub>2</sub>	Y	385	1990.8 [M-2H]/2 <sup>a</sup>
Ac-AGPTWCEDDWYYCWLFGTGGGK-NH <sub>2</sub>		277	
Ac-AAPTWCEDDWYYCWLFGTGGGK-NH <sub>2</sub>	Y	386	2563.5 [M-H], 1281.8 [M-2H]/2 <sup>a</sup>
Ac-AGATWCEDDWYYCWLFGTGGGK-NH <sub>2</sub>	Y	387	2523.6 [M-H], 1261.5 [M-2H]/2 <sup>a</sup>
Ac-AGPAWCEDDWYYCWLFGTGGGK-NH <sub>2</sub>	Y	388	2519.8 [M-H], 1259.5 [M-2H]/2 <sup>a</sup>
Ac-AGPTACEDDWYYCWLFGTGGGK-NH <sub>2</sub>	Y	389	2434.6 [M-H], 1216.8 [M-2H]/2 <sup>a</sup>
Ac-AGPTWCADDWYYCWLFGTGGGK-NH <sub>2</sub>	Y	390	1244.9 [M-2H]/2a
Ac-AGPTWCADWYYCWLFGTGGGK-NH <sub>2</sub>	Y	391	2434.6 [M-H], 1216.6 [M-2H]/2 <sup>a</sup>
Ac-AGPTWCEDAWYYCWLFGTGGGK-NH <sub>2</sub>	Y	392	1252.7 [M-2H]/2 <sup>a</sup>
Ac-AGPTWCEDDAYYCWLFGTGGGK-NH <sub>2</sub>	Y	393	2434.3 [M-H], 1216.8 [M-2H]/2 <sup>a</sup>
Ac-AGPTWCEDDWAYCWLFGTGGGK-NH <sub>2</sub>	Y	394	2457.5 [M-H], 1239.0 [M-3H+Na], 1228.3 [M-2H]/2 <sup>a</sup>
Ac-AGPTWCEDDWYACWLFGTGGGK-NH <sub>2</sub>	Y	395	2456.8 [M-H], 1228.2 [M-2H]/2 <sup>a</sup>
Ac-AGPTWCEDDWYYCALFGTGGGK-NH <sub>2</sub>	Y	396	2505.4 [M-H], 1252.5 [M-2H]/2 <sup>a</sup>
Ac-AGPTWCEDDWYYCWAFTGGGK-NH <sub>2</sub>	Y	397	1253.3 [M-2H]/2 <sup>a</sup>
Ac-AGPTWCEDDWYYCWLFTGGGK-NH <sub>2</sub>	Y	398	1236.4 [M-2H]/2 <sup>a</sup>
Ac-AGPTWCEDDWYYCWLFTGGGK-NH <sub>2</sub>	Y	399	2564.6 [M-H], 1281.6 [M-2H]/2 <sup>a</sup>
Ac-AGPTWCEDDWYYCWLFGAGGGK-NH <sub>2</sub>	Y	400	2519.7 [M-H], 1259.6 [M-2H]/2 <sup>a</sup>
Ac-AGPTWCEDDWYYCWLFGTGGGK-NH <sub>2</sub>		277	
Ac-aGPTWCEDDWYYCWLFGTGGGK-NH <sub>2</sub>	Y	277	2549.7 [M-H], 1274.7 [M-2]/2 <sup>a</sup>
Ac-AaPTWCEDDWYYCWLFGTGGGK-NH <sub>2</sub>	Y	401	2564.7 [M-H], 1292.7 [M-3H+Na]/2, 1281.2 [M-2H]/2 <sup>a</sup>
Ac-AGaPTWCEDDWYYCWLFGTGGGK-NH <sub>2</sub>	Y	402	1261.4 [M-2H]/2 <sup>a</sup>
Ac-AGPaWCEDDWYYCWLFGTGGGK-NH <sub>2</sub>	Y	403	2519.2 [M-H], 1259.1 [M-2H]/2 <sup>a</sup>
Ac-AGPTaCEDDWYYCWLFGTGGGK-NH <sub>2</sub>	Y	404	2434.6 [M-H], 1217.1 [M-2H]/2 <sup>a</sup>
Ac-AGPTWCaDDWYYCWLFGTGGGK-NH <sub>2</sub>	Y	405	2490.8 [M-H], 1245.6 [M-2H]/2 <sup>a</sup>

Fig 79B

Compound Sequence/Structure (Parent Sequence in red text)	Obtained ? Y/N	SEQ ID NO	MS Data <sup>†</sup>
Ac-AGPTWCEaDWYYCWLFGTGGGK-NH <sub>2</sub>	Y	406	2505.8 [M-H], 1252.1 [M-2H]/2 <sup>a</sup>
Ac-AGPTWCEDaDWYYCWLFGTGGGK-NH <sub>2</sub>	Y	407	2506.0 [M-H], 1252.0 [M-2H]/2 <sup>a</sup>
Ac-AGPTWCEDDaDWYYCWLFGTGGGK-NH <sub>2</sub>	Y	408	2434.4 [M-H], 1217.1 [M-2H]/2 <sup>a</sup>
Ac-AGPTWCEDDWaYCWLFGTGGGK-NH <sub>2</sub>	Y	409	2458 [M-H], 1228.6 [M-2H]/2 <sup>a</sup>
Ac-AGPTWCEDDWYCaCWLFGTGGGK-NH <sub>2</sub>	Y	410	2457.6 [M-H], 1228.5 [M-2H]/2 <sup>a</sup>
Ac-AGPTWCEDDWYYCaLFGTGGGK-NH <sub>2</sub>	Y	411	2434.8 [M-H], 1228.1 [M-3H+Na]/2, 1217.0 [M-2H]/2 <sup>a</sup>
Ac-AGPTWCEDDWYYCWaFGTGGGK-NH <sub>2</sub>	Y	412	2507.7 [M-H], 1264.1 [M-3H+Na]/2, 1253.6 [M-2H]/2 <sup>a</sup>
Ac-AGPTWCEDDWYYCWLafGTGGGK-NH <sub>2</sub>	Y	413	2473.6 [M-H], 1247.6 [M-3H+Na]/2, 1236.2 [M-2H]/2 <sup>a</sup>
Ac-AGPTWCEDDWYYCWLfaTGGGK-NH <sub>2</sub>	Y	414	2563.7 [M-H], 1709.3 [unassigned], 1292.7 [M-3H+Na]/2, 1281.9 [M-2H]/2 <sup>a</sup>
Ac-AGPTWCEDDWYYCWLFgaGGGK-NH <sub>2</sub>	Y	415	2519.0 [M-H], 1259.6 [M-2H]/2 <sup>a</sup>
Ac-GDSRVCWEDSWGGEVCFRYDPGGGK-NH <sub>2</sub>		294	
Ac-GDSRVCWEDaWGGEVCFRYDPGGGK-NH <sub>2</sub>	Y	416	1401.9 [M-3H+Na], 1391.7 [M-2H]/2 <sup>a</sup>
Ac-GDSRVCWEDSWaGEVCFRYDPGGGK-NH <sub>2</sub>	Y	417	1664.4 [M-2H]/2 <sup>a</sup>
Ac-GDSRVCWEDSWGaEVCFRYDPGGGK-NH <sub>2</sub>	Y	418	1664.7 [M-2H]/2 <sup>a</sup>
Ac-AGPTWCEDDWYYCWLFGTGGGK-NH <sub>2</sub>		277	
Ac-AGjTWCEDDWYYCLfGTGGGK-NH <sub>2</sub>	Y	419	1267.9 [M-2H]/2 <sup>a</sup>
Minimum Number of AA for DWYY Motif		420	
Ac-GDWYYGGGK-NH <sub>2</sub>	Y	421	1041.2 [M-H] <sup>a</sup>
Ac-EDDWYYGGGK-NH <sub>2</sub>	Y	422	1228.3 [M-H], 612.8 [M-2H]/2 <sup>a</sup>
Ac-AQDWYYAWLFTGGGK-NH <sub>2</sub>	Y	423	1859.7 [M-H], 986.4 [M-2H]/2 <sup>a</sup>
Ac-AQDWYYAWL-NH <sub>2</sub>	Y	424	1254.4 [M-H] <sup>a</sup>
Ac-AGPTWCEDDWYYCWLFGTGGGK-NH <sub>2</sub>		277	
Ac-AGPTWCEDEWYYCWLFGTGGGK-NH <sub>2</sub>	Y	425	1281.5 [M-2H/2], 853.6 [M-3H/3] <sup>a</sup>
Ac-AGPTWCEDDWYCWLFGTGGGK-NH <sub>2</sub>	Y	426	1285.4 [M-2H/2], 856.8 [M-3H/3] <sup>a</sup>

Fig 79C

Compound Sequence/Structure (Parent Sequence in red text)	Obtained ? Y/N	SEQ ID NO	MS Data <sup>†</sup>
Ac-AGPTWCEDDWFYCWLFGTGGGK-NH <sub>2</sub>	Y	427	1265.8 [M-2H/2] <sup>a</sup>
Ac-AGPTWCEDDWYYCWLFGTGGGK-NH <sub>2</sub>		277	
Ac-AGPTWAEDDWYYAWLFGTGGGK-NH <sub>2</sub>	Y	428	2486.9 [M-H], 1243.6 [M-2H]/2 <sup>a</sup>
Ac-AAPAWCAADWYYCWLFGTGGGK-NH <sub>2</sub>	Y	429	2432.7 [M-H], 1272.5 [M+TFA-2H]/2 <sup>a</sup>
Ac-AGPTWCaDDWYYCWLFGTGGGK-NH <sub>2</sub>	Y	430	2192.6 [M-H], 1096.0 [M-2H/2] <sup>a</sup>
Ac-AGPTWCEDDWYYCWLFGTGGGK-NH <sub>2</sub>		277	
Ac-CEDDWYYCWLFGTGGGK-NH <sub>2</sub>	Y	431	2037.6 [M-H], 1018.4 [M-2H]/2, 520.8 [M-6H+2Na]/4 <sup>a</sup>
Ac-WCEDDWYYCWLFGTGGGK-NH <sub>2</sub>	Y	432	2221.8 [M-H], 1111.6 [M-2H]/2, 740.7 [M-3H]/3 <sup>a</sup>
Ac-WCAADWYYCWLF-NH <sub>2</sub>	Y	433	1663.5 [M-H] <sup>a</sup>
Ac-WCEDDWYYCWLF-NH <sub>2</sub>	Y	434	1766.5 [M-H], 882.1 [M-2H]/2 <sup>a</sup>
Ac-AGPTWCEDDWYYCWLFGTGGGK(Biotin-JJ)-NH <sub>2</sub>		277	
Ac-AGPTWCEDDWYYCWLFGTGGGK(iV-Dde)-Adca3-NH <sub>2</sub>	Y	373	1665.2 [M-2H]/2 <sup>a</sup>
Ac-GDSRVCWEDSWGGEVCFRYPGGGK(Biotin-JJ)-NH <sub>2</sub>		294	
Ac-VCWEDSWGGEVCFRYPGGGK(Biotin-JJ)-NH <sub>2</sub>	Y	337	1449.3 [M-2H]/2, 965.8 [M-3H]/3 <sup>a</sup>
Ac-VCWEDSWGGEVCFRYGGGK(Biotin-JJ)-NH <sub>2</sub>	Y	435	2689.4 [M-H], 1344.0 [M-2H]/2 <sup>a</sup>
Ac-GDSRVCWEDSWGGEVCFRYPGGGK-NH <sub>2</sub>		294	
Ac-GDSRVAWEDSWGGEVAFRYPGGGK-NH <sub>2</sub>	Y	436	1368.4 [M-2H]/2 <sup>a</sup>
Ac-VCWEDSWGGEVCFRYGGGK-NH <sub>2</sub>	Y	437	1085.8 [M-2H]/2 <sup>a</sup>
Ac-VCWEDSWGGEVCFRYGGGK-NH <sub>2</sub>	Y	437	2172.0 [M-H], 1086.0 [M-2H]/2 <sup>a</sup>
Ac-GDSRVCWEDaWGGEVCFRYPGGGK-NH <sub>2</sub>	Y	438	1401.9 [M-3H+Na]/2, 1391.7 [M-2H]/2 <sup>a</sup>
Ac-GDSRVCWEDfWGGEVCFRYPGGGK-NH <sub>2</sub>	Y	439	1429.7 [M-2H]/2 <sup>a</sup>
Ac-GDSRVCWEDkWGGEVCFRYPGGGK-NH <sub>2</sub>	Y	440	1430.7 [M-3H+Na]/2, 1420.1 [M-2H]/2 <sup>a</sup>
Ac-GDSRVCWEDSWGfEVCFRYPGGGK-NH <sub>2</sub>	Y	441	1444.5 [M-2H]/2 <sup>a</sup>
Ac-GDSRVCWEDSWGkEVCFRYPGGGK-NH <sub>2</sub>	Y	442	1435.1 [M-2H]/2 <sup>a</sup>
Ac-GDSRVCWEDSWGgEVCFRYPGGGK-NH <sub>2</sub>	Y	443	1435.5 [M-2H]/2 <sup>a</sup>
Sequences Binding to KDR-VEGF Complex			
Ac-AGPGPCKGYMPHCQWYMGTTGGGK(5CF)-NH <sub>2</sub>	Y	321	1543.7 [M-2H]/2, 1028.8 [M-3H]/3, 771.3 [M-4H]/4, 617.0 [M-5H]/5 <sup>a</sup>

Fig 79D

Compound Sequence/Structure (Parent Sequence in red text)	Obtained ? Y/N	SEQ ID NO	MS Data <sup>†</sup>
Ac-AGPGPCKGYMPHCQWYMGTTGGGK(Biotin-JJ)-NH <sub>2</sub>	Y	321	2937.4 [M-H], 1468.2 [M-2]/2 <sup>a</sup>
Ac-AGMPWCVEKDHWCWWGTGGGK(Biotin-JJ)-NH <sub>2</sub>	Y	444	1622.5 [M-2H]/2 <sup>a</sup>
Ac-AGYGPCKNMPPWMCWHEGTGGGK(5CF)-NH <sub>2</sub>	Y	323	2860.1 [M-H], 1429.8 [M-2H]/2 <sup>a</sup>
Ac-AGYGPCKNMPPWMCWHEGTGGGK(Biotin-JJ)-NH <sub>2</sub>	Y	323	1058.6 [M-2H]/2 <sup>a</sup>
<b>Pathogenic Sequences</b>			
Ac-GDGSWCERMQDVGWKNCFSDDPGGGK(Biotin-JJ)-NH <sub>2</sub>	Y	445	1537.5 [M-2H]/2 <sup>a</sup>
Ac-GCKTKISKVKKKWCYSNNKVTGGGK(Biotin-JJ)-NH <sub>2</sub>	Y	446	1706.8 [M+2H]/2, 1138.6 [M+3H]/3, 854.0 [M+4H]/4, 683.7 [M+5H]/5, 569.8 [M+6H]/6, 488.5 [M+7H]/7 <sup>b</sup>
Ac-KQFCEENWERGRNHYYCLTTLSSGGGK(Biotin-JJ)-NH <sub>2</sub>	Y	447	1817.5 [M+2H]/2, 1211.8 [M+3H]/3, 909.1 [M+4H]/4, 727.5 [M+5H]/5 <sup>b</sup>
Ac-GDSRVCWEDWGGVVCYRYDAGGGK(Biotin-JJ)-NH <sub>2</sub>	Y	448	1675.2 [M+2H]/2, 1116.9 [M+3H]/3, 838.2 [M+4H]/4 <sup>b</sup>
AGPTWCEDDWYYCWLFGTGGGK(Biotin-JJ)-NH <sub>2</sub>		277	
Ac-AGPTWCEDDWYYCWLFGTGGGK(nSbGJJ)-NH <sub>2</sub>	Y	277-nSbGJJ	1621.5 [M-2H]/2 <sup>a</sup>
AGPTWCEDDWYYCWLFGTGGGK-NH <sub>2</sub>		277	
Dansyl-NH -AGPTWCEDDWYYCWLFGTGGGK(5CF)-NH <sub>2</sub>	Y	277-5CF	1549.1 [M-2H]/2 <sup>a</sup>
<b>Other KDR Compounds - Hangovers from Year 2001 such as DX-684 truncations etc.</b>			
Ac-CEEDWYYCMITGTGGGK(Biotin-JJ)-NH <sub>2</sub>	Y	449	1232.5 [M-2H]/2 <sup>a</sup>
Ac-AGPKWCEEDWYYCMITaT-NH <sub>2</sub>	Y	450	1509.6 [M-2H]/2 <sup>a</sup>
Ac-AaPKWCEEDYYCMITGTGGGK-NH <sub>2</sub>	Y	451	2504.2 [M-H], 1251.6 [M-2H]/2 <sup>a</sup>
Ac-AaPKWCEEDYYCMITGTGGGK(Biotin-JJ)-NH <sub>2</sub>	Y	451	1509.6 [M-2H]/2 <sup>a</sup>
Ac-AGPDWCAADWYYCYITG-NH <sub>2</sub>	Y	452	1992.5 [M-H], 995.8 [M-2H]/2 <sup>a</sup>
Ac-AGPTWCEDDWYYCWLFGTGGGK-NH <sub>2</sub>		277	
Ac-AGPTWEEDDWYYKWLFGTGGGK-NH <sub>2</sub> (6-13 lactam)	Y	453	1291.9 [M-2H]/2 <sup>a</sup>
Ac-AGPTWKEDDWYYEWLFGTGGGK-NH <sub>2</sub> (6-13 lactam)	Y	454	1291.9 [M-2H]/2 <sup>a</sup>
Ac-AGPTW-Dpr-EDDWYYDWLFGTGGGK-NH <sub>2</sub> (6-13 lactam)	Y	455	1263.9 [M-2H]/2 <sup>a</sup>
Ac-AGPTWDEDDWYY-Dpr-WLFGTGGGK-NH <sub>2</sub> (6-13 lactam)	Y	456	1263.9 [M-2H]/2 <sup>a</sup>
Ac-AGPTWDEDDWYYKWLFGTGGGK-NH <sub>2</sub> (6-13 lactam)	Y	457	1285.1 [M-2H]/2 <sup>a</sup>
Ac-AGPTWDEDDWYYKWLFGTGGGK-NH <sub>2</sub>	Y	457	1294.1 [M-2H]/2 <sup>a</sup>
Ac-AQDWYYDEILSMADQLRHAFLSGGGGK(Biotin-JJ)-NH <sub>2</sub>	Y/N	356	

Fig 79E

Compound Sequence/Structure (Parent Sequence in red text)	Obtained ? Y/N	SEQ ID NO	MS Data <sup>†</sup>
Ac-AQDWYYDEILSMADQLR-NH <sub>2</sub>	Y	458	2156.9 [M-H], 1077.9 [M-2H]/2 <sup>a</sup>
Ac-DWYYDEILSMADQL-NH <sub>2</sub>	Y	459	1800.5 [M-H], 900.2 [M-2H]/2 <sup>a</sup>
Ac-AQDWYYDEILSMADQLRHAFLS-NH <sub>2</sub>	Y	460	1355.2 [M-2H]/2 <sup>a</sup>
Ac-AQDWYYGGGK-NH <sub>2</sub>	Y	461	1183.3 [M-H] <sup>a</sup>
Ac-DWYYGGGK-NH <sub>2</sub>	Y	462	984.2 [M-H] <sup>a</sup>
Ac-AQDWYYDEIL-NH <sub>2</sub>	Y	463	1354.5 [M-H] <sup>a</sup>
Ac-AEWSYQDMIRLDYADLQLSHFAGGGGGK(Biotin-JJ)-NH <sub>2</sub> ;	Y	464	1820.1 [M+2H]/2 <sup>b</sup>
Ac-AQDWYYDEILSMADQLRHAFLSGGGGGK-NH <sub>2</sub>	Y	356	1562.1 [M-2H]/2 <sup>a</sup>
Ac-AQDWYYDEILSMADQLRHAFLSGGGGGK-NH <sub>2</sub>	Y	356	1562.3 (M-2H)/2 <sup>a</sup>
Ac-AQDWYYDEILSMADQLRHAFLSGGGGGK(iV-Dde)-NH <sub>2</sub>	Y	356	1729.7 [M-2H]/2, 1152.5 [M-3H]/3 <sup>a</sup>
Ac-AQDWYYDEILSMADQLRHAFLSGGGGGK(SATA)-NH <sub>2</sub>	Y	356-SATA	1620.2 [M-2H]/2 <sup>a</sup>
Ac-AEWSYQDMIRLDYADLQLSHFAGGGGGK(SATA)-NH <sub>2</sub>	Y	464	1620.4 [M+2H]/2 <sup>b</sup>
Various Parent Sequences			
Ac-AQDWYYDEILJGRGRGGRGG-NH <sub>2</sub>	Y	465	1185.0 [M+2H]/2, 790.8 [M+3H]/3 <sup>b</sup>
Ac-EDDWYYJGRGRGGRGG-NH <sub>2</sub>	Y	466	972.3 [M+2H]/2, 648.0 [M+3H]/3 <sup>b</sup>
Ac-GDWYYJGRGRGGRGG-NH <sub>2</sub>	Y	467	879.3 [M+2H]/2, 586.6 [M+3H]/3 <sup>b</sup>
Ac-AQDWYYAWLFTJGRGRGGRGG-NH <sub>2</sub>	Y	468	1259.7 [M+2H]/2, 840.1 [M+3H]/3 <sup>b</sup>
Ac-AQDWYYAWLJGRGRGGRGG-NH <sub>2</sub>	Y	469	1135.5 [M+2H]/2, 757.5 [M+3H]/3 <sup>b</sup>

Fig 79F

Docket No.: 3421.1012-006  
Title: KDR and VEGF/KDR...  
Inventors: Aaron K. Sato, *et al.*

Compound Sequence/Structure (Parent Sequence in red text)	Obtained ? Y/N	SEQ ID NO	MS Data <sup>†</sup>
Ac-AQDWYYDEILJGRGGRGGRGGKK(iV-Dde)-NH <sub>2</sub>	Y	470	1416.8 [M+2H]/2, 944.8 [M+3H]/3, 708.9 [M+4H]/4, 571.5 [M+4H+Na]/5 <sup>b</sup>
Ac-GDSRVCWPDSWGGEVCFRYP-NH <sub>2</sub>	Y	471	1234.1 [M-2H]/2 <sup>a</sup>
Ac-GDSRVCWEDSWGGEVCFRYP-NH <sub>2</sub>	Y	472	1250.0 [M-2H]/2 <sup>a</sup>
Ac-AQDWYYDEILJGRGGRGGRGGKK(JJ)-NH <sub>2</sub>	Y	473	930.23 [M+3H]/3, 697.9 [M+4H]/4, 558.5 [M+5H]/5 <sup>b</sup>
Ac-AQDWYYDEILSMADQLRHAFLSGGGGGK(Biotin-JJ)-NH <sub>2</sub>		356	
Ac-WYLDQRQADFMYSQAEDSLIHGGGGGK(Biotin-JJ)-NH <sub>2</sub>	Y	474	1820.5 [M-2H]/2, 1213.4 [M-3H]/3 <sup>a</sup>

Fig 79G





FIG. 81

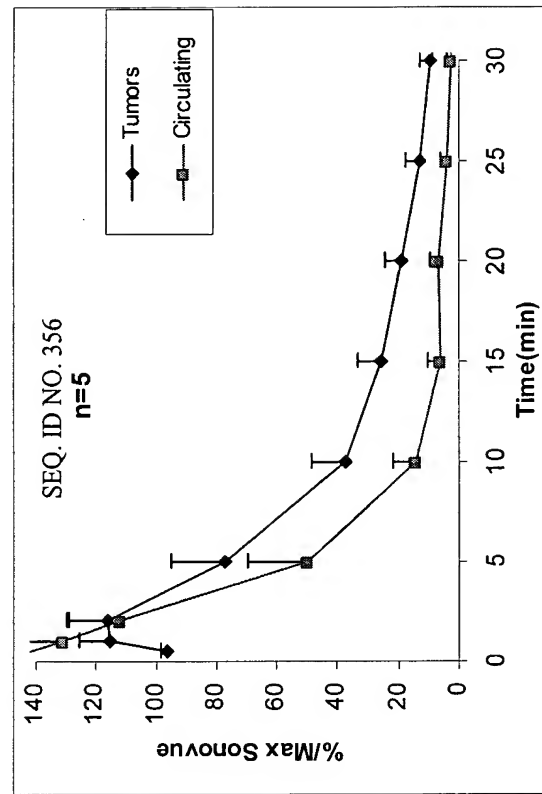


FIG. 82

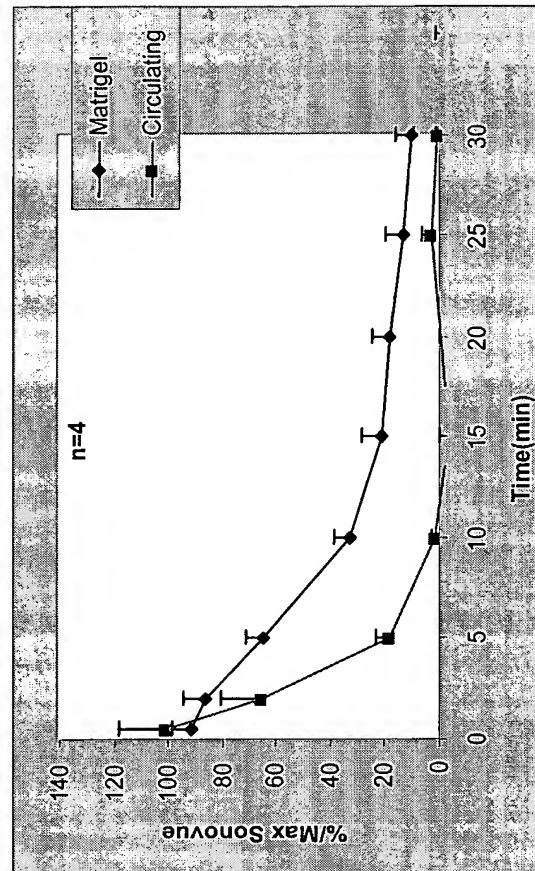


FIG. 83

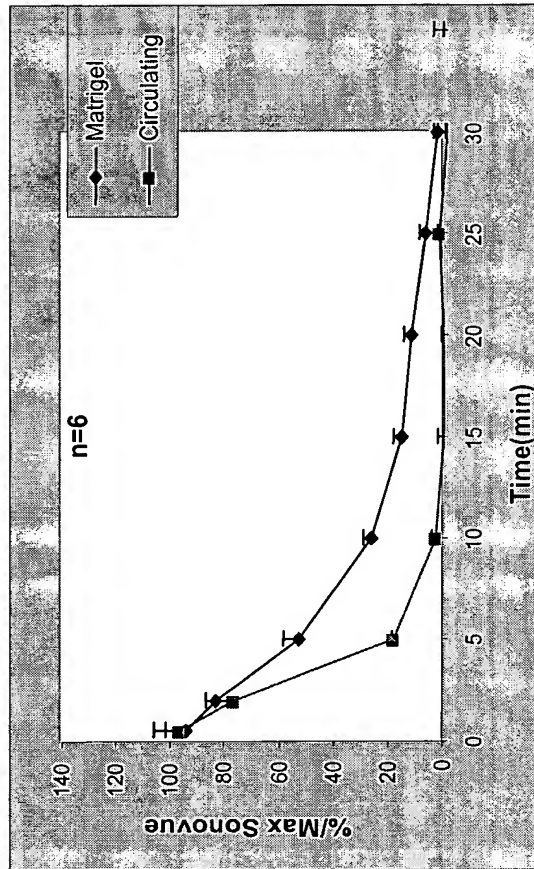


FIG. 84

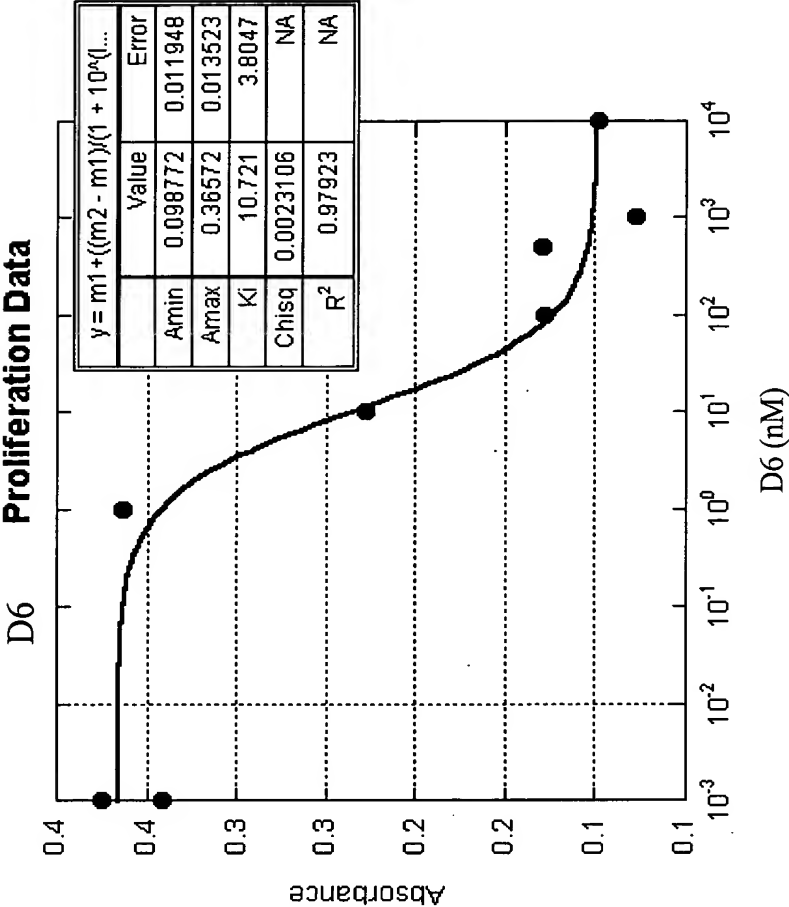


FIG. 85

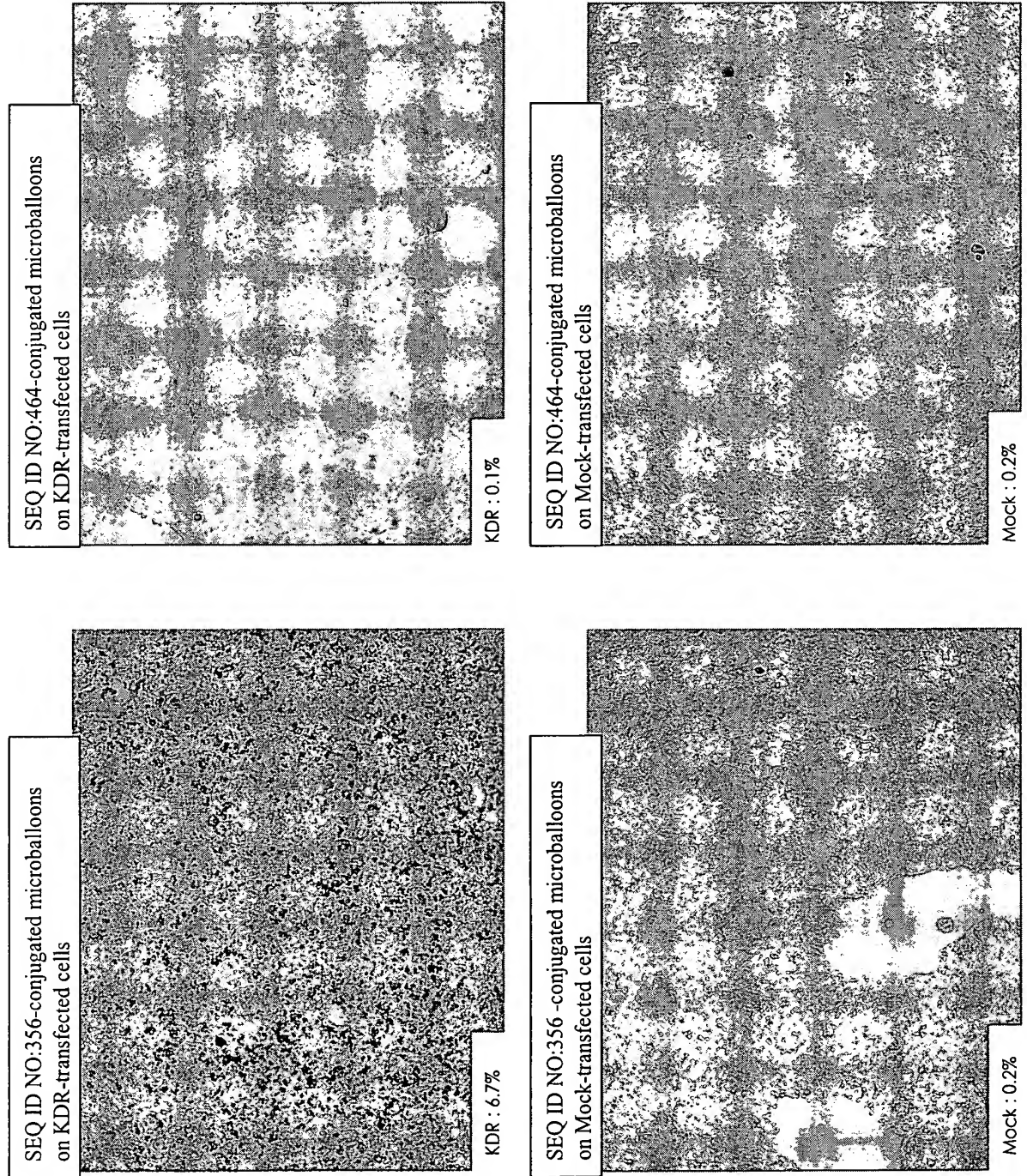
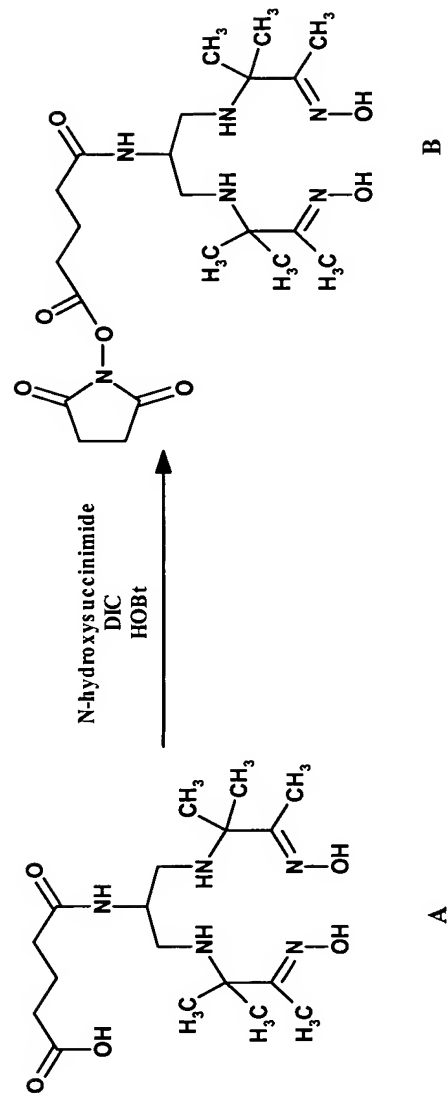


FIG. 86



# FIG. 87A

Ac-VCWEDSWGGEVCFRYPGGGK[K(iV-Dde)]-NH<sub>2</sub>

SEQ ID NO:337

1

Compound B / DIEA / DMF

Ac-VCWEDSWGGEVCFRYPGGGK[PnAO6-Glut-K(iV-Dde)]-NH<sub>2</sub>

SEQ ID NO:337

2

1) 10% Hydrazine in DMF  
2) HPLC Purification

Ac-VCWEDSWGGEVCFRYPGGGK[PnAO6-Glut-K]-NH<sub>2</sub>

SEQ ID NO:337

3



# FIG. 87B

Disuccinimidyl Glutarate / DIEA / DMF

- 1) Ac-VCWEDSWGGEVCFRYDPGGGK[P<sub>n</sub>AO6-Glut-K]-NH<sub>2</sub> (SEQ ID NO:337, Compound 3)  
in DMF
- 2) Remove DMF, wash with ether 3X
- 3) Dry and re-dissolve
- 4) Ac-AQDWYYDEILJGRGGRGGGK(JJ)-NH<sub>2</sub> (SEQ ID NO:478)
- 5) HPLC Purification



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13. DMSO/aq N-methylglucamine/pH 8/air/2 days - Cyclization

SEQ ID NO:277

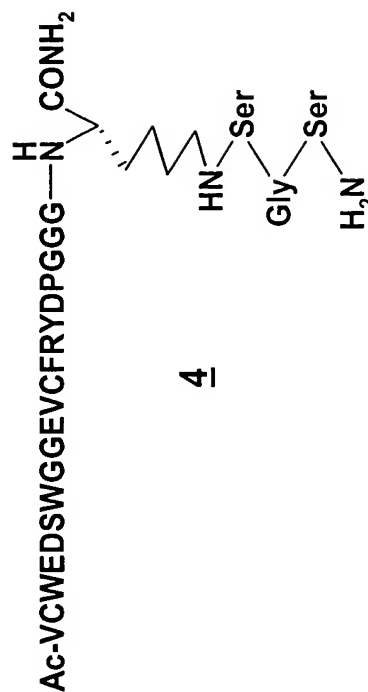
21



3

1. 10% hydrazine in DMF (2x10 min)
2. Fmoc-Ser(tBu)-OH/HOBt/DIC/DMF
3. 20% piperidine in DMF (2x10 min)
4. Fmoc-Gly-OH/HOBt/DIC/DMF
5. 20% piperidine in DMF (2x10 min)
6. repeat step 2.
7. 20% piperidine in DMF (2x10 min)
8. Reagent B - (Cleavage)
9. DMSO/ aq. N-methylglucamine /pH 8 /air / 48 h

FIG. 88B



4

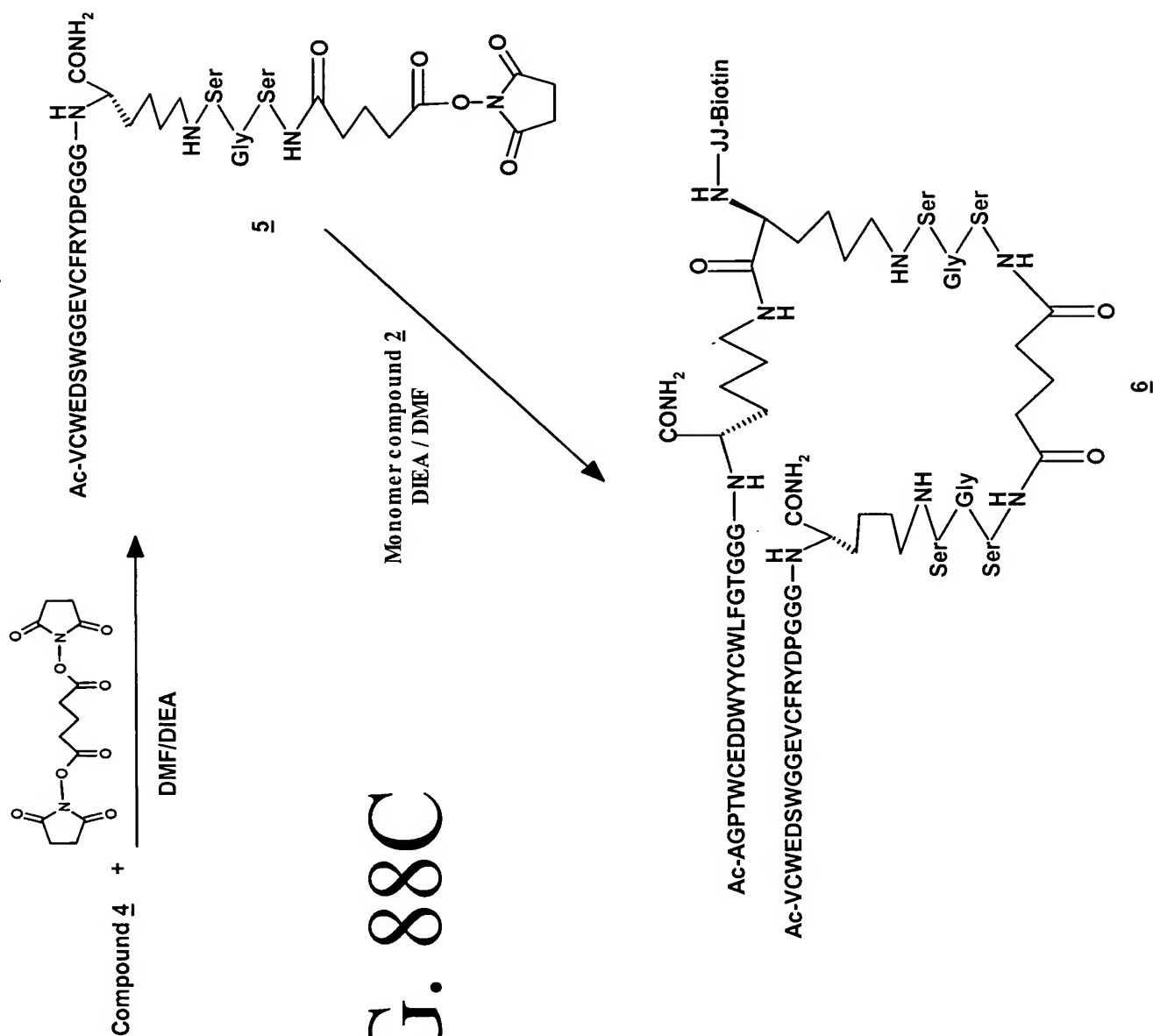


FIG. 88C

FIG. 88D

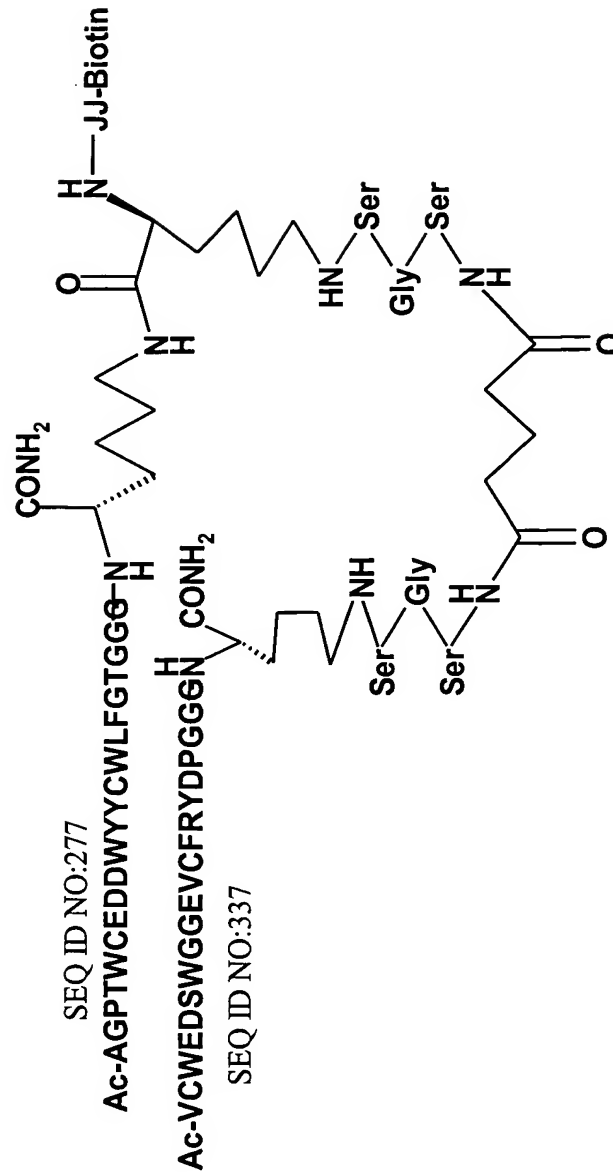


FIG. 89

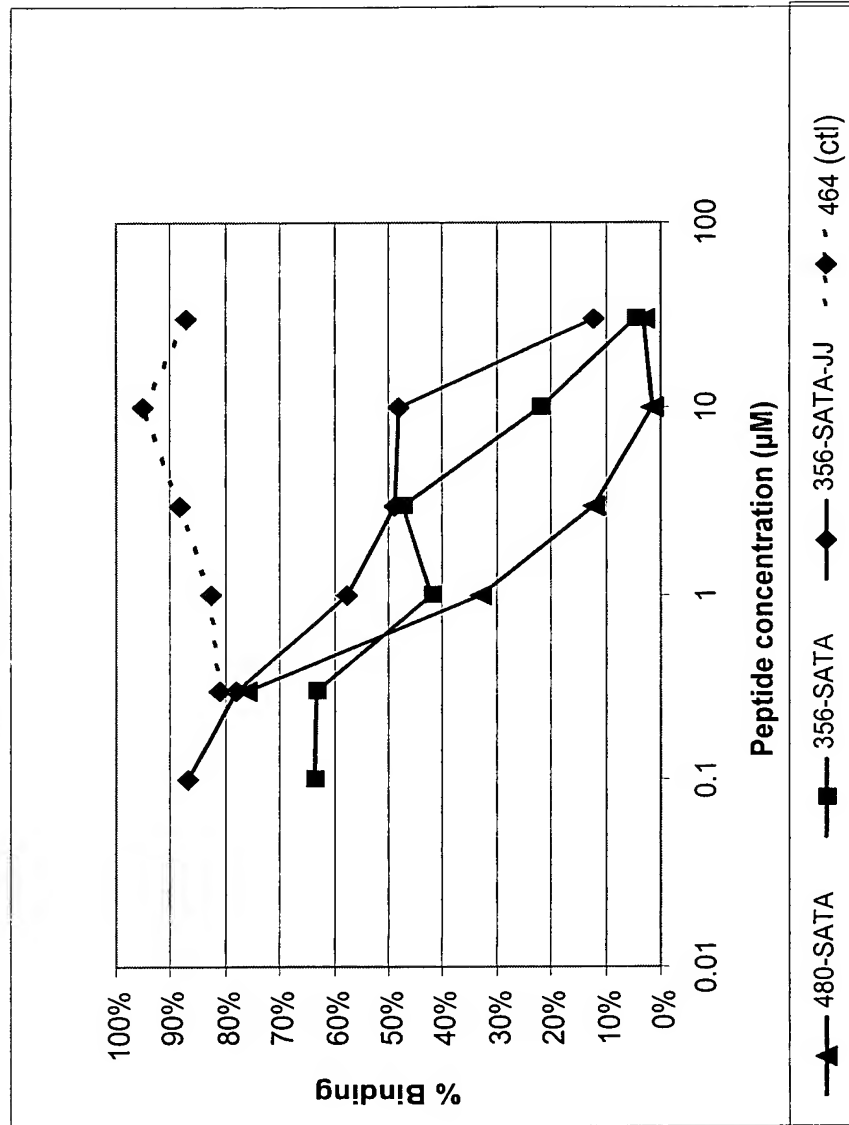


FIG. 90

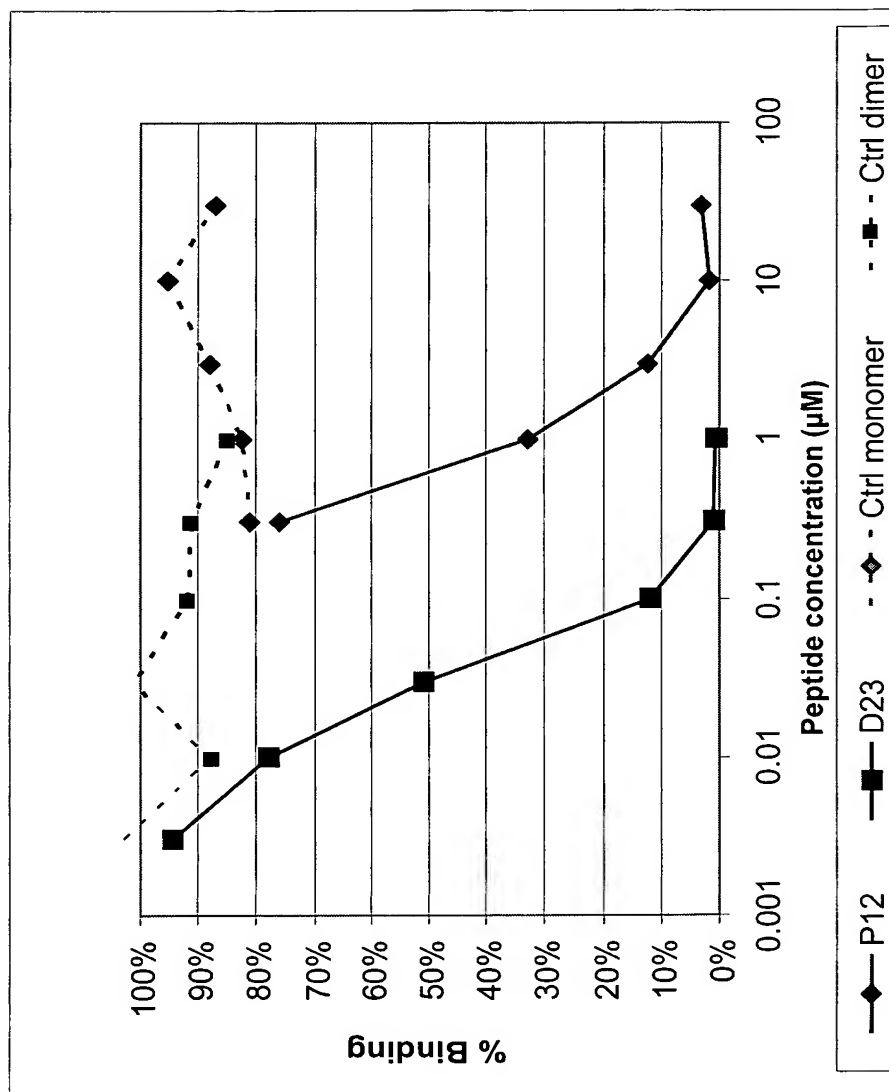




FIG. 91

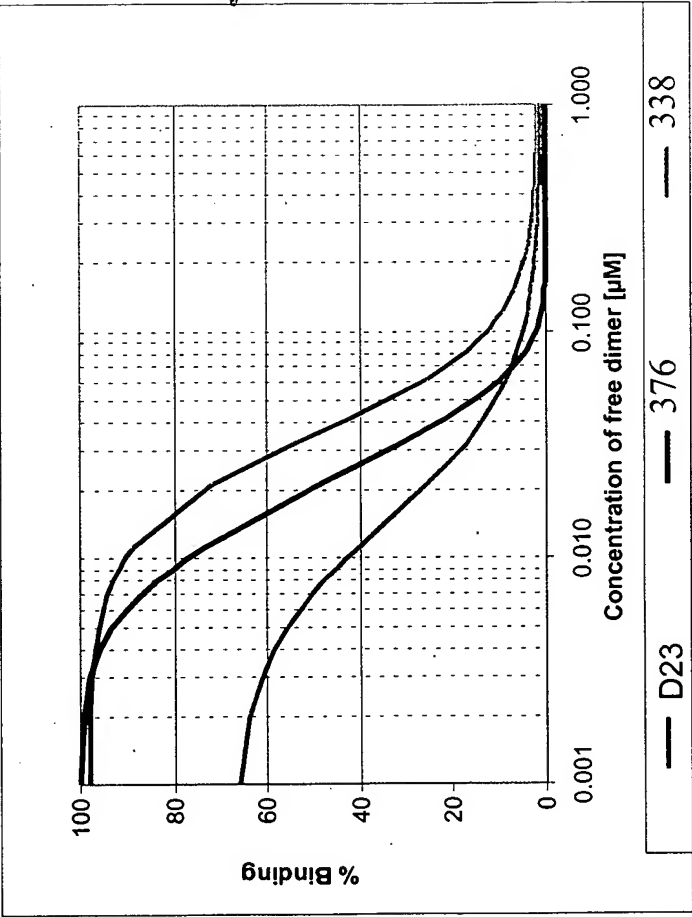


FIG. 92

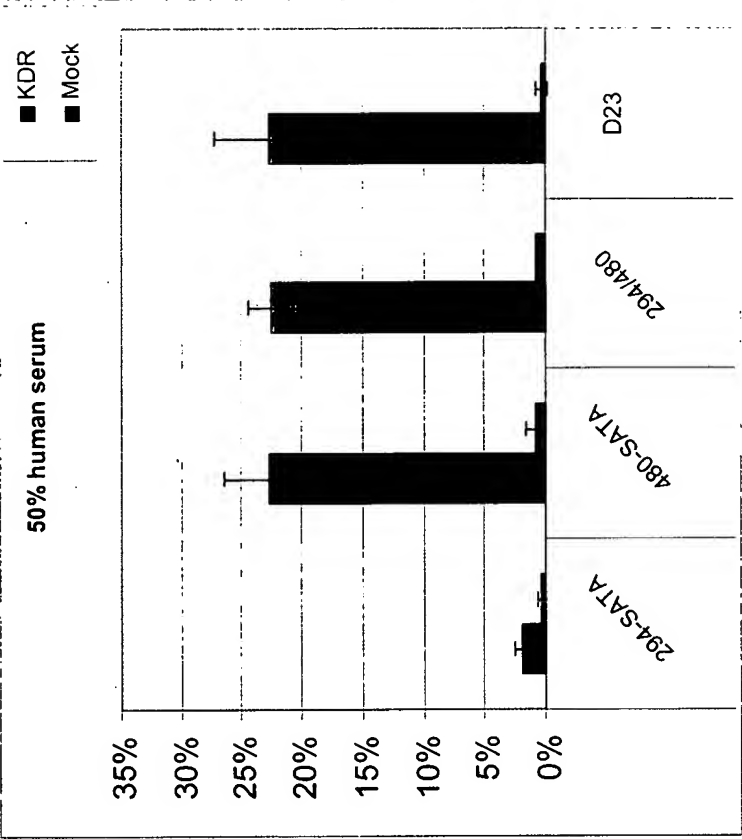


FIG. 93

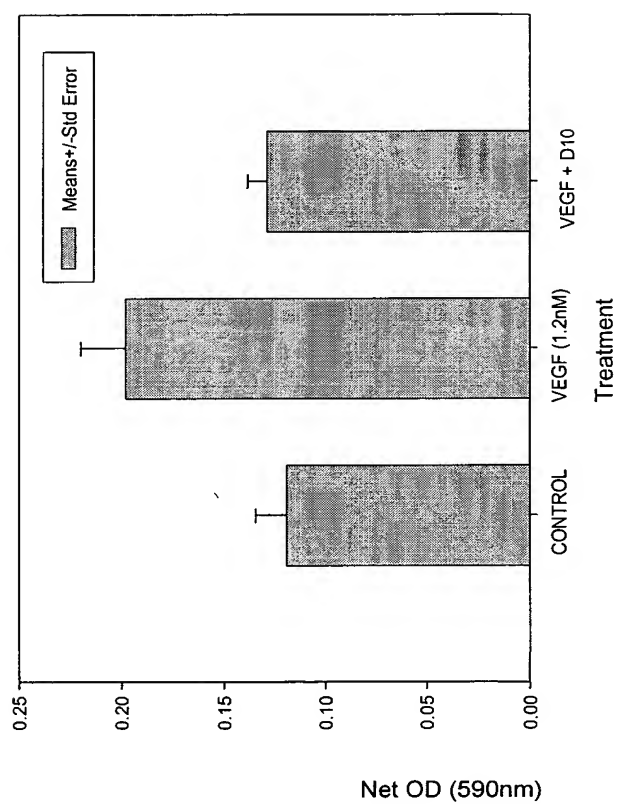


FIG. 94

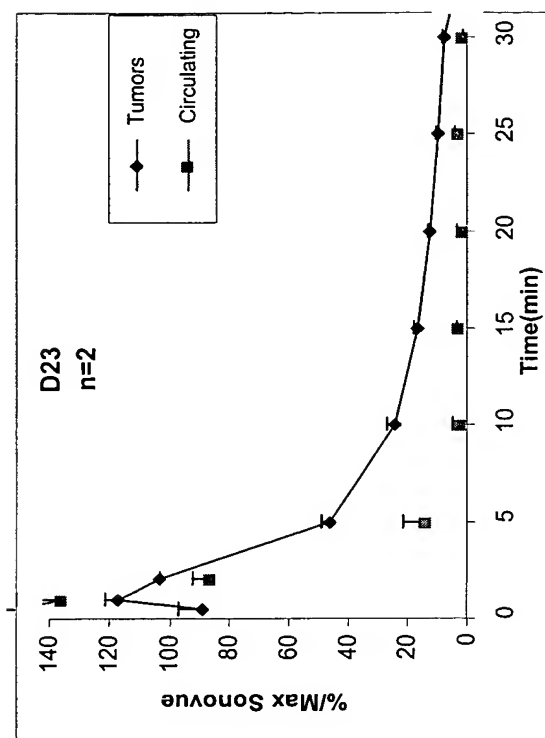


FIG. 95

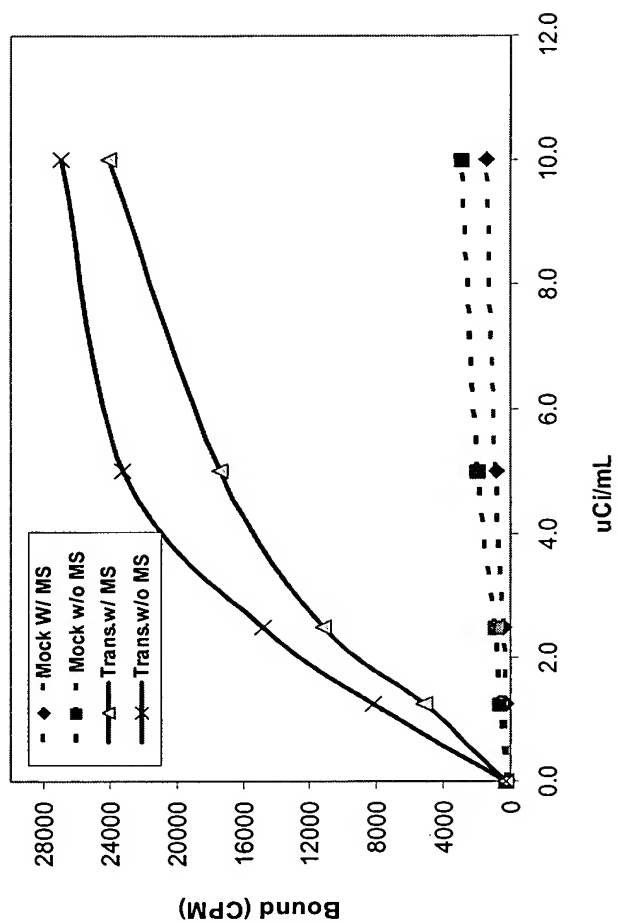


FIG. 96

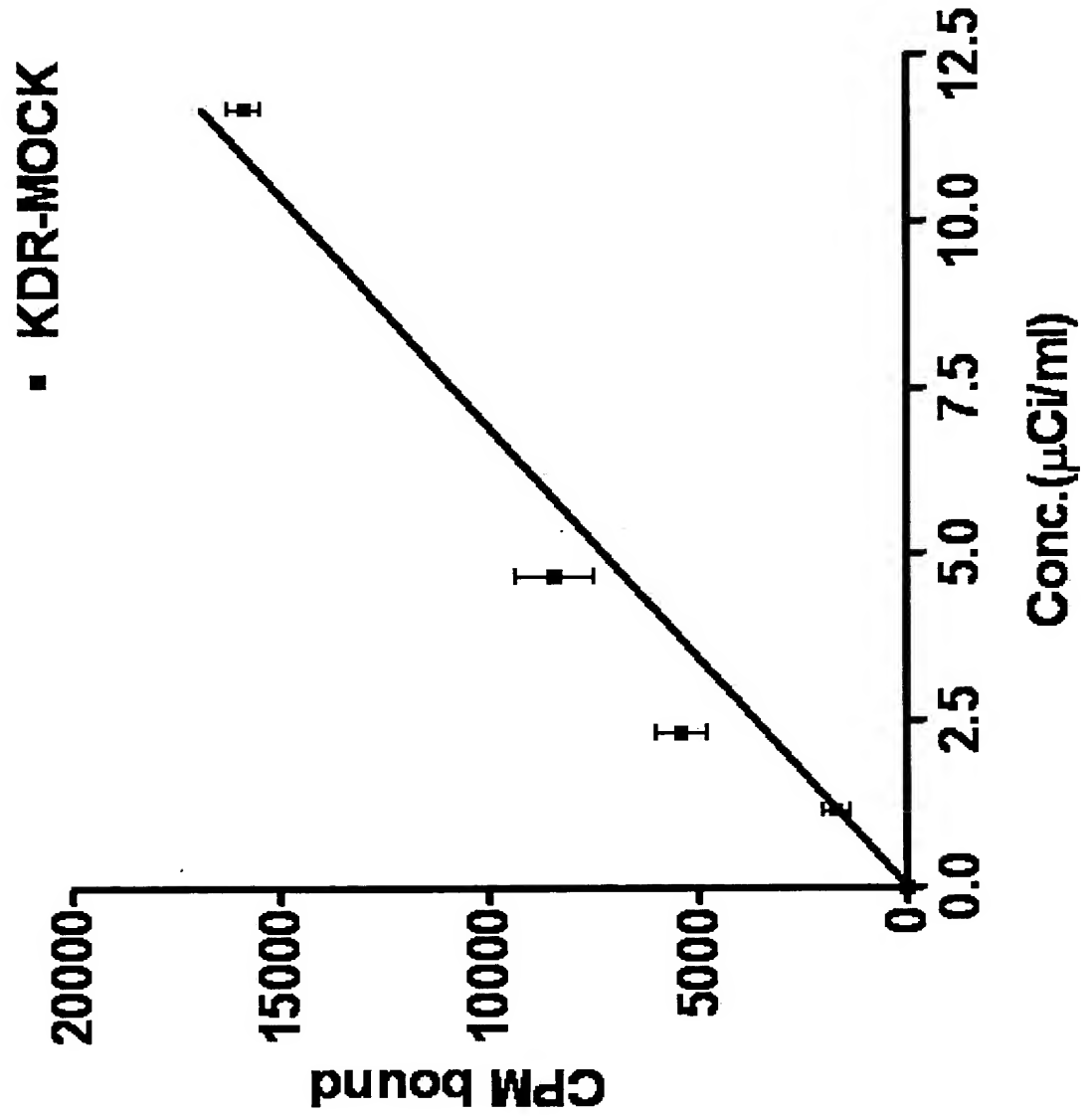


FIG. 97

